

=> fil reg

FILE 'REGISTRY' ENTERED AT 10:00:34 ON 05 SEP 2002

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

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STRUCTURE FILE UPDATES: 4 SEP 2002 HIGHEST RN 446821-48-3

DICTIONARY FILE UPDATES: 4 SEP 2002 HIGHEST RN 446821-48-3

TSCA INFORMATION NOW CURRENT THROUGH MAY 20, 2002

Please note that search-term pricing does apply when
conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

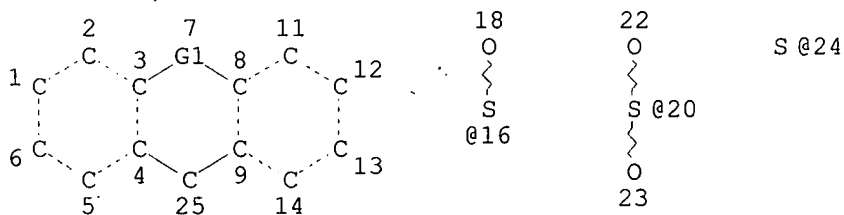
Calculated physical property data is now available. See HELP PROPERTIES
for more information. See STNote 27, Searching Properties in the CAS
Registry File, for complete details:

<http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf>

=> d sta que 113

L1

STR



VAR G1=24/16/20

NODE ATTRIBUTES:

CONNECT IS E3 RC AT 16

CONNECT IS E2 RC AT 24

CONNECT IS E2 RC AT 25

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RSPEC 4

NUMBER OF NODES IS 20

STEREO ATTRIBUTES: NONE

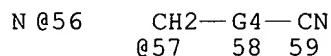
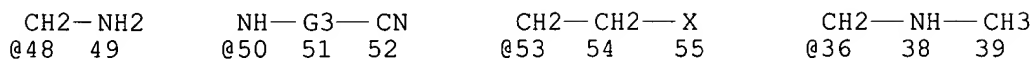
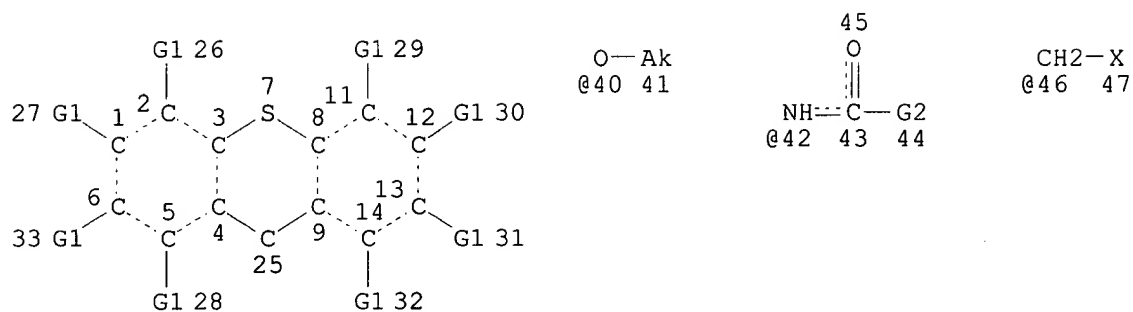
L2 SCR 2043 OR 2039 OR 2050 OR 2049 OR 2048 OR 2053 OR 2052 O

R 2051 OR 2054 OR 2040

L3 (233)SEA FILE=REGISTRY SSS FUL L1 NOT L2

L4 STR

Jan Delaval
Reference Librarian
Biotechnology & Chemical Library
CM1 1E07 - 703-308-4498
jan.delaval@uspto.gov



VAR G1=H/X/NO2/40/50/42/57/56

VAR G2=46/48/53/AK/36

REP G3=(1-5) CH2

REP G4=(1-4) CH2

NODE ATTRIBUTES:

CONNECT IS M1 RC AT 7

CONNECT IS M1 RC AT 56

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RSPEC 4

NUMBER OF NODES IS 45

STEREO ATTRIBUTES: NONE

L5 (69)SEA FILE=REGISTRY SUB=L3 CSS FUL L4

L6 (22)SEA FILE=REGISTRY ABB=ON PLU=ON L5 AND (C59H36CL2N8O6S OR
 C21H22N4O2S OR C17H20N2O2S OR C19H22N4O2S OR C13H12N2O2S OR
 C13H10CL2N2O2S OR C13H8S OR C33H32N2O10S OR C27H20N2O2S OR
 C61H42N8O8S OR C14H10CLNO3S OR C15H15N2 OR C23H28N2O4S OR
 C59H38N8O6S OR C17H19N2S OR C17H20N2S OR C13H8O2S)
 L7 (14)SEA FILE=REGISTRY ABB=ON PLU=ON L5 AND (C13H5N3O7 OR
 C23H28N2O6S OR C19H20N2O2S OR C47H32N6O6S OR C10H2O6 OR
 C13H10FNO2S OR C13H12N2S OR C63H46N8O6S OR C13H9S OR C13H4N4O9
 OR C13H12N2O2S)

L8 (32)SEA FILE=REGISTRY ABB=ON PLU=ON (L6 OR L7)

L9 (4)SEA FILE=REGISTRY ABB=ON PLU=ON L8 AND CLH

L10 (2)SEA FILE=REGISTRY ABB=ON PLU=ON L9 AND C19H22N4O2S

L11 (1)SEA FILE=REGISTRY ABB=ON PLU=ON L10 NOT PROPANIMIDAMIDE

L12 (31)SEA FILE=REGISTRY ABB=ON PLU=ON L8 NOT L11

L13 38 SEA FILE=REGISTRY ABB=ON PLU=ON L5 NOT L12

=> d ide can tot l13

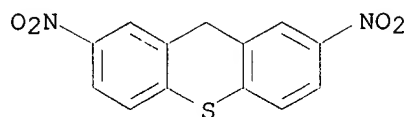
L13 ANSWER 1 OF 38 REGISTRY COPYRIGHT 2002 ACS

RN 412339-78-7 REGISTRY

CN 9H-Thioxanthene, 2,7-dinitro- (9CI) (CA INDEX NAME)

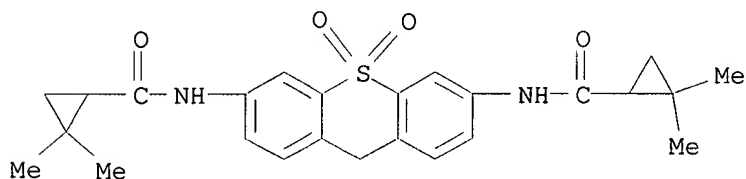
FS 3D CONCORD

MF C13 H8 N2 O4 S
SR CA



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L13 ANSWER 2 OF 38 REGISTRY COPYRIGHT 2002 ACS
RN 251340-36-0 REGISTRY
CN Cyclopropanecarboxamide, N,N'-(10,10-dioxido-9H-thioxanthene-3,6-diyl)bis[2,2-dimethyl- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C25 H28 N2 O4 S
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

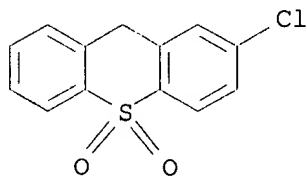


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 132:442

L13 ANSWER 3 OF 38 REGISTRY COPYRIGHT 2002 ACS
RN 192799-69-2 REGISTRY
CN 9H-Thioxanthene, 2-chloro-, 10,10-dioxide (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C13 H9 Cl O2 S
SR CA
LC STN Files: CA, CAPLUS

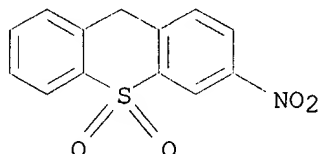


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 127:117056

L13 ANSWER 4 OF 38 REGISTRY COPYRIGHT 2002 ACS
RN 156118-92-2 REGISTRY
CN 9H-Thioxanthene, 3-nitro-, 10,10-dioxide (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C13 H9 N O4 S
SR CA
LC STN Files: CA, CAPLUS

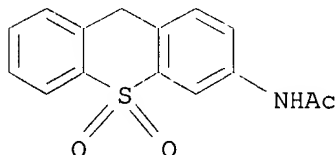


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 121:57436

L13 ANSWER 5 OF 38 REGISTRY COPYRIGHT 2002 ACS
RN 156118-73-9 REGISTRY
CN Acetamide, N-(10,10-dioxido-9H-thioxanthen-3-yl)- (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN 9H-Thioxanthene, acetamide deriv.
CN Acetamide, N-9H-thioxanthen-3-yl-, S,S-dioxide
FS 3D CONCORD
MF C15 H13 N O3 S
SR CA
LC STN Files: CA, CAPLUS



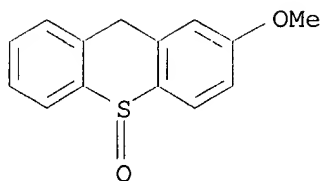
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 121:57436

L13 ANSWER 6 OF 38 REGISTRY COPYRIGHT 2002 ACS
RN 135566-34-6 REGISTRY
CN 9H-Thioxanthene, 2-methoxy-, 10-oxide (9CI) (CA INDEX NAME)
OTHER NAMES:
CN 2-Methoxythioxanthene S-oxide
FS 3D CONCORD
MF C14 H12 O2 S
SR CA

LC STN Files: BEILSTEIN*, CA, CAPLUS
(*File contains numerically searchable property data)

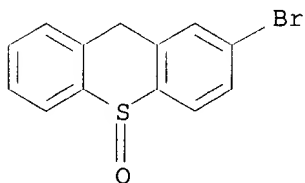


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 115:91558

L13 ANSWER 7 OF 38 REGISTRY COPYRIGHT 2002 ACS
RN 135566-32-4 REGISTRY
CN 9H-Thioxanthene, 2-bromo-, 10-oxide (9CI) (CA INDEX NAME)
OTHER NAMES:
CN 2-Bromothioxanthene S-oxide
FS 3D CONCORD
MF C13 H9 Br O S
SR CA
LC STN Files: BEILSTEIN*, CA, CAPLUS
(*File contains numerically searchable property data)



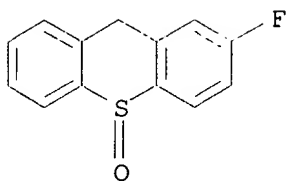
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1967 TO DATE)
2 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 127:117056

REFERENCE 2: 115:91558

L13 ANSWER 8 OF 38 REGISTRY COPYRIGHT 2002 ACS
RN 135566-31-3 REGISTRY
CN 9H-Thioxanthene, 2-fluoro-, 10-oxide (9CI) (CA INDEX NAME)
OTHER NAMES:
CN 2-Fluorothioxanthene S-oxide
FS 3D CONCORD
MF C13 H9 F O S
SR CA
LC STN Files: BEILSTEIN*, CA, CAPLUS
(*File contains numerically searchable property data)

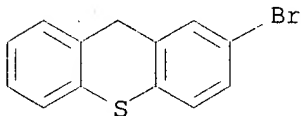


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 115:91558

L13 ANSWER 9 OF 38 REGISTRY COPYRIGHT 2002 ACS
RN 135566-29-9 REGISTRY
CN 9H-Thioxanthene, 2-bromo- (9CI) (CA INDEX NAME)
OTHER NAMES:
CN 2-Bromothioxanthene
FS 3D CONCORD
MF C13 H9 Br S
SR CA
LC STN Files: BEILSTEIN*, CA, CAPLUS
(*File contains numerically searchable property data)



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

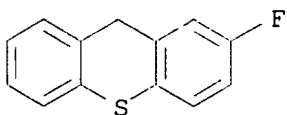
3 REFERENCES IN FILE CA (1967 TO DATE)
3 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 127:117056

REFERENCE 2: 117:48338

REFERENCE 3: 115:91558

L13 ANSWER 10 OF 38 REGISTRY COPYRIGHT 2002 ACS
RN 135566-28-8 REGISTRY
CN 9H-Thioxanthene, 2-fluoro- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C13 H9 F S
SR CA
LC STN Files: BEILSTEIN*, CA, CAPLUS, CASREACT
(*File contains numerically searchable property data)

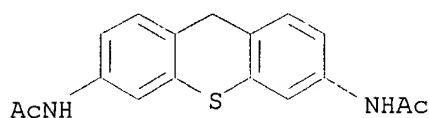


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 115:91558

L13 ANSWER 11 OF 38 REGISTRY COPYRIGHT 2002 ACS
RN 128141-94-6 REGISTRY
CN Acetamide, N,N'-9H-thioxanthene-3,6-diylbis- (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN 9H-Thioxanthene, acetamide deriv.
FS 3D CONCORD
MF C17 H16 N2 O2 S
SR CA
LC STN Files: CA, CAPLUS

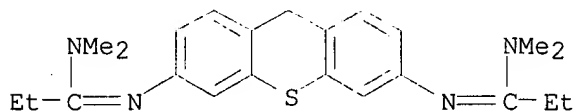


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 113:115068

L13 ANSWER 12 OF 38 REGISTRY COPYRIGHT 2002 ACS
RN 128141-93-5 REGISTRY
CN Propanimidamide, N',N''-9H-thioxanthene-3,6-diylbis[N,N-dimethyl- (9CI)
(CA INDEX NAME)
OTHER CA INDEX NAMES:
CN 9H-Thioxanthene, propanimidamide deriv.
FS 3D CONCORD
MF C23 H30 N4 S
SR CA
LC STN Files: CA, CAPLUS



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 113:115068

L13 ANSWER 13 OF 38 REGISTRY COPYRIGHT 2002 ACS
RN 128141-92-4 REGISTRY
CN Propanamide, N,N'-9H-thioxanthene-3,6-diylbis[N-methyl- (9CI) (CA INDEX

NAME)

OTHER CA INDEX NAMES:

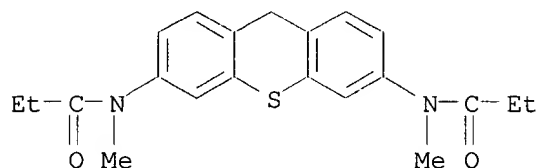
CN 9H-Thioxanthene, propanamide deriv.

FS 3D CONCORD

MF C21 H24 N2 O2 S

SR CA

LC STN Files: CA, CAPLUS



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1967 TO DATE)

1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 113:115068

L13 ANSWER 14 OF 38 REGISTRY COPYRIGHT 2002 ACS

RN 127906-98-3 REGISTRY

CN 9H-Thioxanthene, 3-methoxy- (9CI) (CA INDEX NAME)

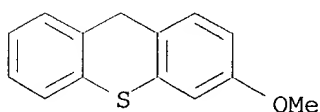
FS 3D CONCORD

MF C14 H12 O S

SR CA

LC STN Files: BEILSTEIN*, CA, CAPLUS

(*File contains numerically searchable property data)



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1967 TO DATE)

1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 113:58596

L13 ANSWER 15 OF 38 REGISTRY COPYRIGHT 2002 ACS

RN 127330-38-5 REGISTRY

CN Acetamide, N,N'-(10,10-dioxido-9H-thioxanthene-3,6-diyl)bis- (9CI) (CA INDEX NAME)

OTHER CA INDEX NAMES:

CN 9H-Thioxanthene, acetamide deriv.

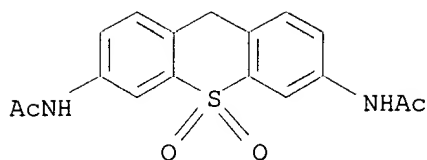
CN Acetamide, N,N'-9H-thioxanthene-3,6-diylbis-, S,S-dioxide

FS 3D CONCORD

MF C17 H16 N2 O4 S

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

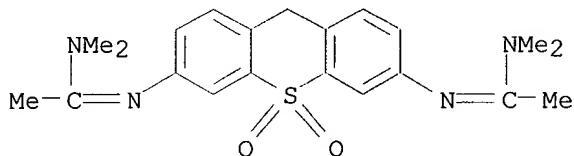


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 112:235168

L13 ANSWER 16 OF 38 REGISTRY COPYRIGHT 2002 ACS
RN 127330-37-4 REGISTRY
CN Ethanimidamide, N',N''-(10,10-dioxido-9H-thioxanthene-3,6-diyl)bis[N,N-dimethyl- (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN 9H-Thioxanthene, ethanimidamide deriv.
CN Ethanimidamide, N',N''-(10,10-dioxido-9H-thioxanthene-3,6-diyl)bis[N,N-dimethyl-, S,S-dioxide
FS 3D CONCORD
MF C21 H26 N4 O2 S
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

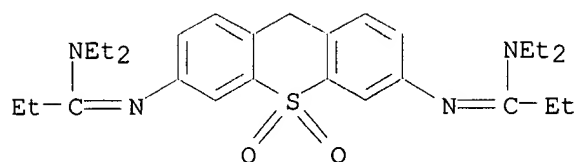


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 112:235168

L13 ANSWER 17 OF 38 REGISTRY COPYRIGHT 2002 ACS
RN 127330-36-3 REGISTRY
CN Propanimidamide, N',N''-(10,10-dioxido-9H-thioxanthene-3,6-diyl)bis[N,N-diethyl- (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN 9H-Thioxanthene, propanimidamide deriv.
CN Propanimidamide, N',N''-(10,10-dioxido-9H-thioxanthene-3,6-diyl)bis[N,N-diethyl-, S,S-dioxide
FS 3D CONCORD
MF C27 H38 N4 O2 S
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL



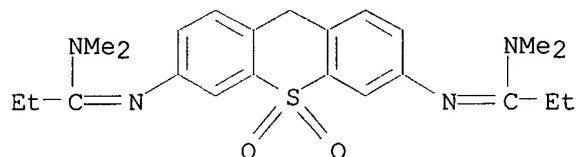
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1967 TO DATE)
2 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 113:115068

REFERENCE 2: 112:235168

L13 ANSWER 18 OF 38 REGISTRY COPYRIGHT 2002 ACS
RN 127330-35-2 REGISTRY
CN Propanimidamide, N',N''-(10,10-dioxido-9H-thioxanthene-3,6-diyl)bis[N,N-dimethyl- (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN 9H-Thioxanthene, propanimidamide deriv.
CN Propanimidamide, N',N''-9H-thioxanthene-3,6-diylbis[N,N-dimethyl-, S,S-dioxide
FS 3D CONCORD
MF C23 H30 N4 O2 S
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

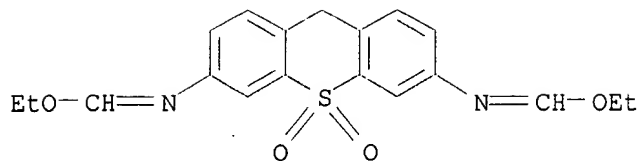


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 112:235168

L13 ANSWER 19 OF 38 REGISTRY COPYRIGHT 2002 ACS
RN 127330-34-1 REGISTRY
CN Methanimidic acid, N,N'-(10,10-dioxido-9H-thioxanthene-3,6-diyl)bis-, diethyl ester (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN 9H-Thioxanthene, methanimidic acid deriv.
CN Methanimidic acid, N,N'-9H-thioxanthene-3,6-diylbis-, diethyl ester, S,S-dioxide
FS 3D CONCORD
MF C19 H20 N2 O4 S
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

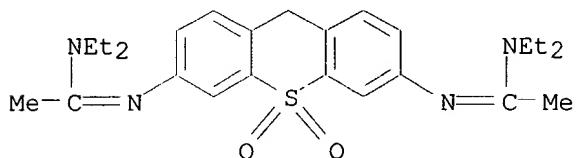


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 112:235168

L13 ANSWER 20 OF 38 REGISTRY COPYRIGHT 2002 ACS
RN 127330-33-0 REGISTRY
CN Ethanimidamide, N',N''-(10,10-dioxido-9H-thioxanthene-3,6-diyl)bis[N,N-diethyl- (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN 9H-Thioxanthene, ethanimidamide deriv.
CN Ethanimidamide, N',N''-9H-thioxanthene-3,6-diylbis[N,N-diethyl-, S,S-dioxide
FS 3D CONCORD
MF C25 H34 N4 O2 S
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

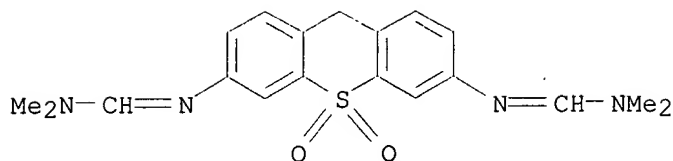


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 112:235168

L13 ANSWER 21 OF 38 REGISTRY COPYRIGHT 2002 ACS
RN 127330-32-9 REGISTRY
CN Methanimidamide, N',N''-(10,10-dioxido-9H-thioxanthene-3,6-diyl)bis[N,N-dimethyl-, dihydrochloride (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN 9H-Thioxanthene, methanimidamide deriv.
CN Methanimidamide, N',N''-9H-thioxanthene-3,6-diylbis[N,N-dimethyl-, S,S-dioxide, dihydrochloride
MF C19 H22 N4 O2 S . 2 Cl H
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

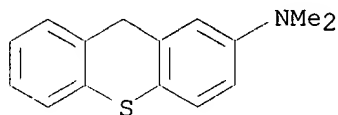


● 2 HCl

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 112:235168

L13 ANSWER 22 OF 38 REGISTRY COPYRIGHT 2002 ACS
RN 121326-17-8 REGISTRY
CN 9H-Thioxanthen-2-amine, N,N-dimethyl- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C15 H15 N S
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER

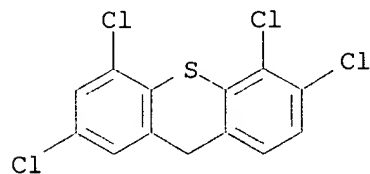


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 111:39190

L13 ANSWER 23 OF 38 REGISTRY COPYRIGHT 2002 ACS
RN 117210-86-3 REGISTRY
CN 9H-Thioxanthene, 2,4,5,6-tetrachloro- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C13 H6 Cl4 S
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER

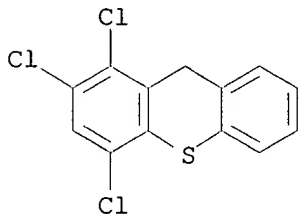


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 109:190250

L13 ANSWER 24 OF 38 REGISTRY COPYRIGHT 2002 ACS
RN 117210-85-2 REGISTRY
CN 9H-Thioxanthene, 1,2,4-trichloro- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C13 H7 Cl3 S
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER

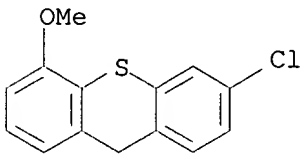


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 109:190250

L13 ANSWER 25 OF 38 REGISTRY COPYRIGHT 2002 ACS
RN 57275-66-8 REGISTRY
CN 9H-Thioxanthene, 3-chloro-5-methoxy- (9CI) (CA INDEX NAME)
OTHER NAMES:
CN 3-Chloro-5-methoxythioxanthene
FS 3D CONCORD
MF C14 H11 Cl O S
LC STN Files: CA, CAPLUS, IFICDB, IFIPAT, IFIUDB, USPATFULL



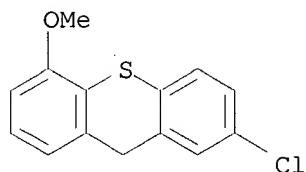
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 83:193100

L13 ANSWER 26 OF 38 REGISTRY COPYRIGHT 2002 ACS
RN 57275-58-8 REGISTRY
CN 9H-Thioxanthene, 2-chloro-5-methoxy- (9CI) (CA INDEX NAME)
OTHER NAMES:
CN 2-Chloro-5-methoxythioxanthene
FS 3D CONCORD
MF C14 H11 Cl O S

LC STN Files: CA, CAPLUS, IFICDB, IFIPAT, IFIUDB, USPATFULL

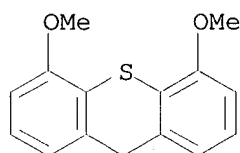


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 83:193100

L13 ANSWER 27 OF 38 REGISTRY COPYRIGHT 2002 ACS
RN 57275-31-7 REGISTRY
CN 9H-Thioxanthene, 4,5-dimethoxy- (9CI) (CA INDEX NAME)
OTHER NAMES:
CN 4,5-Dimethoxythioxanthene
FS 3D CONCORD
MF C15 H14 O2 S
LC STN Files: CA, CAPLUS, IFICDB, IFIPAT, IFIUDB, USPATFULL

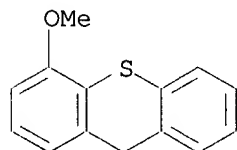


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 83:193100

L13 ANSWER 28 OF 38 REGISTRY COPYRIGHT 2002 ACS
RN 57275-19-1 REGISTRY
CN 9H-Thioxanthene, 4-methoxy- (9CI) (CA INDEX NAME)
OTHER NAMES:
CN 4-Methoxythioxanthene
FS 3D CONCORD
MF C14 H12 O S
LC STN Files: CA, CAPLUS, IFICDB, IFIPAT, IFIUDB, USPATFULL

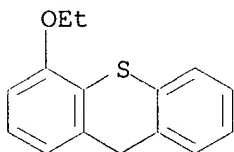


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 83:193100

L13 ANSWER 29 OF 38 REGISTRY COPYRIGHT 2002 ACS
RN 57275-12-4 REGISTRY
CN 9H-Thioxanthene, 4-ethoxy- (9CI) (CA INDEX NAME)
OTHER NAMES:
CN 4-Ethoxythioxanthene
FS 3D CONCORD
MF C15 H14 O S
LC STN Files: CA, CAPLUS, IFICDB, IFIPAT, IFIADB, USPATFULL

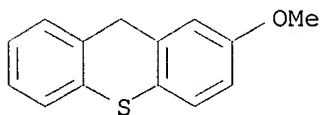


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 83:193100

L13 ANSWER 30 OF 38 REGISTRY COPYRIGHT 2002 ACS
RN 57274-96-1 REGISTRY
CN 9H-Thioxanthene, 2-methoxy- (9CI) (CA INDEX NAME)
OTHER NAMES:
CN 2-Methoxythioxanthene
FS 3D CONCORD
MF C14 H12 O S
LC STN Files: BEILSTEIN*, CA, CAPLUS, IFICDB, IFIPAT, IFIADB, USPATFULL
(*File contains numerically searchable property data)



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

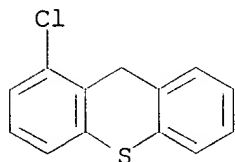
2 REFERENCES IN FILE CA (1967 TO DATE)
2 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 115:91558

REFERENCE 2: 83:193100

L13 ANSWER 31 OF 38 REGISTRY COPYRIGHT 2002 ACS
RN 54921-10-7 REGISTRY

CN 9H-Thioxanthene, 1-chloro- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C13 H9 Cl S
LC STN Files: BEILSTEIN*, CA, CAPLUS
(*File contains numerically searchable property data)

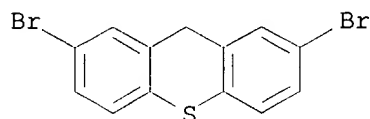


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1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 82:112034

L13 ANSWER 32 OF 38 REGISTRY COPYRIGHT 2002 ACS
RN 40102-88-3 REGISTRY
CN 9H-Thioxanthene, 2,7-dibromo- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C13 H8 Br2 S
LC STN Files: BEILSTEIN*, CA, CAPLUS, CASREACT, TOXCENTER
(*File contains numerically searchable property data)



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

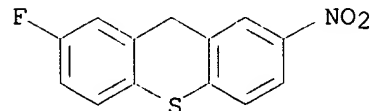
3 REFERENCES IN FILE CA (1967 TO DATE)
3 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 117:48338

REFERENCE 2: 108:37591

REFERENCE 3: 78:71225

L13 ANSWER 33 OF 38 REGISTRY COPYRIGHT 2002 ACS
RN 36146-07-3 REGISTRY
CN 9H-Thioxanthene, 2-fluoro-7-nitro- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C13 H8 F N O2 S
LC STN Files: CA, CAPLUS, IFICDB, IFIPAT, IFIUDB

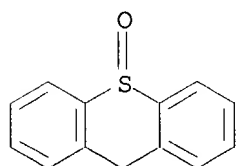


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 76:140759

L13 ANSWER 34 OF 38 REGISTRY COPYRIGHT 2002 ACS
RN 10133-81-0 REGISTRY
CN 9H-Thioxanthene, 10-oxide (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN Thioxanthene, 10-oxide (6CI, 7CI, 8CI)
OTHER NAMES:
CN Thioxanthene S-oxide
FS 3D CONCORD
MF C13 H10 O S
LC STN Files: BEILSTEIN*, CA, CAOLD, CAPLUS, CASREACT, IFICDB, IFIPAT,
IFIUDB, SPECINFO, USPATFULL
(*File contains numerically searchable property data)



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

23 REFERENCES IN FILE CA (1967 TO DATE)
23 REFERENCES IN FILE CAPLUS (1967 TO DATE)
4 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

REFERENCE 1: 129:34308

REFERENCE 2: 127:117056

REFERENCE 3: 126:171622

REFERENCE 4: 122:105859

REFERENCE 5: 115:91558

REFERENCE 6: 94:64994

REFERENCE 7: 92:49771

REFERENCE 8: 91:192423

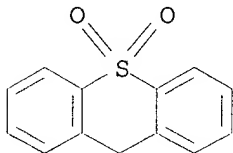
REFERENCE 9: 87:22166

REFERENCE 10: 86:170664

L13 ANSWER 35 OF 38 REGISTRY COPYRIGHT 2002 ACS
RN 3166-16-3 REGISTRY
CN 9H-Thioxanthene, 10,10-dioxide (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN Thioxanthene, 10,10-dioxide (7CI, 8CI)

OTHER NAMES:

CN Thioxanthene S,S-dioxide
FS 3D CONCORD
MF C13 H10 O2 S
LC STN Files: BEILSTEIN*, CA, CAOLD, CAPLUS, CASREACT, CHEMCATS, IFICDB,
IFIPAT, IFIUDB, SPECINFO, USPATFULL
(*File contains numerically searchable property data)



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

17 REFERENCES IN FILE CA (1967 TO DATE)
17 REFERENCES IN FILE CAPLUS (1967 TO DATE)
3 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

REFERENCE 1: 135:242222
REFERENCE 2: 129:34308
REFERENCE 3: 126:171622
REFERENCE 4: 122:105859
REFERENCE 5: 121:133244
REFERENCE 6: 111:233638
REFERENCE 7: 111:142850
REFERENCE 8: 111:115735
REFERENCE 9: 106:213709
REFERENCE 10: 85:124676

L13 ANSWER 36 OF 38 REGISTRY COPYRIGHT 2002 ACS

RN 261-31-4 REGISTRY

CN 9H-Thioxanthene (9CI) (CA INDEX NAME)

OTHER CA INDEX NAMES:

CN Thioxanthene (6CI, 7CI, 8CI)

OTHER NAMES:

CN Dibenzothiapyran

CN Thiaxanthene

CN Thioxanthen

FS 3D CONCORD

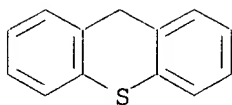
MF C13 H10 S

CI COM

LC STN Files: ADISNEWS, AGRICOLA, BEILSTEIN*, BIOBUSINESS, BIOSIS,
BIOTECHNO, CA, CAOLD, CAPLUS, CASREACT, CHEMCATS, CHEMINFORMRX,
CHEMLIST, CIN, CSCHEM, DETHERM*, EMBASE, HODOC*, IFICDB, IFIPAT, IFIUDB,
MRCK*, NIOSHTIC, PIRA, SPECINFO, TOXCENTER, USPATFULL
(*File contains numerically searchable property data)

Other Sources: EINECS**

(**Enter CHEMLIST File for up-to-date regulatory information)

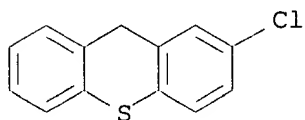


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

255 REFERENCES IN FILE CA (1967 TO DATE)
 57 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
 255 REFERENCES IN FILE CAPLUS (1967 TO DATE)
 15 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

REFERENCE 1: 136:330072
 REFERENCE 2: 136:264224
 REFERENCE 3: 136:667
 REFERENCE 4: 135:335142
 REFERENCE 5: 135:242222
 REFERENCE 6: 135:227693
 REFERENCE 7: 135:200497
 REFERENCE 8: 135:157679
 REFERENCE 9: 135:92282
 REFERENCE 10: 135:47032

L13 ANSWER 37 OF 38 REGISTRY COPYRIGHT 2002 ACS
 RN 92-38-6 REGISTRY
 CN 9H-Thioxanthene, 2-chloro- (9CI) (CA INDEX NAME)
 OTHER CA INDEX NAMES:
 CN Thioxanthene, 2-chloro- (6CI, 7CI, 8CI)
 OTHER NAMES:
 CN 2-Chlorothiaxanthene
 CN 2-Chlorothioxanthene
 FS 3D CONCORD
 MF C13 H9 Cl S
 LC STN Files: BEILSTEIN*, CA, CAOLD, CAPLUS, CASREACT, CHEMCATS, CSCHEM,
 IFICDB, IFIPAT, IFIUDB, SPECINFO, TOXCENTER, USPATFULL
 (*File contains numerically searchable property data)

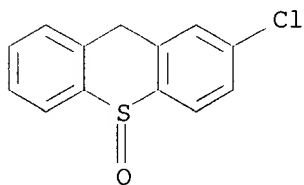


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

14 REFERENCES IN FILE CA (1967 TO DATE)
 14 REFERENCES IN FILE CAPLUS (1967 TO DATE)
 5 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

REFERENCE 1: 127:117056
REFERENCE 2: 115:91558
REFERENCE 3: 94:208257
REFERENCE 4: 94:192062
REFERENCE 5: 92:58556
REFERENCE 6: 90:203819
REFERENCE 7: 84:99134
REFERENCE 8: 83:193100
REFERENCE 9: 83:43142
REFERENCE 10: 79:66191

L13 ANSWER 38 OF 38 REGISTRY COPYRIGHT 2002 ACS
RN 90-37-9 REGISTRY
CN 9H-Thioxanthene, 2-chloro-, 10-oxide (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN Thioxanthene, 2-chloro-, 10-oxide (8CI)
OTHER NAMES:
CN 2-Chlorothioxanthene S-oxide
FS 3D CONCORD
MF C13 H9 Cl O S
LC STN Files: BEILSTEIN*, CA, CAPLUS, IFICDB, IFIPAT, IFIUDB
(*File contains numerically searchable property data)



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

5 REFERENCES IN FILE CA (1967 TO DATE)
5 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 127:117056
REFERENCE 2: 115:91558
REFERENCE 3: 77:61819
REFERENCE 4: 75:63613
REFERENCE 5: 72:100442

=> d his 113-

(FILE 'REGISTRY' ENTERED AT 09:47:23 ON 05 SEP 2002)

L13 38 SEA FILE=REGISTRY ABB=ON PLU=ON L5 NOT L12

FILE 'HCAOLD' ENTERED AT 09:48:02 ON 05 SEP 2002

L14 24 S L13

FILE 'HCAPLUS' ENTERED AT 09:49:01 ON 05 SEP 2002

L15 296 S L13
L16 22 S L13(L) (BUU OR COS OR THU OR PAC)/RL
L17 82 S L15 AND PHARMA?/SC,SX
L18 4 S L15 AND ?REGENER?
L19 1 S L18 AND L16,L17
L20 86 S L16,L17,L19
L21 36 S L20 AND P/DT
L22 35 S L21 AND (PD<=20000406 OR PRD<=20000406 OR AD<=20000406)
L23 51 S L20 NOT L22
L24 42 S L15 AND P/DT NOT L21
L25 41 S L24 AND (PD<=20000406 OR PRD<=20000406 OR AD<=20000406)
SEL DN AN 24 25 26 28 30 31 34 35 36 38 39 40
L26 12 S L25 AND E1-E36
L27 47 S L22,L26
L28 31 S L27 AND US/PC
L29 32 S L27 AND US/PRC
L30 39 S L28,L29
L31 8 S L27 NOT L30
L32 47 S L30,L31
L33 47 S L19,L32
SEL HIT RN

FILE 'REGISTRY' ENTERED AT 10:00:20 ON 05 SEP 2002

L34 28 S E37-E64

L35 38 S L13,L34

FILE 'REGISTRY' ENTERED AT 10:00:34 ON 05 SEP 2002

=> fil hcaplus

FILE 'HCAPLUS' ENTERED AT 10:01:23 ON 05 SEP 2002

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FILE COVERS 1907 - 5 Sep 2002 VOL 137 ISS 10

FILE LAST UPDATED: 4 Sep 2002 (20020904/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

CAS roles have been modified effective December 16, 2001. Please check your SDI profiles to see if they need to be revised. For information on CAS roles, enter HELP ROLES at an arrow prompt or use the CAS Roles thesaurus (/RL field) in this file.

=> d 133 bib ab hitstr tot

L33 ANSWER 1 OF 47 HCAPLUS COPYRIGHT 2002 ACS

AN 2001:868153 HCAPLUS

DN 136:667

TI Combination of adrenergic agonist and NMDA antagonist for relieving chronic pain without adverse side effects

IN Olney, John W.; Farber, Nuri B.; Jevtovic-Todorovic, Vesna

PA USA

SO PCT Int. Appl., 63 pp.

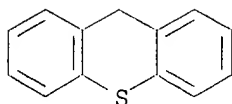
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001089448	A2	20011129	WO 2001-IB758	20010328 <--
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	AU 2001075765	A5	20011203	AU 2001-75765	20010328 <--
PRAI	US 2000-536888	A	20000328 <--		
	US 2000-536889	A	20000328 <--		
	WO 2001-IB758	W	20010328		
AB	A combination of two drugs, from different and unrelated categories, provides effective and long-lasting relief from neuropathic pain and other chronic or intractable pain. Both drugs can be taken in a painless non-invasive manner, e.g. by means of pills or skin patches. One drug is an .alpha.2 adrenergic agonist, e.g. clonidine. These agents reduce blood pressure and have sedative-hypnotic effects; those are unwanted side effects in a chronic daily treatment for pain. The other drug is an NMDA antagonist which can be described as mild, minimally toxic, and/or inherently safe (or safened). Three such classes of drugs have been shown to work exceptionally well, with clonidine, in reducing neuropathic pain for prolonged periods: (1) aryl-cyclo-alkanolamines, e.g. procyclidine and biperiden; (2) tricyclo-alkylamines, e.g. ethopropazine; and (3) adamantane derivs., e.g. memantine. None of these drugs, by itself, can provide effective relief for neuropathic pain; at doses required to provide short-term relief, they cause adverse side effects, and any pain relief they provide is relatively brief. However, when combined with an .alpha.2 adrenergic agonist, the two drugs potentiate one another's pain-relieving action, and provide potent and sustained relief, even when each drug is administered at a low dosage that is below its threshold for causing adverse side effects.				
IT	261-31-4D, Thioxanthene, alkylamine derivs. RL: ADV (Adverse effect, including toxicity); BSU (Biological study, unclassified); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (adrenergic agonist-NMDA antagonist combination for relieving chronic pain without adverse side effects)				
RN	261-31-4 HCAPLUS				
CN	9H-Thioxanthene (9CI) (CA INDEX NAME)				



L33 ANSWER 2 OF 47 HCAPLUS COPYRIGHT 2002 ACS
 AN 2001:713891 HCAPLUS
 DN 135:242222
 TI Preparation of novel spirotricyclic substituted azacycloalkanes as
 .alpha.1a adrenoceptor antagonists
 IN Evans, Ben E.; Gilbert, Kevin F.; Hoffman, Jacob M.; Rittle, Kenneth E.
 PA Merck & Co., Inc., USA
 SO Brit. UK Pat. Appl., 160 pp.
 CODEN: BAXXDU

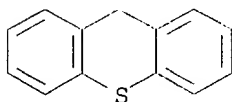
DT **Patent**
 LA English

FAN.CNT 1

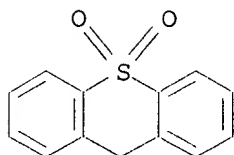
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	GB 2355457	A1	20010425	GB 2000-23334	20000922 <--
	US 6387893	B1	20020514	US 2000-671520	20000927 <--
PRAI	US 1999-156890P	P	19990930	<--	
OS	MARPAT 135:242222				

AB The title compds. [I; Q = substituted 4-phenyl-2-oxooxazolidin-3-yl, 2-phenyl-4-oxothiazolidin-3-yl, 5,5-disubstituted-2,4-dioxoimidazolidin-3-yl, etc.; A1, A2 = (un)substituted benzen, heterocyclic ring; Z = absent, O, S, etc.; R1 = H, alkyl; R2-R5 = H, alkyl, cycloalkyl, etc.; R6 = H, alkyl, fluorinated alkyl; m, n = 0-3; p = 1-5; q = 0-1; s = 0-4] and their pharmaceutically acceptable salts which have been found to exhibit activity against benign prostatic hyperplasia (BPH), were prepd. and formulated. E.g., a 3-step synthesis of (4S)-II was given. The exemplified compds. I were found to have .alpha.1a Ki values of < 150 nM. The compds. I are selective in their ability to relax smooth muscle tissue enriched in the .alpha.1a receptor subtype without simultaneously inducing hypotension.

IT **261-31-4P**, Thioxanthene **3166-16-3P**
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (prepn. of novel spirotricyclic substituted azacycloalkanes as .alpha.1a adrenoceptor antagonists)
 RN 261-31-4 HCAPLUS
 CN 9H-Thioxanthene (9CI) (CA INDEX NAME)



RN 3166-16-3 HCAPLUS
 CN 9H-Thioxanthene, 10,10-dioxide (9CI) (CA INDEX NAME)



L33 ANSWER 3 OF 47 HCAPLUS COPYRIGHT 2002 ACS

AN 2001:658072 HCAPLUS

DN 135:200497

TI Vitamin preparations for reducing oxygen consumption during physical efforts

IN Wiss, Oswald

PA Switz.

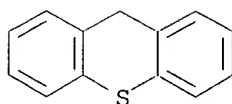
SO U.S. Pat. Appl. Publ., 16 pp., Cont.-in-part of U.S. Ser. No. 242,614.
CODEN: USXXCO

DT **Patent**

LA English

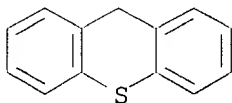
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2001020007	A1	20010906	US 2001-824801	20010404 <--
	WO 9808521	A1	19980305	WO 1997-CH298	19970814 <--
	W:		AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM		
	RW:		GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG		
PRAI	CH 1996-2097	A	19960826 <--		
	WO 1997-CH298	P	19970814 <--		
	US 1999-242614	A2	19990219 <--		
AB	The invention relates to a method of decreasing oxygen consumption during phys. work and to preps. having such effect. The effect is achieved by administering efficient quantities of certain combinations of (a) D-glucose, D-maltose, ethanol, glucogenic amine, glucogenic amino acid or an amino acid metabolizable through glyoxal, or a dipeptide or pharmaceutically acceptable salt of such an amino acid, and (b) a vitamin components selected from thiamine, thiamine salts or combinations of folic acid and cyanocobalamin. Simultaneous administration of the combinations is efficient when taken prior to or during phys. efforts or even 1 day before. Preferably, the combinations are administered in the form of gelled preps. contg. a gelling agent. L-Glutamic acid monosodium salt 500, thiamine mononitrate 10, sodium bicarbonate 100 and citric acid 100 g are intimately mixed in a dry room. The effervescent powder obtained is dispensed into sachets of 7 g each. A dose of 7 g produces a slightly effervescent soln. on sprinkling into about 30-50 mL of water.				
IT	261-31-4, Thioxanthene RL: THU (Therapeutic use) ; BIOL (Biological study); USES (Uses) (vitamin preps. for reducing oxygen consumption during phys. efforts)				
RN	261-31-4 HCAPLUS				
CN	9H-Thioxanthene (9CI) (CA INDEX NAME)				



L33 ANSWER 4 OF 47 HCAPLUS COPYRIGHT 2002 ACS
 AN 2001:579162 HCAPLUS
 DN 135:157679
 TI Nasal administration of central nervous system agents
 IN Liedtke, Rainer K.
 PA Germany
 SO Ger. Offen., 6 pp.
 CODEN: GWXXBX
 DT **Patent**
 LA German
 FAN.CNT 1

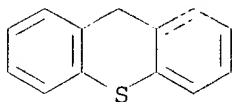
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 10004547	A1	20010809	DE 2000-10004547	20000202 <--
	EP 1129704	A1	20010905	EP 2000-104926	20000308 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
PRAI	DE 2000-10004547	A	20000202	<--	
AB	The invention concerns a method for the nasal administration of central nervous system agents; agents bind to olfactory receptors generating action potentials that affect the CNS. Various drug types can be dosed via the nose: neuroleptics, tranquilizers, thymoleptics, thymoretics, stimulants, etc. Drugs of synthetic and natural origin are formulated with ethanol or etheric oil and used as aerosols.				
IT	261-31-4, Thioxanthene				
	RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (nasal administration of central nervous system agents)				
RN	261-31-4 HCAPLUS				
CN	9H-Thioxanthene (9CI) (CA INDEX NAME)				



L33 ANSWER 5 OF 47 HCAPLUS COPYRIGHT 2002 ACS
 AN 2001:396626 HCAPLUS
 DN 135:10015
 TI Topical skin composition
 IN Mayne, James R.
 PA Alticor Inc., USA
 SO PCT Int. Appl., 28 pp.
 CODEN: PIXXD2
 DT **Patent**
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001037788	A1	20010531	WO 2000-US31933	20001121 <--
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT,				

LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,
 SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN,
 YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
 DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
 BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
 EP 1231886 A1 20020821 EP 2000-980601 20001121 <--
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
 PRAI US 1999-167539P A2 19991124 <--
 WO 2000-US31933 W 20001121
 AB A topical skin compn. that includes a complex contg. an effective amt. of
 selected components to provide a defense against the various pathway
 mechanisms of reactive oxygen species. The compn. is directed to the
 prevention of the adverse or detrimental effects of reactive oxygen
 species. A figure shows the results of skin erythema of a subject exposed
 to UV radiation after an application of a formulation comprising (wt. %)
 emollient 21.5, humectant 6.205, emulsifier 1.3, skin conditioning agent
 0.1, thickener 0.3, pH modifier 0.3, preservative 1.25, and fragrance
 0.15.
 IT **261-31-4, Thioxanthene**
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological
 study, unclassified); PEP (Physical, engineering or chemical process);
THU (Therapeutic use); BIOL (Biological study); PROC (Process);
 USES (Uses)
 (topical skin compn. for protection against reactive oxygen species)
 RN 261-31-4 HCAPLUS
 CN 9H-Thioxanthene (9CI) (CA INDEX NAME)



RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

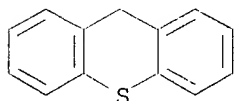
L33 ANSWER 6 OF 47 HCAPLUS COPYRIGHT 2002 ACS
 AN 2000:830325 HCAPLUS
 DN 134:21443
 TI Compositions for targeting biological agents
 IN Kabanov, Alexander V.; Alakhov, Valery Yu.; Chekhonin, Vladimir P.;
 Batrakova, Elena V.; Kabanov, Victor A.
 PA Supratek Pharma Inc., Can.
 SO U.S., 18 pp., Cont.-in-part of U.S. Ser. No. 54,403, abandoned.
 CODEN: USXXAM

DT **Patent**
 LA English

FAN.CNT 10

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6153193	A	20001128	US 1995-478979	19950607 <--
	CA 2236946	AA	19961219	CA 1996-2236946	19960607 <--
	WO 9640056	A1	19961219	WO 1996-IB801	19960607 <--
	W:			AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG	
	RW:			KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN	
	AU 9666284	A1	19961230	AU 1996-66284	19960607 <--

EP 839026 A1 19980506 EP 1996-925932 19960607 <--
 EP 839026 B1 20010926
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, LV, FI
 JP 11507028 T2 19990622 JP 1997-500287 19960607 <--
 AT 206041 E 20011015 AT 1996-925932 19960607 <--
 ES 2163034 T3 20020116 ES 1996-925932 19960607 <--
 US 6093391 A 20000725 US 1998-31279 19980227 <--
 US 6387406 B1 20020514 US 2001-907397 20010717 <--
 PRAI US 1993-54403 B2 19930428 <--
 US 1992-957998 B1 19921008 <--
 US 1995-374406 B2 19950117 <--
 US 1995-478978 A3 19950607 <--
 US 1995-478979 A 19950607 <--
 WO 1996-IB801 W 19960607 <--
 US 1997-951079 A2 19971015 <--
 US 1998-19648 A3 19980206 <--
 AB Improved pharmaceutical compns. useful in targeting biol. agents to
 particular tissue and compns. useful for administering biol. agents to the
 brain. The compn. comprises a biol. agent, a polyether block copolymer,
 and a targeting mol. contg. a targeting moiety and a lipophilic moiety. A
 soln. of micelles prepd. from Pluronic P85 and Pluronic L64 and a soln. of
 stearylated anti-.alpha.2glycoprotein antibody were mixed. The resulting
 soln. and a soln. of haloperidol dissolved in RPMI 1640 were mixed to
 obtain a brain-targeting micelles.
 IT 261-31-4D, Thioxanthene, derivs.
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (pharmaceutical compns. contg. biol. agents and polyether block
 copolymers and targeting mols. contg. targeting moieties and lipophilic
 moieties)
 RN 261-31-4 HCAPLUS
 CN 9H-Thioxanthene (9CI) (CA INDEX NAME)



RE.CNT 79 THERE ARE 79 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L33 ANSWER 7 OF 47 HCAPLUS COPYRIGHT 2002 ACS
 AN 2000:742072 HCAPLUS
 DN 133:309907
 TI Preparation of nitrogen-containing heterocyclic compounds and benzamide
 compounds as hypolipidemics and antiarteriosclerotics
 IN Ohkura, Naoto; Hiraiwa, Yukiko; Matsushima, Tetsuya; Sasaki, Kazue;
 Yamamoto, Takehiro; Shiotani, Masaharu; Suzuki, Shigeki; Nakatani, Yuuko;
 Kuroda, Chizuko; Nagasawa, Mieko; Katano, Kiyooki
 PA Meiji Seika Kaisha, Ltd., Japan
 SO PCT Int. Appl., 284 pp.
 CODEN: PIXXD2
 DT Patent
 LA Japanese
 FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000061556	A1	20001019	WO 2000-JP2329	20000410 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU,				

LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

AU 2000036759 A5 20001114 AU 2000-36759 20000410 <--
 BR 2000009650 A 20020102 BR 2000-9650 20000410 <--
 EP 1180514 A1 20020220 EP 2000-915465 20000410 <--

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO

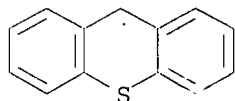
PRAI JP 1999-102559 A 19990409 <--
 JP 1999-118490 A 19990426 <--
 JP 1999-119043 A 19990427 <--
 WO 2000-JP2329 W 20000410

OS MARPAT 133:309907

AB The title compds. [I; R1 and R2 represent each (un)substituted C1-6 alkyl or alkoxy, C3-8 cycloalkyl, Ph, C2-6 alkenyl or alkynyl, 5- or 6-membered ring (un)satd. heterocyclyl; R3 and R4 represent each hydrogen, (un)substituted C1-6 alkyl, halo, OH, cyano, C2-5 alkoxy carbonyl, C1-6 alkoxy, or CO₂H; or R2 and R3 may be bonded to each other to form (CH₂)_m, N:CH, CH:N, or (C1-6 alkyl)-C:N; wherein m is 1 or 2; A, D, E and G represent each C, or one of A, D, E and G represents N and the remainders represent C; Q represents N or C; Y represents a group represented by general formula Q1 (wherein X represents hydrogen, CONR₅R₆, etc.; R₈ represents nil or a bond, O, etc.; and R₉ and R₁₀ represent each hydrogen, alkyl, etc.); and Z represents (CH₂)_n, O(CH₂)_i, or CONH(CH₂)_i; wherein n is 0-6; i is 1-6] are prepd. These compds. have an effect of inhibiting the biosynthesis of triglycerides in the liver and an effect of inhibiting the secretion of apolipoprotein B-contg. lipoproteins from the liver (the latter effect being particularly excellent), without showing the side effect of fat accumulation in the liver, and are useful in treating and preventing hyperlipemia, arteriosclerotic diseases, and pancreatitis. Thus, to a soln. of 2-benzyl-7-[4-[4-[9-(2,2,2-trifluoroethylcarbamoyl)-9H-fluoren-9-yl]butyl]piperazin-1-yl]-3,4-dihydro-2H-isoquinolin-1-one in PhMe were added NaOH, K₂CO₃, tetrabutylammonium hydrogen sulfate, and allyl bromide and the resulting mixt. was stirred at 60.degree. overnight to give title compd. (II). II in vitro inhibited the secretion of apolipoprotein B by 89% and the biosynthesis of triglycerides by 89% in HepG2 cells. Tablet and capsule formulations were also described.

IT **261-31-4P**, Thioxanthene
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (prepn. of nitrogen-contg. heterocyclic compds. and benzamide compds. as hypolipidemics and antiarteriosclerotics and inhibitors of apolipoprotein B-contg. lipoproteins and biosynthesis of triglycerides)

RN 261-31-4 HCAPLUS
 CN 9H-Thioxanthene (9CI) (CA INDEX NAME)



RE.CNT 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

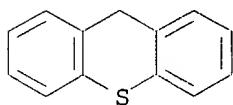
L33 ANSWER 8 OF 47 HCAPLUS COPYRIGHT 2002 ACS
 AN 2000:688070 HCAPLUS
 DN 133:232860
 TI Sibutramine and N-demethyl derivatives thereof for controlling weight gain

associated with therapeutic drugs
 IN Mendel, Carl M.; Seaton, Timothy B.; Weinstein, Steve P.
 PA Knoll Pharmaceutical Company, USA
 SO PCT Int. Appl., 17 pp.
 CODEN: PIXXD2

DT **Patent**
 LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000056313	A1	20000928	WO 2000-US7130	20000317 <--
	W: AT, AU, BG, BR, CA, CN, CZ, DE, DK, ES, FI, GB, HR, HU, ID, IL, IN, IS, JP, KR, LT, LU, LV, MX, NO, NZ, PL, PT, RO, RU, SE, SG, SI, SK, TR, UA, ZA				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	EP 1162965	A1	20011219	EP 2000-916480	20000317 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	BR 2000009159	A	20011226	BR 2000-9159	20000317 <--
	US 6376552	B1	20020423	US 2000-527962	20000317 <--
	NO 2001004480	A	20011102	NO 2001-4480	20010914 <--
PRAI	US 1999-125340P	P	19990319 <--		
	WO 2000-US7130	W	20000317 <--		
OS	MARPAT 133:232860				
AB	Compds. I (R1, R2 = H, Me) or a pharmaceutically acceptable salt thereof (e.g. N,N,-dimethyl-1-[1-(4-chlorophenyl)cyclobutyl]-3-methylbutylamine-HCl, optionally in the form of its monohydrate) are used for treating wt. gain assocd. with drug therapy, including the use of tricyclic antidepressants, lithium, sulfonyleureas, .beta.-adrenergic blockers, certain steroid contraceptives, corticosteroids, insulin, cyproheptadine, sodium valproate, neuroleptics, phenothiazines, or piztifin.				
IT	261-31-4D , Thioxanthene, derivs. RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use) ; BIOL (Biological study); USES (Uses) (sibutramine and N-demethyl derivs. for controlling wt. gain assocd. with drug therapy)				
RN	261-31-4 HCAPLUS				
CN	9H-Thioxanthene (9CI) (CA INDEX NAME)				



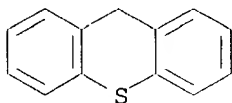
RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L33 ANSWER 9 OF 47 HCAPLUS COPYRIGHT 2002 ACS
 AN 2000:547473 HCAPLUS
 DN 133:144929
 TI Use of NK-1 receptor antagonists for treating schizophrenic disorders
 IN Baker, Raymond; Curtis, Neil Roy; Elliott, Jason Matthew; Harrison, Timothy; Hollingworth, Gregory John; Jackson, Philip Stephen; Kulagowski, Janusz Jozef; Rupniak, Nadia Melanie; Seward, Eileen May; Swain, Christopher John; Williams, Brian John
 PA Merck Sharp & Dohme Ltd., UK
 SO U.S., 14 pp., Cont.-in-part of U.S. Ser. No. 980,930, abandoned.
 CODEN: USXXAM
 DT **Patent**

LA English

FAN.CNT 16

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6100256	A	20000808	US 1998-95782	19980611 <--
	US 6271230	B1	20010807	US 1999-317788	19990524 <--
PRAI	GB 1996-25051	A	19961202 <--		
	GB 1997-1459	A	19970124 <--		
	GB 1997-13715	A	19970627 <--		
	GB 1997-16491	A	19970804 <--		
	GB 1997-21191	A	19971007 <--		
	US 1997-980930	B2	19971201 <--		
	US 1997-980928	A3	19971201 <--		
AB	The invention provides a method for the treatment or prevention of schizophrenic disorders using an orally active, long acting, CNS-penetrant NK-1 receptor antagonist, as well as pharmaceutical compns. comprising such a NK-1 receptor antagonist. Compd. prepn. is included.				
IT	261-31-4D , Thioxanthene, derivs. RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use) ; BIOL (Biological study); USES (Uses) (NK-1 receptor antagonists for treating schizophrenic disorders, and compd. prepn.)				
RN	261-31-4 HCAPLUS				
CN	9H-Thioxanthene (9CI) (CA INDEX NAME)				



RE.CNT 38 THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L33 ANSWER 10 OF 47 HCAPLUS COPYRIGHT 2002 ACS

AN 1999:763862 HCAPLUS

DN 132:442

TI Aryl compounds, and preparation thereof, having IgE-affecting properties
IN Sircar, Jagadish; Richards, Mark L.; Campbell, Michael G.; Major, Michael W.

PA Avanir Pharmaceuticals, USA

SO PCT Int. Appl., 69 pp.

CODEN: PIXXD2

DT **Patent**

LA English

FAN.CNT 5

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9961013	A2	19991202	WO 1999-US11363	19990521 <--
	WO 9961013	A3	20000406		
	W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	AU 9941978	A1	19990521	AU 1999-41978	19990521 <--
	EP 1077695	A2	20010228	EP 1999-925756	19990521 <--

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO

BR 9910640 A 20011030 BR 1999-10640 19990521 <--
JP 2002516274 T2 20020604 JP 2000-550473 19990521 <--
NO 2000005887 A 20010119 NO 2000-5887 20001121 <--
PRAI US 1998-86494P P 19980522 <--
WO 1999-US11363 W 19990521 <--

OS MARPAT 132:442

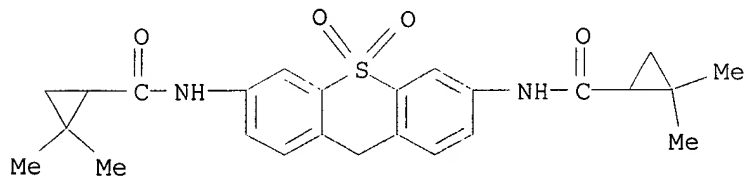
AB Small mol. inhibitors of the IgE response to allergens are provided which are useful in the treatment of allergy and/or asthma or any diseases where IgE is pathogenic.

IT 251340-36-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(aryl compd. prepn. for inhibition of IgE response)

RN 251340-36-0 HCAPLUS

CN Cyclopropanecarboxamide, N,N'-(10,10-dioxido-9H-thioxanthene-3,6-diyl)bis[2,2-dimethyl- (9CI) (CA INDEX NAME)



L33 ANSWER 11 OF 47 HCAPLUS COPYRIGHT 2002 ACS

AN 1999:565911 HCAPLUS

DN 131:179801

TI P-glycoprotein and MRP inhibitors for chemosensitizing multidrug resistant tumor cells

IN Smith, Charles

PA Fox Chase Cancer Center, USA

SO PCT Int. Appl., 30 pp.

CODEN: PIXXD2

DT **Patent**

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9943323	A1	19990902	WO 1999-US4439	19990226 <--
	W: CA, JP, US				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				

US 6248752 B1 20010619 US 1999-257829 19990225 <--

PRAI US 1998-76212P P 19980227 <--

OS MARPAT 131:179801

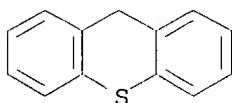
AB Various compds., such as dihydropyridines, thioxanthenes, phenothiazines, cyclosporines and acridonecarboxamides, effective in sensitizing drug resistant tumor cells are disclosed which are useful in cancer therapy. The compds. of the invention are ether: (1) selective inhibitors of P-glycoprotein function, (2) selective inhibitors of MRP function, or (3) dual inhibitors of both transporters. The compds. increased the toxicity of antitumor drug, e.g. actinomycin D toward P-glycoprotein-mediated multidrug resistant cells MCF-7/ADR and/or vincristine toward MRP-mediated multidrug resistant cells HL-60/ADR. Most of the compds. tested have low intrinsic cytotoxicity (<20% of cells killed by doses of 10 .mu.g/mL).

IT 261-31-4D, Thioxanthene, derivs.

RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or

effector, except adverse); BSU (Biological study, unclassified); **THU (Therapeutic use)**; BIOL (Biological study); USES (Uses)
(P-glycoprotein and MRP inhibitors for chemosensitizing multidrug resistant tumor cells)

RN 261-31-4 HCAPLUS
CN 9H-Thioxanthene (9CI) (CA INDEX NAME)



RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L33 ANSWER 12 OF 47 HCAPLUS COPYRIGHT 2002 ACS

AN 1999:70406 HCAPLUS

DN 130:129770

TI Depilatory compositions, methods for their preparation and their use

IN Guillaume, Bruno; Desmots, Sarah; Ledon, Philippe; Pires, Veronique

PA Reckitt & Colman France, Fr.; Reckitt & Colman Products Limited

SO PCT Int. Appl., 24 pp.

CODEN: PIXXD2

DT **Patent**

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9902125	A1	19990121	WO 1998-GB1878	19980626 <--
	W:				
	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE,				
	DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG,				
	KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX,				
	NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT,				
	UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW:				
	GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES,				
	FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI,				
	CM, GA, GN, ML, MR, NE, SN, TD, TG				
	GB 2327190	A1	19990120	GB 1998-13725	19980626 <--
	GB 2327190	B2	20020417		
	AU 9881229	A1	19990208	AU 1998-81229	19980626 <--
	EP 1001735	A1	20000524	EP 1998-930956	19980626 <--
	R:				
	CH, DE, ES, FR, GB, IT, LI				
	BR 9810552	A	20000815	BR 1998-10552	19980626 <--
	GB 2367749	A1	20020417	GB 2001-27115	19980626 <--
	GB 2367749	B2	20020605		
	ZA 9805966	A	19990304	ZA 1998-5966	19980707 <--
	US 6306380	B1	20011023	US 2000-462331	20000407 <--
PRAI	EP 1997-401638	A	19970709		<--
	GB 1997-20372	A	19970926		<--
	GB 1998-13725	A3	19980626		<--
	WO 1998-GB1878	W	19980626		<--

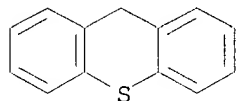
AB The invention provides depilatory compns. comprising (a) a continuous aq. phase; (b) a depilatory agent; and (c) an oil phase comprising (i) a non-polar oil sepd. from the continuous aq. phase by a bilayer phase comprising (ii) a surfactant; and (iii) a polar substance; wherein the compn. is substantially free from tertiary amines; processes for their prepn.; and their use in degrading hair keratin. A depilatory cream contained cetostearyl alc. 8, Na Mg silicate 1, Ca(OH)₂ 0.5, urea 8, L-arginine 2, polyethyleneimine 1, Mg trisilicate 0.5, titania 0.33, K thioglycolate 10, shea butter 0.5, perfumes 0.5, paraffin oils 3.5, propylene glycol 0.26, Acrysol 33 0.01, Arlamol E 1, cetareth 20 3, and

deionized water to 100 %.

IT **261-31-4**, Thioxanthene
 RL: **BUU (Biological use, unclassified)**; BIOL (Biological study);
 USES (Uses)
 (mild depilatory cream compns. free of tertiary amines)

RN 261-31-4 HCAPLUS

CN 9H-Thioxanthene (9CI) (CA INDEX NAME)



RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L33 ANSWER 13 OF 47 HCAPLUS COPYRIGHT 2002 ACS

AN 1998:703420 HCAPLUS

DN 129:335730

TI Covalent polar lipid conjugates with neurologically active compounds for targeting

IN Yatvin, Milton B.; Stowell, Michael H. B.; Meredith, Michael J.

PA Oregon Health Sciences University, USA

SO U.S., 25 pp., Cont.-in-part of U.S. Ser. No. 685,152.
 CODEN: USXXAM

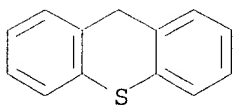
DT **Patent**
 LA English

FAN.CNT 6

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5827819	A	19981027	US 1996-735977	19961025 <--
	US 5149794	A	19920922	US 1990-607982	19901101 <--
	US 5256641	A	19931026	US 1992-911209	19920709 <--
	US 5543389	A	19960806	US 1993-142771	19931026 <--
	US 5965519	A	19991012	US 1996-685152	19960723 <--
	US 6024977	A	20000215	US 1997-923015	19970903 <--
	AU 9850909	A1	19980515	AU 1998-50909	19971027 <--
	AU 738524	B2	20010920		
	EP 944399	A2	19990929	EP 1997-913811	19971027 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	JP 2002514188	T2	20020514	JP 1998-519709	19971027 <--
	US 6436437	B1	20020820	US 2000-503892	20000215 <--
PRAI	US 1990-607982	A2	19901101	<--	
	US 1992-911209	A2	19920709	<--	
	US 1993-142771	A1	19931026	<--	
	US 1996-685152	A2	19960723	<--	
	US 1996-735977	A3	19961025	<--	
	US 1997-923015	A3	19970903	<--	
	WO 1997-US19486	W	19971027	<--	
AB	A method of facilitating the entry of drugs into cells and tissues at physiol. protected sites at pharmacokinetically useful levels and also a method of targeting drugs to specific organelles within the cell are described. This polar lipid/drug conjugate targeting invention embodies an advance over other drug targeting methods known in the prior art, because the invention provides drug concns. in such physiol. protected sites that can reach therapeutically-effective levels after administration of systemic levels much lower than are currently administered to achieve a therapeutic dose. This technol. is appropriate for use with psychotropic, neurotropic and neurol. drugs, agents and compds., for rapid and efficient introduction of such agents across the blood-brain barrier. Further, the				

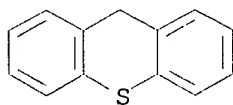
invention provides means for retention and prolonged enzymic release of psychotropic, neurotropic and neurol. drugs, agents and compds. comprising the conjugates of the invention, in the brain and central nervous system. Methotrexate (I) linked to sphingosine via an ester linkage to 6-hydroxyhexanoic acid spacer was prepd. Growth inhibitory effects of I conjugate was tested on murine NIH3T3 cells. The prodrug was ineffective in inhibiting cell growth or survival in the absence of brain ext. Upon addn. of brain ext., a significant increase in I cytotoxicity was obsd., which was consistent with cleavage of the ester linkage by the brain ext.-derived esterase.

IT **261-31-4D**, Thioxanthene, conjugates
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); **THU (Therapeutic use)**; BIOL (Biological study); USES (Uses)
 (covalent polar lipid conjugates with neurol. active compds. for targeting)
 RN 261-31-4 HCAPLUS
 CN 9H-Thioxanthene (9CI) (CA INDEX NAME)



L33 ANSWER 14 OF 47 HCAPLUS COPYRIGHT 2002 ACS
 AN 1997:558956 HCAPLUS
 DN 127:158795
 TI Method for prognosis of endogenous psychosis psychopharmacotherapy efficacy
 IN Avrutskij, Grigorij Ya; Altunin, Aleksandr I.
 PA Moskovskij Nauchno-Issledovatel'skij Institut Psikhiiatrii MZ RF, Russia
 SO Russ.
 From: Izobreteniya 1997, (11), 105.
 CODEN: RUXXE7
 DT **Patent**
 LA Russian
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	RU 2077322	C1	19970420	RU 1993-18896	19930412 <--
AB	Title only translated.				
IT	261-31-4 , Thioxanthene				
	RL: THU (Therapeutic use) ; BIOL (Biological study); USES (Uses) (derivs.; method for prognosis of endogenous psychosis psychopharmacotherapy efficacy)				
RN	261-31-4 HCAPLUS				
CN	9H-Thioxanthene (9CI) (CA INDEX NAME)				



L33 ANSWER 15 OF 47 HCAPLUS COPYRIGHT 2002 ACS
 AN 1997:97728 HCAPLUS
 DN 126:171622
 TI Polycyclic systems, and derivatives thereof, as neurotransmitter release

enhancers useful in the treatment of cognitive disorders

IN Teleha, Christopher A.; Wilkerson, Wendell W.; Earl, Richard A.
 PA Dupont Merck Pharmaceutical Company, USA
 SO U.S., 35 pp., Cont.-in-part of U.S. Ser. No. 44,012, abandoned.
 CODEN: USXXAM

DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5594001	A	19970114	US 1994-216881	19940328 <--
	CA 2160112	AA	19941027	CA 1994-2160112	19940404 <--
	WO 9424131	A1	19941027	WO 1994-US3673	19940404 <--
	W:	AU, BB, BG, BR, BY, CA, CN, CZ, FI, GE, HU, JP, KG, KP, KR, KZ, LK, LV, MD, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SI, SK, TJ, TT, UA, UZ, VN			
	RW:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
	AU 9465549	A1	19941108	AU 1994-65549	19940404 <--
	AU 690906	B2	19980507		
	BR 9405954	A	19951226	BR 1994-5954	19940404 <--
	EP 693069	A1	19960124	EP 1994-913355	19940404 <--
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE			
	CN 1122135	A	19960508	CN 1994-191713	19940404 <--
	CN 1058495	B	20001115		
	JP 08509713	T2	19961015	JP 1994-523257	19940404 <--
	RO 115162	B1	19991130	RO 1995-1753	19940404 <--
	PL 178570	B1	20000531	PL 1994-310997	19940404 <--
	RU 2152944	C1	20000720	RU 1995-120594	19940404 <--
	IL 109237	A1	19980208	IL 1994-109237	19940406 <--
	ZA 9402444	A	19951009	ZA 1994-2444	19940408 <--
	FI 9504775	A	19951006	FI 1995-4775	19951006 <--
	NO 9503989	A	19951207	NO 1995-3989	19951006 <--
	LV 11179	B	19970620	LV 1995-302	19951009 <--
	US 5990132	A	19991123	US 1996-664631	19960617 <--
	CN 1295072	A	20010516	CN 2000-100940	20000108 <--
PRAI	US 1993-44012	B2	19930408	<--	
	US 1994-216881	A	19940328	<--	
	WO 1994-US3673	W	19940404	<--	

OS MARPAT 126:171622

AB Title compds. I [A = atoms to form fused benzene, pyridine, or pyrazole ring; B = atoms to form fused benzene, pyridine, pyrimidine, pyrazine, thiophene, furan, or other rings; Z = bond, CO, O, (un)substituted NH, S, SO, or SO₂; R₁ = (un)substituted pyridyl or pyrimidinyl; R₂, R₃ = H, halo, OH, CF₃, CONH₂, alkoxycarbonyl, etc.; R = H, various groups including CH₂R₁] are disclosed. I enhance the release of the neurotransmitter acetylcholine, and thus may be useful in the treatment of diseases where subnormal levels of this neurochem. are found, such as in Alzheimer's disease, and other conditions involving learning and cognition. The invention describes compds., pharmaceutical compns., and methods of treatment comprising I. For instance, 2-thienyllithium and Me 2-iodobenzoate were coupled using Pd(PPh₃)₄ catalyst, followed by sapon. of the obtained ester, cyclization of the acid, and redn. of the resulting ketone, to give 4H-indeno[1,2-b]thiophene. Condensation of the latter with 4-pyridinecarboxaldehyde, followed by Zn/AcOH redn., and then C-alkylation with 3-cyanobenzyl bromide, gave title compd. II, isolated as the HBr salt. In a test for increase in acetylcholine in rat hippocampus in vivo, the similarly prepd. compd. III showed greater activity than a known anthrone deriv. of similar structure.

IT 3166-16-3, Thioxanthene 10,10-dioxide 10133-81-0,
 Thioxanthene 10-oxide

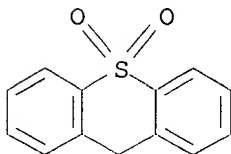
RL: RCT (Reactant); RACT (Reactant or reagent)

(starting material; prepn. of polycyclic compds. as neurotransmitter

release enhancers for treatment of cognitive disorders)

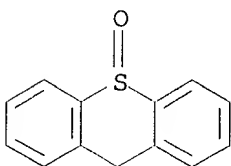
RN 3166-16-3 HCAPLUS

CN 9H-Thioxanthene, 10,10-dioxide (9CI) (CA INDEX NAME)



RN 10133-81-0 HCAPLUS

CN 9H-Thioxanthene, 10-oxide (9CI) (CA INDEX NAME)



L33 ANSWER 16 OF 47 HCAPLUS COPYRIGHT 2002 ACS

AN 1996:340664 HCAPLUS

DN 125:1408

TI Methods for treating and/or preventing Alzheimer's disease using phenothiazines and/or thioxanthenes

IN Davies, Peter; Vincent, Inez J.

PA Albert Einstein College of Medicine of Yeshiva University, USA; Davies, Peter; Vincent, Inez, J.

SO PCT Int. Appl., 64 pp.

CODEN: PIXXD2

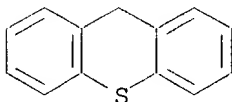
DT **Patent**

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9604915	A1	19960222	WO 1995-US10110	19950807 <--
	W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TT				
	RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	CA 2196529	AA	19960222	CA 1995-2196529	19950807 <--
	AU 9532793	A1	19960307	AU 1995-32793	19950807 <--
	AU 708682	B2	19990812		
	EP 778773	A1	19970618	EP 1995-929441	19950807 <--
	R: CH, DE, FR, GB, IT, LI, NL				
	JP 11506414	T2	19990608	JP 1995-507474	19950807 <--
PRAI	US 1994-287339		19940808 <--		
	US 1994-346757		19941130 <--		
	WO 1995-US10110		19950807 <--		
AB	Methods are disclosed for preventing or treating Alzheimer's disease which comprise administering to a patient an amt. of a phenothiazine or a thioxanthene effective to prevent or diminish the accumulation of abnormally phosphorylated, paired helical filament epitopes assocd. with Alzheimer's Disease.				

IT **261-31-4D, Thioxanthene, derivs.**
 RL: **THU (Therapeutic use)**; BIOL (Biological study); USES (Uses)
 (phenothiazines and/or thioxanthenes for treating and/or preventing
 Alzheimer's disease)
 RN 261-31-4 HCAPLUS
 CN 9H-Thioxanthene (9CI) (CA INDEX NAME)

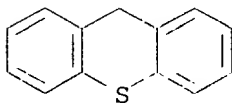


L33 ANSWER 17 OF 47 HCAPLUS COPYRIGHT 2002 ACS
 AN 1996:115247 HCAPLUS
 DN 124:155683
 TI Depilatory compositions comprising sulfhydryl compounds
 IN Hillebrand, Greg George; Gartstein, Vladimir
 PA Procter and Gamble Co., USA
 SO PCT Int. Appl., 20 pp.
 CODEN: PIXXD2
 DT **Patent**
 LA English
 FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	WO 9533439	A1	19951214	WO 1995-US6223	19950518	<--
	W:	AM, AU, BB, BG, BR, BY, CA, CN, CZ, FI, HU, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LV, MD, MG, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TT, UA, UZ, VN				
	RW:	KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	AU 9525174	A1	19960104	AU 1995-25174	19950518	<--
	CA 2169098	AA	19951214	CA 1995-2169098	19950608	<--
	CN 1131909	A	19960925	CN 1995-190751	19950608	<--
	ES 2160168	T3	20011101	ES 1995-923011	19950608	<--
	TW 402503	B	20000821	TW 1995-84111642	19951103	<--
PRAI	US 1994-257585	A	19940609			<--
	WO 1995-US6223	W	19950518			<--

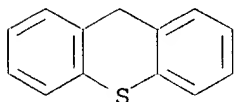
AB The subject invention involves topical depilatory compns., at a pH of 7 or below, comprising sulfhydryl compds. The subject invention further relates to methods for removing vellus hair from mammalian skin comprising topical application of the compn. The compn. further contains emollients and hair growth suppressants. For example, a topical compn. contg. N-acetyl -L-cysteine 5.0, propylene glycol 45.0, ethanol 30.0, and water 20.0% was applied to the face twice per day to remove unwanted vellus hair and retard growth of replacement hair.

IT **261-31-4, Thioxanthene**
 RL: **BUU (Biological use, unclassified)**; BIOL (Biological study);
 USES (Uses)
 (depilatory compns. contg. sulfhydryl compds.)
 RN 261-31-4 HCAPLUS
 CN 9H-Thioxanthene (9CI) (CA INDEX NAME)



L33 ANSWER 18 OF 47 HCAPLUS COPYRIGHT 2002 ACS
 AN 1996:115244 HCAPLUS
 DN 124:155682
 TI Depilatory compositions comprising sulfhydryl compounds
 IN Hillebrand, Greg George; Gartstein, Vladimir
 PA Procter and Gamble Co., USA
 SO PCT Int. Appl., 25 pp.
 CODEN: PIXXD2
 DT **Patent**
 LA English
 FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	WO 9533440	A1	19951214	WO 1995-US7311	19950608	<--
	W:	AM, AU, BB, BG, BR, BY, CA, CN, CZ, FI, HU, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LV, MD, MG, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TT, UA, UZ, VN				
	RW:	KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	CA 2169098	AA	19951214	CA 1995-2169098	19950608	<--
	AU 9527697	A1	19960104	AU 1995-27697	19950608	<--
	AU 692886	B2	19980618			
	EP 719127	A1	19960703	EP 1995-923011	19950608	<--
	EP 719127	B1	20010919			
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
	CN 1131909	A	19960925	CN 1995-190751	19950608	<--
	JP 09501446	T2	19970210	JP 1995-501314	19950608	<--
	AT 205699	E	20011015	AT 1995-923011	19950608	<--
	ES 2160168	T3	20011101	ES 1995-923011	19950608	<--
	TW 402503	B	20000821	TW 1995-84111642	19951103	<--
PRAI	US 1994-257585	A	19940609			<--
	WO 1995-US7311	W	19950608			<--
AB	The subject invention involves topical depilatory compns., at a pH of 7 or below, comprising sulfhydryl compds. The subject invention further relates to methods for removing vellus hair from mammalian skin comprising topical application of the compn. A soln. contg. N-acetyl-L-cysteine 5.0, propylene glycol 45.0, ethanol 30.0, and water 20 % was applied to the face twice per day to remove unwanted vellus hair.					
IT	261-31-4, Thioxanthene					
	RL: BUU (Biological use, unclassified); BIOL (Biological study); USES (Uses)					
	(depilatory compns. contg. sulfhydryl compds.)					
RN	261-31-4 HCAPLUS					
CN	9H-Thioxanthene (9CI) (CA INDEX NAME)					



L33 ANSWER 19 OF 47 HCAPLUS COPYRIGHT 2002 ACS
 AN 1996:106557 HCAPLUS
 DN 124:126906
 TI Topical compositions containing sulfhydryl compounds for lightening hyperpigmented regions in mammalian skin
 IN Hillebrand, Greg George
 PA Procter and Gamble Co., USA

SO PCT Int. Appl., 27 pp.

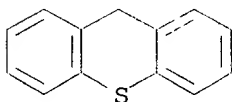
CODEN: PIXXD2

DT **Patent**

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9534280	A1	19951221	WO 1995-US7432	19950612 <--
	W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, FI, HU, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LV, MD, MG, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TT, UA, UZ, VN				
	RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	CA 2192665	AA	19951221	CA 1995-2192665	19950612 <--
	AU 9529019	A1	19960105	AU 1995-29019	19950612 <--
	AU 705904	B2	19990603		
	EP 758882	A1	19970226	EP 1995-924580	19950612 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
	CN 1152865	A	19970625	CN 1995-194154	19950612 <--
	JP 10501817	T2	19980217	JP 1995-502377	19950612 <--
	TW 452493	B	20010901	TW 1995-84111210	19951024 <--
PRAI	US 1994-259804	A	19940615 <--		
	WO 1995-US7432	W	19950612 <--		
AB	Topical compns. for lightening hyperpigmented regions in mammalian skin contain sulfhydryl compds., e.g thioglycolic acid (I). A topical compn. contained I 5.0, propylene glycol 45.0, ethanol 30.0, and water q.s. 20.0%.				
IT	261-31-4 , Thioxanthen				
	RL: BUU (Biological use, unclassified) ; BIOL (Biological study);				
	USES (Uses)				
	(topical compns. contg. sulfhydryl compds. for lightening hyperpigmented regions in mammalian skin)				
RN	261-31-4 HCAPLUS				
CN	9H-Thioxanthene (9CI) (CA INDEX NAME)				



L33 ANSWER 20 OF 47 HCAPLUS COPYRIGHT 2002 ACS

AN 1995:324659 HCAPLUS

DN 122:105859

TI Preparation of polycyclic heteroaromatics as neurotransmitter release enhancers for treatment of cognitive disorders

IN Teleha, Christopher Allan; Wilkerson, Wendell Wilkie; Earl, Richard Alan

PA du Pont de Nemours, E. I., and Co., USA

SO PCT Int. Appl., 101 pp.

CODEN: PIXXD2

DT **Patent**

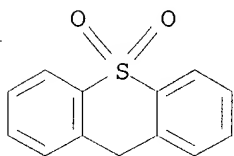
LA English

FAN.CNT 2

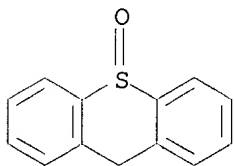
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9424131	A1	19941027	WO 1994-US3673	19940404 <--
	W: AU, BB, BG, BR, BY, CA, CN, CZ, FI, GE, HU, JP, KG, KP, KR, KZ, LK, LV, MD, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SI, SK, TJ, TT, UA, UZ, VN				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE,				

BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

US 5594001	A	19970114	US 1994-216881	19940328	<--
AU 9465549	A1	19941108	AU 1994-65549	19940404	<--
AU 690906	B2	19980507			
BR 9405954	A	19951226	BR 1994-5954	19940404	<--
EP 693069	A1	19960124	EP 1994-913355	19940404	<--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE					
JP 08509713	T2	19961015	JP 1994-523257	19940404	<--
RO 115162	B1	19991130	RO 1995-1753	19940404	<--
PL 178570	B1	20000531	PL 1994-310997	19940404	<--
RU 2152944	C1	20000720	RU 1995-120594	19940404	<--
FI 9504775	A	19951006	FI 1995-4775	19951006	<--
NO 9503989	A	19951207	NO 1995-3989	19951006	<--
PRAI US 1993-44012	A	19930408	<--		
US 1994-216881	A	19940328	<--		
WO 1994-US3673	W	19940404	<--		
OS	MARPAT 122:105859				
AB	Title compds. [I; A = (un)substituted CH:CHCH:CH, -N:CHCH:CH, -CH:NCH:CH, -N:CHCH:N, etc.; B = (un)substituted CH:CHCH:CH, -N:CHCH:CH, -CH:NCH:CH, -OCH:CH, etc.; R = H, CH ₂ Ph, pyridylmethyl, (CH ₂) _n O ₂ CR ₅ , etc.; R ₁ = (un)substituted (methyl)pyridyl, -pyrimidinyl; R ₅ = H, alkyl; Z = bond, CO, O, S, etc.; n = 1-5] were prepd. Thus, prepd. title compd. II gave 533% of baseline acetylcholine release from rat parietal cortex slices at 10.μM and was active (sic) in rat hypoxia-induced passive avoidance test (dose not given).				
IT	3166-16-3 , Thioxanthene-10,10-dioxide 10133-81-0 , Thioxanthene-10-oxide RL: RCT (Reactant); RACT (Reactant or reagent) (prepn. of polycyclic heteroaroms. as neurotransmitter release enhancers for treatment of cognitive disorders)				
RN	3166-16-3 HCAPLUS				
CN	9H-Thioxanthene, 10,10-dioxide (9CI) (CA INDEX NAME)				



RN 10133-81-0 HCAPLUS
CN 9H-Thioxanthene, 10-oxide (9CI) (CA INDEX NAME)

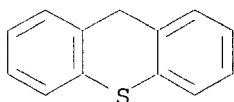


L33 ANSWER 21 OF 47 HCAPLUS COPYRIGHT 2002 ACS
AN 1994:400925 HCAPLUS
DN 121:925
TI Synergistic combination of dihydropyridine with neuroleptic for treating psychomotor stimulant abuse and psychosis
IN Martin-Iverson, Matthew T.; Dilullo, Sherry L.
PA Can.
SO Can. Pat. Appl., 31 pp.

CODEN: CPXXEB

DT **Patent**
 LA English
 FAN.CNT 1

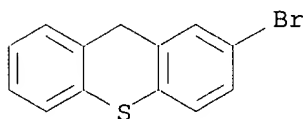
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	CA 2075107	AA	19940201	CA 1992-2075107	19920731 <--
AB	Combination of a dihydropyridine calcium channel blocker with a neuroleptic are effective in treating psychomotor stimulant abuse and psychosis. Combination of nimodipine (10mg/kg, s.c.) and haloperidol (0.05 mg/kg, i.p.) blocked the expression of cocaine conditioning in rats.				
IT	261-31-4 , Thioxanthene RL: BIOL (Biological study) (synergistic mixts. with dihydropyridine derivs., for treatment of psychomotor stimulant abuse and psychosis)				
RN	261-31-4 HCAPLUS				
CN	9H-Thioxanthene (9CI) (CA INDEX NAME)				



L33 ANSWER 22 OF 47 HCAPLUS COPYRIGHT 2002 ACS
 AN 1992:448338 HCAPLUS
 DN 117:48338
 TI Process for preparation of 2,7-diamidinoxanthene and -thioxanthene
 IN Chauhan, Prem Man Singh; Iyer, Raman Narayan; Shankhdhar, Veena; Guru, Purushottam Yeshwant; Amiya, Bushansen
 PA Council of Scientific and Industrial Research (India), India
 SO Indian, 7 pp.
 CODEN: INXXAP

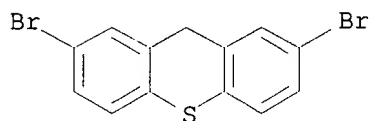
DT **Patent**
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	IN 167210	A	19900922	IN 1987-DE626	19870723 <--
AB	Title compds. I (R = H ₂ NC:NH; X = O, S) useful in treatment of human Kala-azar (no data) are prepd. from I (R = Br, X = O, S) by cyanation, hydrolysis, and amination. Thus, I (R = Br, X = S) (prepn. given), CuCN, and pyridine were heated to 200.degree. for 48 h to give I (R = NC; X = S) (II). II was treated with HCl/EtOH/dioxane at 0.degree. and the resulting imino ether was treated with EtOH/NH ₃ to give I (R = H ₂ NC:NH, X = S).				
IT	135566-29-9 , 2-Bromothioxanthene RL: PROC (Process) (conversion of, to dibromo deriv., in prepn. of diamidino deriv)				
RN	135566-29-9 HCAPLUS				
CN	9H-Thioxanthene, 2-bromo- (9CI) (CA INDEX NAME)				



IT **40102-88-3P**
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
 (prepn. and cyanation of, in prepn. of diamidino deriv)

RN 40102-88-3 HCAPLUS
 CN 9H-Thioxanthene, 2,7-dibromo- (9CI) (CA INDEX NAME)



L33 ANSWER 23 OF 47 HCAPLUS COPYRIGHT 2002 ACS
 AN 1992:51589 HCAPLUS
 DN 116:51589
 TI Use of S-adenosyl-L-methionine (SAmE) to reverse and/or prevent
 supersensitivity, tolerance, and extrapyramidal side effects induced by
 neuroleptic treatment
 IN Kask, A. M.; Marin, C.
 PA National Institutes of Health, USA
 SO U. S. Pat. Appl., 40 pp. Avail. NTIS Order No. PAT-APPL-7-575 808.
 CODEN: XAXXAV

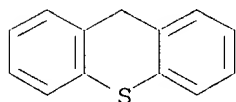
DT **Patent**
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 575808	A0	19910615	US 1990-575808	19900831 <--
	US 5137712	A	19920811		

AB A method for reversing or preventing the onset of tolerance and development of extrapyramidal side effects in humans due to prolonged treatment with neuroleptics comprises including SAmE in the treatment regime. SAmE is a membrane fluidizer and counteracts the effects of neuroleptics which alter membrane fluidity. SAmE also is administered to attenuate alc. withdrawal symptoms and to treat atopic or antigen-induced asthma. Concurrent treatment of rats with haloperidol (HAL) and SAmE had profound effects on HAL-induced catalepsy and D2 dopaminergic receptor upregulation. In the presence of SAmE, D2-mediated supersensitivity and tolerance were shifted back toward normal values in both the initial concurrent group and in the delayed concurrent group.

IT **261-31-4D**, 9H-Thioxanthene, derivs.
 RL: PRP (Properties)
 (tolerance and extrapyramidal side effects of, prevention and treatment of, with adenosylmethionine)

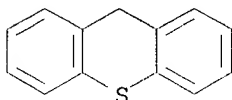
RN 261-31-4 HCAPLUS
 CN 9H-Thioxanthene (9CI) (CA INDEX NAME)



L33 ANSWER 24 OF 47 HCAPLUS COPYRIGHT 2002 ACS
 AN 1991:442009 HCAPLUS
 DN 115:42009
 TI Method and composition for the therapeutic and prophylactic treatment of trauma to the skin using compounds interfering with calcium-calmodulin complex
 PA Bar Ilan University, Israel
 SO Israeli, 65 pp.
 CODEN: ISXXAQ

DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	IL 75467	A1	19900712	IL 1985-75467	19850611 <--
	US 4777171	A	19881011	US 1985-734120	19850515 <--
PRAI	US 1984-619274		19840611	<--	
	US 1984-670402		19841113	<--	
	US 1985-734120		19850515	<--	
	US 1984-670482		19841113	<--	
AB	Skin trauma (e.g. burn, sunburn, frostbite) is treated or inhibited by administering a compd. that inhibits the action of Ca-calmodulin complex (e.g. phenothiazines, thioxanthenes, butyrophenones, diphenylbutylamines, dibenzodiazepines, benzodiazepines, dibenzazepines, and naphthalenesulfonamides). The compd. may be administered in combination with a local anesthetic and/or an anti-infective agent. Injection of trifluoperazine.2HCl (80 mg/kg body wt., in saline soln.) into rats 100 min prior to or immediately after burning with 100.degree. water prevented or reversed the effect on Hb content, ATP concn., 6-phosphogluconate dehydrogenase activity, and mitochondrial hexokinase activity in the skin. Burning induced a significant decrease in protein concn.; the treatment reversed this effect. A topical ointment contains trifluoperazine 8.0, liq. petrolatum 5.0, and white petroleum 87.0 g.				
IT	261-31-4D, Thioxanthene, derivs. RL: BIOL (Biological study) (skin trauma treatment with)				
RN	261-31-4 HCAPLUS				
CN	9H-Thioxanthene (9CI) (CA INDEX NAME)				



L33 ANSWER 25 OF 47 HCAPLUS COPYRIGHT 2002 ACS
AN 1990:515068 HCAPLUS
DN 113:115068
TI Preparation of dibenzothiophenes as hematocyte **regeneration**
stimulants
PA American Cyanamid Co., USA
SO Jpn. Kokai Tokkyo Koho, 36 pp.
CODEN: JKXXAF

DT Patent
LA Japanese
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 02017184	A2	19900122	JP 1989-124639	19890519 <--
	US 4965284	A	19901023	US 1989-341862	19890425 <--
PRAI	US 1988-196166		19880519	<--	
	US 1989-341862		19890425	<--	
OS	MARPAT 113:115068				
AB	The title compds. I, II, and III [Y, X = H, F, Cl, Br; n = 0 or 1; m = 0-2; R = N:CR1NR2R3, NR5COR4, etc.; R1 = alkyl, cycloalkyl, (substituted) Ph, pyridine, etc.; R2 = H, alkyl, PhCH2; R3 = alkyl, cycloalkyl; R4 = alkyl, (substituted) Ph, CH2COMe, CH2NMe2; R5 = H, alkyl; R1R2 may form (CH2)q; q = 2-5; or NR2R3 = pyrrolidino, morpholino, thiomorpholino, 4-methylpiperidino, etc.], were prepd. A mixt. of 7-fluoro-3-dibenzothiopheneamine S,S-dioxide and Ac2O in pyridine was set aside for				

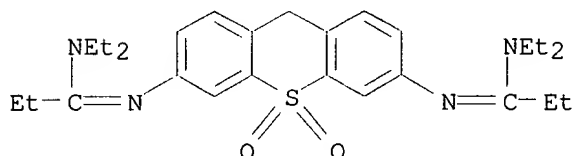
1.5 h to give N-(7-fluoro-3-dibenzothieryl)acetamide S S-dioxide (IV). IV at 100 mg/kg increased the generation of interleukin-2 in mice by 30%.

IT 127330-36-3P 128141-92-4P 128141-93-5P
128141-94-6P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

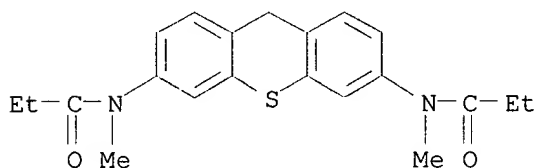
RN 127330-36-3 HCAPLUS

CN Propanimidamide, N',N''-(10,10-dioxido-9H-thioxanthene-3,6-diyl)bis[N,N-diethyl- (9CI) (CA INDEX NAME)



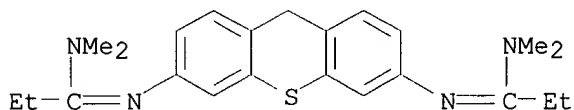
RN 128141-92-4 HCAPLUS

CN Propanamide, N,N'-9H-thioxanthene-3,6-diylbis[N-methyl- (9CI) (CA INDEX NAME)



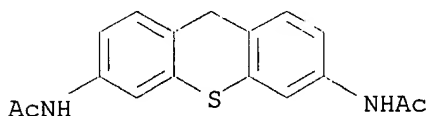
RN 128141-93-5 HCAPLUS

CN Propanimidamide, N',N''-9H-thioxanthene-3,6-diylbis[N,N-dimethyl- (9CI) (CA INDEX NAME)



RN 128141-94-6 HCAPLUS

CN Acetamide, N,N'-9H-thioxanthene-3,6-diylbis- (9CI) (CA INDEX NAME)



L33 ANSWER 26 OF 47 HCAPLUS COPYRIGHT 2002 ACS

AN 1990:434686 HCAPLUS

DN 113:34686

TI A method of sensitizing multidrug-resistant cells to antitumor agents

IN Hait, William N.; Ford, James M.

PA Yale University, USA

SO Eur. Pat. Appl.; 27 pp.

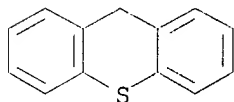
CODEN: EPXXDW

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 361485	A2	19900404	EP 1989-117994	19890928 <--
	EP 361485	A3	19901219		
	R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	US 5104858	A	19920414	US 1988-250891	19880929 <--
	ZA 8906086	A	19900627	ZA 1989-6086	19890809 <--
	JP 02188527	A2	19900724	JP 1989-248236	19890926 <--
PRAI	US 1988-250891		19880929 <--		
OS	MARPAT 113:34686				
AB	Multidrug-resistant cells are sensitized to antitumor agents (e.g., doxorubicin) by exposure to phenothiazines and thioxanthenes (I; X = CF ₃ , OMe, Br, I, Cl, H, or SMe; R ₁ and R ₂ = iso-Pr or CH ₂ CH ₂ OHCH ₂ OH; NR ₁ R ₂ = heterocyclic; n = 0-4). Some structure-activity relations of I as drug sensitizers are described.				
IT	261-31-4D , Thioxanthene, derivs. RL: BIOL (Biological study) (multidrug-resistant neoplasm sensitization by)				
RN	261-31-4 HCAPLUS				
CN	9H-Thioxanthene (9CI) (CA INDEX NAME)				



L33 ANSWER 27 OF 47 HCAPLUS COPYRIGHT 2002 ACS

AN 1990:235168 HCAPLUS

DN 112:235168

TI Preparation of substituted dibenzothiophenes as immunomodulators and antitumor agents

IN Nair, Vijay Gopalan; Conrow, Ransom Brown; Wang, Bosco Shang; Ruszala-Mallon, Veronica M.

PA American Cyanamid Co., USA

SO Eur. Pat. Appl., 39 pp.

CODEN: EPXXDW

DT **Patent**

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 342433	A2	19891123	EP 1989-107997	19890503 <--
	EP 342433	A3	19910619		
	R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, NL, SE				
	DK 8902417	A	19891120	DK 1989-2417	19890518 <--
	NO 8901985	A	19891120	NO 1989-1985	19890518 <--
	FI 8902398	A	19891120	FI 1989-2398	19890518 <--
	AU 8934911	A1	19891207	AU 1989-34911	19890518 <--
	ZA 8903738	A	19900131	ZA 1989-3738	19890518 <--
	DD 283819	A5	19901024	DD 1989-328702	19890518 <--
PRAI	US 1988-196166		19880519 <--		
OS	MARPAT 112:235168				
AB	Title compds. I [R-R ₃ = H, Br, Cl, F, EtOCH:N, substituted aminomethyleneamino, substituted carbamoyl, [(1,3-dimethyl-2-imidazolidinylidene)amino]; m = 0-2; n = 0, 1] and their pharmaceutically acceptable salts, were prepd. Significant activity of I in each aspect was examd. for their immunomodulatory activity (assay for macrophage-mediated tumor cystostasis, prodn. of interleukins, anti-sheep				

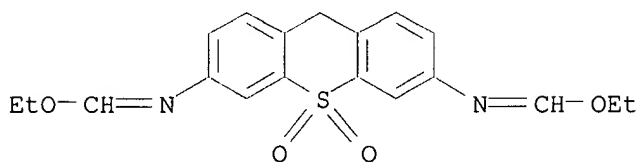
red blood cell antibody assay, colony-forming factor prodn. and assay to measure acceleration of myeloid cell recovery following 5-fluorouracil therapy). I (R = F, R1 = R2 = H, R3 = MeCONH) showed significant activity in all the above assays.

IT 127330-34-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and reaction of, in prepn. of antitumor and immunostimulant agents)

RN 127330-34-1 HCAPLUS

CN Methanimidic acid, N,N'-(10,10-dioxido-9H-thioxanthene-3,6-diyl)bis-, diethyl ester (9CI) (CA INDEX NAME)



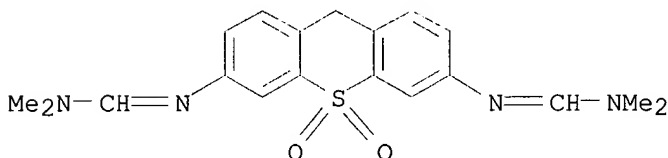
IT 127330-32-9P 127330-33-0P 127330-35-2P

127330-36-3P 127330-37-4P 127330-38-5P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as antitumor and immunostimulant)

RN 127330-32-9 HCAPLUS

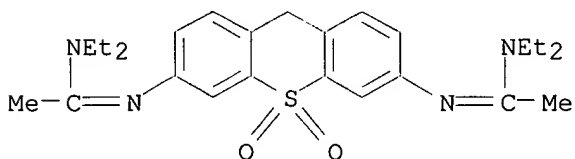
CN Methanimidamide, N',N'''-(10,10-dioxido-9H-thioxanthene-3,6-diyl)bis[N,N-dimethyl-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

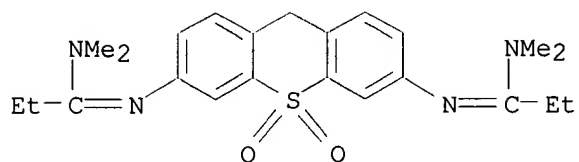
RN 127330-33-0 HCAPLUS

CN Ethanimidamide, N',N'''-(10,10-dioxido-9H-thioxanthene-3,6-diyl)bis[N,N-diethyl- (9CI) (CA INDEX NAME)



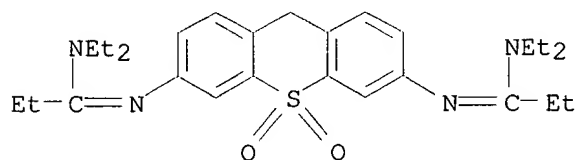
RN 127330-35-2 HCAPLUS

CN Propanimidamide, N',N'''-(10,10-dioxido-9H-thioxanthene-3,6-diyl)bis[N,N-dimethyl- (9CI) (CA INDEX NAME)



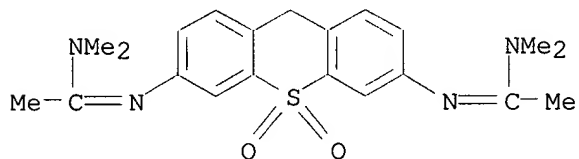
RN 127330-36-3 HCAPLUS

CN Propanimidamide, N',N''-(10,10-dioxido-9H-thioxanthene-3,6-diyl)bis[N,N-diethyl- (9CI) (CA INDEX NAME)



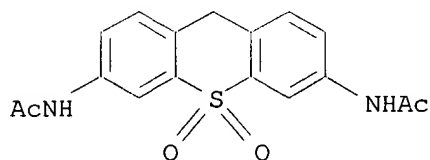
RN 127330-37-4 HCAPLUS

CN Ethanimidamide, N',N''-(10,10-dioxido-9H-thioxanthene-3,6-diyl)bis[N,N-dimethyl- (9CI) (CA INDEX NAME)



RN 127330-38-5 HCAPLUS

CN Acetamide, N,N'-(10,10-dioxido-9H-thioxanthene-3,6-diyl)bis- (9CI) (CA INDEX NAME)



L33 ANSWER 28 OF 47 HCAPLUS COPYRIGHT 2002 ACS

AN 1990:211007 HCAPLUS

DN 112:211007

TI Treatment and prevention of retinal edema with dopaminergic antagonists

IN Schachar, Ronald A.

PA USA

SO U.S., 4 pp. Cont.-in-part of U.S. 4,624,957.

CODEN: USXXAM

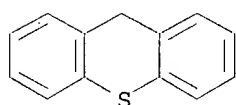
DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 4886795	A	19891212	US 1986-922924	19861024 <--

US 4624957 A 19861125 US 1985-725101 19850419 <--
 US 4886815 A 19891212 US 1986-922925 19861024 <--
 PRAI US 1984-622495 19840620 <--
 US 1985-725101 19850419 <--
 US 1985-725104 19850419 <--
 AB Retinal edema, in particular cystoid macular edema, is prevented or treated by administering to the eye in an ophthalmol. vehicle an effective amt. of dopaminergic antagonist, e.g., phenothiazine, thioxanthine, or dibenzoxazepine derivs. The activity of the drugs may be potentiated by concurrent administration of ascorbic acid.
 IT **261-31-4D**, 9H-Thioxanthene, derivs.
 RL: BIOL (Biological study)
 (dopaminergic antagonists, retinal edema treatment with)
 RN 261-31-4 HCAPLUS
 CN 9H-Thioxanthene (9CI) (CA INDEX NAME)



L33 ANSWER 29 OF 47 HCAPLUS COPYRIGHT 2002 ACS

AN 1989:553640 HCAPLUS

DN 111:153640

TI Preparation and testing of alpha,alpha-disubstituted aromatics and heteroaromatics as cognition enhancers

IN Earl, Richard Alan; Myers, Melvyn John; Nickolson, Victor Johannes

PA du Pont de Nemours, E. I., and Co., USA

SO Eur. Pat. Appl., 136 pp.

CODEN: EPXXDW

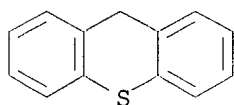
DT **Patent**

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 311010	A2	19890412	EP 1988-116393	19881004 <--
	EP 311010	A3	19910130		
	EP 311010	B1	19940202		
	R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	US 5173489	A	19921222	US 1988-234382	19880823 <--
	CA 1339127	A1	19970729	CA 1988-578607	19880927 <--
	EP 532054	A1	19930317	EP 1992-115889	19881004 <--
	EP 532054	B1	19990609		
	R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	AT 101148	E	19940215	AT 1988-116393	19881004 <--
	ES 2061587	T3	19941216	ES 1988-116393	19881004 <--
	AT 181070	E	19990615	AT 1992-115889	19881004 <--
	ES 2137170	T3	19991216	ES 1992-115889	19881004 <--
	DK 8805568	A	19890407	DK 1988-5568	19881005 <--
	FI 8804582	A	19890407	FI 1988-4582	19881005 <--
	FI 93446	B	19941230		
	FI 93446	C	19950410		
	NO 8804433	A	19890407	NO 1988-4433	19881005 <--
	NO 174390	B	19940117		
	NO 174390	C	19940427		
	HU 48618	A2	19890628	HU 1988-5166	19881005 <--
	HU 205900	B	19920728		
	JP 01207268	A2	19890821	JP 1988-250042	19881005 <--
	JP 2563522	B2	19961211		
	SU 1750425	A3	19920723	SU 1988-4356717	19881005 <--

IL 87929	A1	19930315	IL 1988-87929	19881005 <--
AU 8823508	A1	19890406	AU 1988-23508	19881006 <--
AU 628021	B2	19920910		
ZA 8807508	A	19900627	ZA 1988-7508	19881006 <--
KR 9706101	B1	19970423	KR 1988-13031	19881006 <--
US 5300642	A	19940405	US 1992-953274	19920930 <--
US 5434264	A	19950718	US 1992-953273	19920930 <--
NO 9301459	A	19890407	NO 1993-1459	19930421 <--
NO 175057	C	19940824		
NO 175057	B	19940516		
PRAI US 1987-105156	A	19871006 <--		
US 1988-234382	A	19880823 <--		
US 1986-850015	B2	19860410 <--		
US 1987-944953	A2	19870105 <--		
EP 1988-116393	A	19881004 <--		
NO 1988-4433	A1	19881005 <--		
OS MARPAT 111:153640				
AB	The title compds. [I; R1 = 2-, 3-, or 4-pyridyl, 2-, 4-, or 5-pyrimidinyl; R2 = R1, 2-pyrazinyl, 3- or 4-pyridazinyl, 3- or 4-pyrazolyl, 2- or 3-tetrahydrofuryl, 3-thienyl; XY = atoms to complete an (unsatd.) carbocyclic or heterocyclic ring which is fused to .gtoreq.1 addnl. (hetero)arom. ring], useful as cognitive performance enhancers, were prepd. N-Phenylindolin-2-one in C6H6 was treated with thallium ethoxide and the mixt. was refluxed to give 85% of the thallium salt of N-phenylindolin-2-one. The latter was added to picolyl chloride in C6H6 and the mixt. was refluxed overnight to give 3,3-bis(2-pyridylmethyl)-1-phenylindolin-2-one (II). II.HCl at 5 mg/kg s.c. in rats gave 54% enhancement of active avoidance performance.			
IT	261-31-4 , 9H-Thioxanthene RL: RCT (Reactant) (alkylation of, by picolyl chloride, in prepn. of cognitive performance enhancer)			
RN	261-31-4 HCAPLUS			
CN	9H-Thioxanthene (9CI) (CA INDEX NAME)			



L33 ANSWER 30 OF 47 HCAPLUS COPYRIGHT 2002 ACS
 AN 1989:439190 HCAPLUS
 DN 111:39190
 TI Preparation of 2-(tertiary amino)-9-(3-dimethylaminopropylidene)thioxanthenes and their salts as antimicrobials and tranquilizers
 IN Protiva, Miroslav; Kmonicek, Vojtech; Metysova, Jirina; Wildt, Stanislav
 PA Czech.
 SO Czech., 8 pp.
 CODEN: CZXXA9
 DT **Patent**
 LA Czech
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	-----	---	-----	-----	-----
PI	CS 247595	B1	19870115	CS 1985-6643	19850918 <--
OS	CASREACT 111:39190; MARPAT 111:39190				
AB	The title compds. I (R = NMe2, pyrrolidino, piperidino, morpholino, 4-methylpiperazino) and their salts are prepd. by acid-catalyzed dehydration of 9-hydroxy-9-(dimethylaminopropyl)thioxanthenes (II). II (R = NMe2) 5.1 g, was dissolved in 50 mL 2.5 M H2SO4, heated for 2 h at				

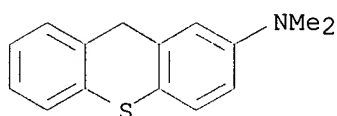
100.degree., cooled, alkalized with aq. NH₄OH, and extd. with C₆H₆. The ext. was washed with water, dried over Na₂SO₄, and evapd. under reduced pressure to obtain 4.4 g of an oily residue contg. geom. isomers of I (R = Me₂N). This material was dissolved in 10 mL 95% EtOH and neutralized with HCl in Et₂O to obtain the dihydrochloride (III) which was crystd. from 95% EtOH/Et₂O mixt. In the discoordination test with mice using the rotating rod III showed an ED₅₀ of 11.4 mg/kg.

IT **121326-17-8P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and Grignard reaction of, with (dimethylamino)propyl chloride)

RN 121326-17-8 HCAPLUS

CN 9H-Thioxanthen-2-amine, N,N-dimethyl- (9CI) (CA INDEX NAME)



L33 ANSWER 31 OF 47 HCAPLUS COPYRIGHT 2002 ACS

AN 1988:590250 HCAPLUS

DN 109:190250

TI Preparation of polychlorinated 9-(3-dimethylaminopropylidene)thioxanthenes and their salts with antimicrobial activity

IN Protiva, Miroslav; Bartl, Vaclav; Sedivy, Zdenek

PA Czech.

SO Czech., 8 pp.

CODEN: CZXXA9

DT **Patent**

LA Czech

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	CS 236384	B1	19850515	CS 1984-151	19840105 <--

OS CASREACT 109:190250

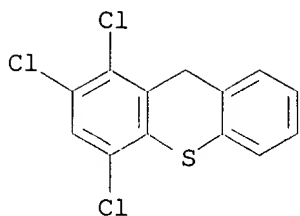
AB The title compds. (I; R₁, R₂, R₃ = H, Cl) are prepd. by acid catalyzed dehydration of the appropriate chlorianted 9-(3-dimethylaminopropyl)thioxanthen-9-ols (II). I and their salts have a high antimicrobial efficiency, esp. against cocci. II (R₁ = Cl; R₂ = R₃ = H) 8.5 g was mixed with a soln. of H₂SO₄ 13 g in 60 mL water and refluxed 1.5 h. After cooling, the mixt. was alkalized with 10% NaOH, and the resulting base was extd. with benzene. The ext. was rinsed, dried, filtered with activated C, and vacuum evapd. The residue was dissolved in EtOH, filtered, and again vacuum evapd. to give 6.6 g oily mixt. of I isomers. The yield was 81%. The product was dissolved in a mixt. of EtOH, HCl, and Et₂O to ppt. 4.1 g I.HCl (E-isomer) in 46% yield. The hydrochloride was decompd. with 10% NaOH and extd. with benzene to obtain a cryst. base (E-isomer) which was then neutralized with methanesulfonic acid to give cryst. I methanesulfonate. Acute toxicity of the latter in mice, LD₅₀, was 75 mg/kg i.v. I methanesulfonate had a short-term hypotensive effect and moderate adrenolytic efficiency. Its min. inhibitory concn. against Streptococcus .beta.-haemolyticus was 3 mg/mL.

IT **117210-85-2P 117210-86-3P**

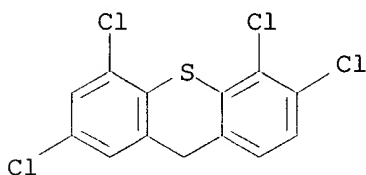
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and Grignard reaction of, with (dimethylamino)propyl chloride)

RN 117210-85-2 HCAPLUS

CN 9H-Thioxanthene, 1,2,4-trichloro- (9CI) (CA INDEX NAME)



RN 117210-86-3 HCAPLUS
 CN 9H-Thioxanthene, 2,4,5,6-tetrachloro- (9CI) (CA INDEX NAME)



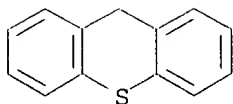
L33 ANSWER 32 OF 47 HCAPLUS COPYRIGHT 2002 ACS
 AN 1986:213261 HCAPLUS
 DN 104:213261
 TI Skin composition for the therapeutic treatment of trauma
 IN Beitner, Rivka
 PA Bar Ilan University, Israel
 SO Eur. Pat. Appl., 63 pp.
 CODEN: EPXXDW
 DT **Patent**
 LA English
 FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 168626	A1	19860122	EP 1985-107124	19850610 <--
	EP 168626	B1	19900912		
	R: AT, BE, CH, DE, FR, GB, IT, LI, NL, SE				
	US 4777171	A	19881011	US 1985-734120	19850515 <--
	CA 1247525	A1	19881227	CA 1985-483597	19850610 <--
	AT 56360	E	19900915	AT 1985-107124	19850610 <--
	JP 61050913	A2	19860313	JP 1985-127029	19850611 <--
	US 4654323	A	19870331	US 1985-762807	19850802 <--
	US 4910197	A	19900320	US 1988-192476	19880511 <--
PRAI	US 1984-619274		19840611	<--	
	US 1984-670482		19841113	<--	
	US 1985-734120		19850515	<--	
	EP 1985-107124		19850610	<--	

AB Skin trauma, esp. burn, sunburn, frostbite, is treated with compns. contg. compds. which interfere with the action of Ca-calmodulin complex. Preferred compds. are trifluoperazine and thioridazine. Thus, 100 g of lotion for treatment of sunburn was prepd. with trifluoperazine 8.0 and lidocaine 2.0 g in 92.0 g of a topical lotion base.

IT **261-31-4D**, derivs.
 RL: BIOL (Biological study)
 (skin trauma treatment with, calcium calmodulin complex inhibition in relation to)

RN 261-31-4 HCAPLUS
 CN 9H-Thioxanthene (9CI) (CA INDEX NAME)



L33 ANSWER 33 OF 47 HCAPLUS COPYRIGHT 2002 ACS

AN 1979:48670 HCAPLUS

DN 90:48670

TI Antiosteoporotic agents

IN Semour, Charles M.; Vida, Julius A.

PA Bristol-Myers Co., USA

SO U.S., 6 pp.

CODEN: USXXAM

DT **Patent**

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 4101668	A	19780718	US 1977-795570	19770510 <--
	US 4125621	A	19781114	US 1978-866930	19780104 <--
	US 4185108	A	19800122	US 1978-942560	19780915 <--
PRAI	US 1977-795570		19770510 <--		
	US 1978-866930		19780104 <--		

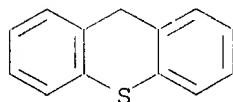
AB I, where Y = (C = O)m, m = 0 or 1, n = 0 or 1, and X = S, NH, or O provided there is a CO₂H at position 1, 2, or 3 relative to X, that when X = NH and n = 0 the CO₂H is not in position 2, and that when n = 0 the carbonyl group is attached to the ring contg. the X and Y ring, and acceptable salts thereof are useful in the treatment of osteoporosis. The compds. were prepd. primarily by known methods. Of 5 compds. reported thionaphthene-3-carboxylic acid [5381-25-9] was most effective in stimulating cAMP formation by isolated bone cells (a measurement of decreased bone resorption).

IT **261-31-4**

RL: RCT (Reactant)
(carboxylation and oxidn. of)

RN 261-31-4 HCAPLUS

CN 9H-Thioxanthene (9CI) (CA INDEX NAME)



L33 ANSWER 34 OF 47 HCAPLUS COPYRIGHT 2002 ACS

AN 1979:16491 HCAPLUS

DN 90:16491

TI Antiosteoporotic agents

IN Samour, Carlos M.; Vida, Julius A.

PA Bristol-Myers Co., USA

SO U.S., 6 pp.

CODEN: USXXAM

DT **Patent**

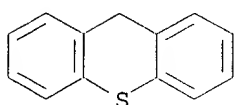
LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 4101668		19780718	US 1977-795570	19770510 <--
AB	I (X = S or NH) and II (X = CO or bond) were prepd. for osteoporosis				

treatment to modify the balance between the rates of bone deposition and resorption such that the ratio of the latter to the former is reduced. Thionaphthene-2-carboxylic acid (I, X = S; 2-CO₂H) (III) [6314-28-9] was prepd. by carboxylation of thionaphthene [95-15-8] using BuLi, followed by carbonation with dry ice. When tested in vivo for the ability of III to prevent immobilization osteoporosis, the tibia of rats that had the triceps tibial insertion severed and were treated for 3 days with III (1 mg/day, s.c.), showed no loss of wt. and Ca compared to the tibia of untreated rats which exhibited significant wt. and Ca loss.

IT 261-31-4
 RL: RCT (Reactant)
 (carboxylation of)
 RN 261-31-4 HCAPLUS
 CN 9H-Thioxanthene (9CI) (CA INDEX NAME)

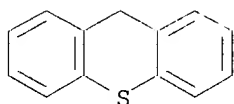


L33 ANSWER 35 OF 47 HCAPLUS COPYRIGHT 2002 ACS
 AN 1976:523772 HCAPLUS
 DN 85:123772
 TI Antiviral compositions containing bis-basic ketones of thioxanthene
 IN Fleming, Robert W.; Sill, Arthur D.
 PA Richardson-Merrell Inc., USA
 SO U.S., 14 pp. Continuation-in-part of U.S. 3,856,789.
 CODEN: USXXAM
 DT Patent
 LA English
 FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 3957988	A	19760518	US 1973-334075	19730220 <--
	US 3856789	A	19741224	US 1971-137055	19710423 <--
	CA 969950	A1	19750624	CA 1971-120403	19710812 <--
	GB 1312534	A	19730404	GB 1971-38781	19710818 <--
	AU 7132545	A1	19730222	AU 1971-32545	19710819 <--
	IL 37544	A1	19740630	IL 1971-37544	19710820 <--
	DE 2143009	A	19721102	DE 1971-2143009	19710827 <--
	DE 2143009	B2	19800522		
	DE 2143009	C3	19810129		
	CH 564550	A	19750731	CH 1971-12762	19710831 <--
	FR 2134330	A1	19721208	FR 1971-32285	19710907 <--
	FR 2134330	A5	19721208		
	JP 55005513	B4	19800207	JP 1971-69302	19710909 <--
PRAI	US 1971-137055		19710423 <--		

AB Bis(aminoacyl)thioxanthenes I (R = NEt₂, n = 1-4; R = piperidino, n = 3,4; R = morpholino, NMe₂, n = 4) were prepd. by treating thioxanthene with Cl(CH₂)_nCOCl, and aminating I (R = Cl). I increased the survival time of encephalomyocarditis-infected mice by 15-113%.

IT 261-31-4
 RL: RCT (Reactant)
 (reaction of, with chloroacyl chlorides)
 RN 261-31-4 HCAPLUS
 CN 9H-Thioxanthene (9CI) (CA INDEX NAME)



L33 ANSWER 36 OF 47 HCAPLUS COPYRIGHT 2002 ACS

AN 1976:432852 HCAPLUS

DN 85:32852

TI Pharmaceutically useful nitrogen-containing heterocyclic derivatives

IN Shemano, Irving

PA Richardson-Merrell Inc., USA

SO U.S., 15 pp.

CODEN: USXXAM

DT Patent

LA English

FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 3937833	A	19760210	US 1973-370425	19730615 <--
	ZA 7402904	A	19750528	ZA 1974-2904	19740507 <--
	BE 816444	A1	19741016	BE 1974-145520	19740617 <--
	US 4041165	A	19770809	US 1975-628529	19751103 <--
PRAI	US 1973-370425		19730615	<--	

AB The piperidine derivs. I-III (R = piperidino, 4-alkylpiperidino; n = 1-4; Z = CO, CO₂, O; X = CH₂, O, S, EtN, CO; X₁ = CO, X₂ = O; X₁ = X₂ = CO, X₁ = CH₂, X₂ = O), which suppress delayed hypersensitivity (no data), were prepd. Thus, I-III (Z = CO) were obtained by substitution reactions of bis(.omega.-chloroacyl) arom. compds. with piperidines, and I-III (Z = O) were prepd. by substitution reactions of R(CH₂)_nCl by arom. diols in the presence of NaOMe. Treatment of R(CH₂)_nOH with appropriate arom. dicarboxylic acid chlorides yielded I-III (Z = CO₂).

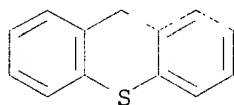
IT 261-31-4

RL: RCT (Reactant)

(substitution reaction with chloroacyl chloride)

RN 261-31-4 HCAPLUS

CN 9H-Thioxanthene (9CI). (CA INDEX NAME)



L33 ANSWER 37 OF 47 HCAPLUS COPYRIGHT 2002 ACS

AN 1976:74098 HCAPLUS

DN 84:74098

TI Pharmaceutically useful sulfur containing heterocyclic derivatives

IN Shemano, Irving

PA Richardson-Merrell Inc., USA

SO S. African, 38 pp.

CODEN: SFXXAB

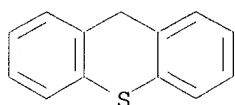
DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	ZA 7402905	A	19750528	ZA 1974-2905	19740507 <--
	US 3937835	A	19760210	US 1973-370424	19730615 <--

BE 816171 A1 19740930 BE 1974-145285 19740611 <--
 PRAI US 1973-370424 19730615 <--
 AB Benzothiophenes I (R = OCH₂CH₂NMe₂, CO₂(CH₂)₃NEt₂, COCH₂NMe₂, CO(CH₂)₃NMe₂, R₁ = 8-R; R = CO₂(CH₂)₃NBu₂, CO₂(CH₂)₃N(CH₂CH₂CHMe₂)₂, CO₂CH₂CMe₂(CH₂)₃NMe₂, CO₂CH₂CH₂NEt₂, R₁ = 6-R, 8-R), the thioxanthenes II (n = 1,3), and phenoxathiins III (n = 1,3) useful for treating delayed hypersensitivity (no data) were prepd. Thus, treatment of I (R = OH, R₁ = 8-OH) with ClCH₂CH₂NMe₂ gave I (R = OCH₂CH₂NMe₂, R₁ = 8-R). II and III were prepd. from their chloroalkyl analogs.
 IT **261-31-4**
 RL: RCT (Reactant)
 (reaction of, with chloroacetyl chloride)
 RN 261-31-4 HCAPLUS
 CN 9H-Thioxanthene (9CI) (CA INDEX NAME)



L33 ANSWER 38 OF 47 HCAPLUS COPYRIGHT 2002 ACS
 AN **1976:44100** HCAPLUS
 DN **84:44100**
 TI Tricyclic sulfoximide derivatives
 IN Stoss, Peter; Satzinger, Gerhard; Herrmann, Manfred
 PA Goedecke A.-G., Ger.
 SO Ger. Offen., 40 pp.
 CODEN: GWXXBX

DT **Patent**

LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2417063	A1	19751030	DE 1974-2417063	19740408 <--
	DE 2417063	C2	19820513		
	US 3992376	A	19761116	US 1974-496618	19740812 <--
	GB 1471898	A	19770427	GB 1974-42770	19741002 <--
	JP 50131964	A2	19751018	JP 1974-116003	19741008 <--
	JP 59005593	B4	19840206		
	AU 7475332	A1	19760513	AU 1974-75332	19741113 <--
	DK 7406415	A	19751009	DK 1974-6415	19741210 <--
	FR 2266510	A1	19751031	FR 1975-987	19750114 <--
	FR 2266510	B1	19780324		
	US 4110448	A	19780829	US 1976-719317	19760831 <--
	US 4259494	A	19810331	US 1979-83892	19791011 <--
PRAI	DE 1974-2417063		19740408 <--		
	US 1974-496618		19740812 <--		
	US 1976-719317		19760831 <--		
	US 1977-842707		19771017 <--		

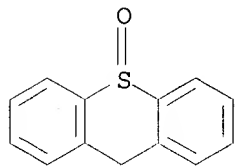
AB Twenty-three sulfoximides I (R = dialkylaminoalkyl, 2-piperidinoethyl, diethylaminoalkanoyl, CO₂Et, CONHR₂ (R₂ = H, Bu, cyclohexyl, tosyl), CSNHCH₂CH₂CH₂; X = bond line, O, CH₂, S, CO, NR₃ (R₃ = H, Me, Ac), useful as antihistaminics, antitussives, inflammation inhibitors, sedatives, and diuretics (no data) were prepd. by treating I (R = H) or its Na salt with a dialkylaminoalkyl chloride, a diethylaminoalkanoyl chloride, ClCO₂Et, or with KOCN, isocyanate, or isothiocyanate. I (R = H) were prepd. by oxidizing II (Z = NH) as its mesitylenesulfonate with NaIO₄ or by treating II (Z = O) with 4-R₄C₆H₄SO₂N₃ (R₄ = Me, Cl), then hydrolyzing, or with (mesitylsulfonyl)hydroxylamine and alkalinizing.

IT **10133-81-0**

RL: RCT (Reactant)
(reaction of, with p-tolylsulfonyl azide)

RN 10133-81-0 HCAPLUS

CN 9H-Thioxanthene, 10-oxide (9CI) (CA INDEX NAME)



L33 ANSWER 39 OF 47 HCAPLUS COPYRIGHT 2002 ACS

AN 1975:593100 HCAPLUS

DN 83:193100

TI Thioxanthene derivatives

IN Galt, Ronald H. B.; Young, Edwin Harry P.

PA Imperial Chemical Industries Ltd., UK

SO Ger. Offen., 62 pp.

CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2504642	A1	19750807	DE 1975-2504642	19750204 <--
	GB 1434486	A	19760505	GB 1974-5017	19750102 <--
	ZA 7500166	A	19760128	ZA 1975-166	19750109 <--
	US 4001418	A	19770104	US 1975-539627	19750109 <--
	AU 7577254	A1	19760715	AU 1975-77254	19750113 <--
	BE 825130	A1	19750804	BE 1975-153013	19750203 <--
	SE 7501160	A	19750805	SE 1975-1160	19750203 <--
	NL 7501232	A	19750806	NL 1975-1232	19750203 <--
	FR 2259607	A1	19750829	FR 1975-3264	19750203 <--
	FR 2259607	B1	19800125		
	JP 50112375	A2	19750903	JP 1975-14764	19750204 <--
	DK 7500377	A	19750929	DK 1975-377	19750204 <--
	DK 7702324	A	19770526	DK 1977-2324	19770526 <--
PRAI	GB 1974-5017		19740204 <--		
	DK 1975-377		19750204 <--		

AB Spiropiperidinothioxanthenes I (R = H, Me, Et, Pr, CHMe₂, Bu, amyl, hexyl, allyl, CH₂Ph, CH₂CH:CM₂, cyclopropylmethyl, cyclobutylmethyl, CH₂CH₂OH; R₁ = H, 2-OMe, 2-Cl, 4-OMe, 4-OH, 4-OAc; R₂ = H, 5-OMe, 5-OH, 5-OAc, 6-Cl, 7-Cl) and some oxides and dioxides, which were analgesic at .1toreq.100 mg/kg in the std. tests in mice, were prepd. Thus thioxanthene was treated with MeSOCH₂Na and MeN(CH₂CH₂Cl)₂.HCl to give I (R = Me, R₁ = R₂ = H).

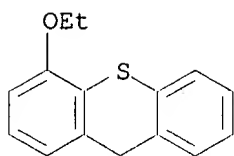
IT 57275-12-4P 57275-19-1P 57275-31-7P

57275-58-8P 57275-66-8P

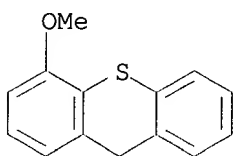
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and reaction of, with bis(chloroethyl)methylamine)

RN 57275-12-4 HCAPLUS

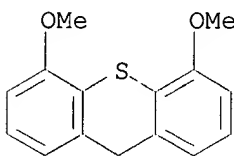
CN 9H-Thioxanthene, 4-ethoxy- (9CI) (CA INDEX NAME)



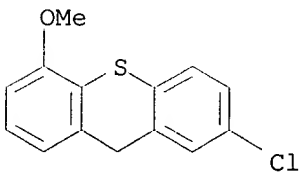
RN 57275-19-1 HCAPLUS
 CN 9H-Thioxanthene, 4-methoxy- (9CI) (CA INDEX NAME)



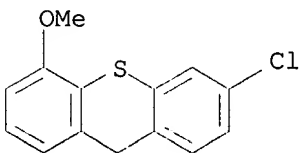
RN 57275-31-7 HCAPLUS
 CN 9H-Thioxanthene, 4,5-dimethoxy- (9CI) (CA INDEX NAME)



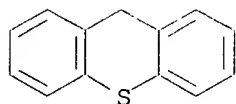
RN 57275-58-8 HCAPLUS
 CN 9H-Thioxanthene, 2-chloro-5-methoxy- (9CI) (CA INDEX NAME)



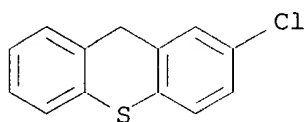
RN 57275-66-8 HCAPLUS
 CN 9H-Thioxanthene, 3-chloro-5-methoxy- (9CI) (CA INDEX NAME)



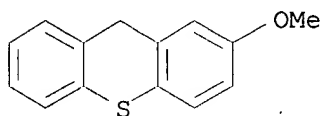
IT **261-31-4**
 RL: RCT (Reactant)
 (reaction of, with amines)
 RN 261-31-4 HCAPLUS
 CN 9H-Thioxanthene (9CI) (CA INDEX NAME)



IT 92-38-6 57274-96-1
 RL: RCT (Reactant)
 (reaction of, with bis(chloroethyl)methylamine)
 RN 92-38-6 HCAPLUS
 CN 9H-Thioxanthene, 2-chloro- (9CI) (CA INDEX NAME)



RN 57274-96-1 HCAPLUS
 CN 9H-Thioxanthene, 2-methoxy- (9CI) (CA INDEX NAME)



L33 ANSWER 40 OF 47 HCAPLUS COPYRIGHT 2002 ACS
 AN 1975:479086 HCAPLUS
 DN 83:79086
 TI Nitrogen-containing heterocyclic derivatives
 IN Shemano, Irving
 PA Richardson-Merrell, Inc., USA
 SO Belg., 43 pp.
 CODEN: BEXXAL

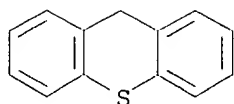
DT Patent
 LA French

FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	BE 816444	A1	19741016	BE 1974-145520	19740617 <--
	US 3937833	A	19760210	US 1973-370425	19730615 <--
PRAI	US 1973-370425		19730615	<--	

AB Piperidine derivs. I (X = alkoxycarbonyl, alkylthiocarbonyl, alkoxy, alkylthio; X1 = CH2, CHOH, CO, O, S, NEt; Z = CH2, CO, Z1 = O; Z = CH2, O, Z1 = S; Z = Z1 = CO; R = H, alkyl) (43 compds.), effective against delayed hypersensitivity (no data) were prepd. Thus, 3,8-fluoranthenedicarbonyl chloride was treated with 3-piperidinopropanol to give bis(3-piperidinopropyl) 3,8-fluoranthenedicarboxylate.

IT 261-31-4
 RL: RCT (Reactant)
 (reaction of, with chloroacyl chlorides)
 RN 261-31-4 HCAPLUS
 CN 9H-Thioxanthene (9CI) (CA INDEX NAME)



L33 ANSWER 41 OF 47 HCAPLUS COPYRIGHT 2002 ACS

AN 1974:505286 HCAPLUS

DN 81:105286

TI Virucidal 2,7-bis(1-hydroxy-4-piperidinobutyl)xanthene

IN Sill, Arthur D.; Sweet, Francis W.

PA Richardson-Merrell Inc.

SO Ger. Offen., 32 pp.

CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2362541	A1	19740627	DE 1973-2362541	19731217 <--
	US 4008240	A	19770215	US 1972-317148	19721221 <--
	ZA 7308210	A	19741030	ZA 1973-8210	19731023 <--
	AU 7361887	A1	19750501	AU 1973-61887	19731026 <--
	CA 1042440	A1	19781114	CA 1973-184538	19731029 <--
	GB 1416750	A	19751203	GB 1973-58263	19731217 <--
	FR 2211239	A1	19740719	FR 1973-45515	19731219 <--
	JP 49088875	A2	19740824	JP 1973-141924	19731220 <--

PRAI US 1972-317148 19721221 <--

AB The xanthene [I, n = 3, X = O, Z = CH(OH), R = piperidino] (II) was prepd. by redn. of the corresponding I (Z = CO) (III). II had virucidal activity when tested in the infected mouse. Thus, xanthene reacted with ClCO(CH₂)₃Cl in CH₂Cl₂ in the presence of AlCl₃ to give I (n = 3, X = O, Z = CO, R = Cl), which on refluxing with piperidine in MeCOEt in the presence of KI gave III. III was treated with NaBH₄ in THF and MeOH in the presence of NaOH to give II. The prepn. of I.2HCl.0.5H₂O (n = 2, X = S, Z = CO, R = NEt₂) was also reported.

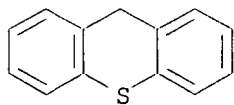
IT 261-31-4

RL: RCT (Reactant)

(reaction with chloropropionyl chloride)

RN 261-31-4 HCAPLUS

CN 9H-Thioxanthene (9CI) (CA INDEX NAME)



L33 ANSWER 42 OF 47 HCAPLUS COPYRIGHT 2002 ACS

AN 1974:491356 HCAPLUS

DN 81:91356

TI Xanthene and thioxanthene derivatives

IN Sill, Arthur D.; Sweet, Francis W.

PA Richardson-Merrell Inc.

SO U.S., 8 pp.

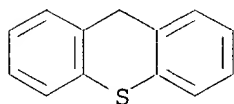
CODEN: USXXAM

DT Patent

LA English

FAN.CNT 1

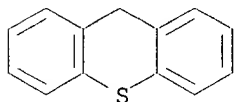
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 3817992	A	19740618	US 1972-317128	19721221 <--
	ZA 7308215	A	19740828	ZA 1973-8215	19731023 <--
	AU 7361884	A1	19750501	AU 1973-61884	19731026 <--
	CA 1018973	A1	19771011	CA 1973-184442	19731029 <--
	DE 2362695	A1	19740627	DE 1973-2362695	19731217 <--
	GB 1416749	A	19751203	GB 1973-58258	19731217 <--
	FR 2211233	A1	19740719	FR 1973-45509	19731219 <--
	JP 49088874	A2	19740824	JP 1973-141917	19731220 <--
PRAI	US 1972-317128		19721221 <--		
AB	The xanthenes I (X = O, S; R = Cl-(CH ₂) ₃ CO, ClCH ₂ CH ₂ CO, 4-piperidinobutyryl, 4-piperidino-1-butenyl, etc.) were prepd. Thus, thioxanthene was treated with Cl(CH ₂) ₃ COCl and AlCl ₃ followed by piperidine to give I (X = O, R = 4-piperidinobutyryl), which was reduced with NaBH ₄ followed by HCl to give I (X = O, R = 4-piperidino-1-butenyl) (II). At 50 mg/kg II was virucidal.				
IT	261-31-4P RL: PREP (Preparation) (isolation of)				
RN	261-31-4 HCAPLUS				
CN	9H-Thioxanthene (9CI) (CA INDEX NAME)				



L33 ANSWER 43 OF 47 HCAPLUS COPYRIGHT 2002 ACS
 AN **1974:425683** HCAPLUS
 DN **81:25683**
 TI Sulfur-containing ring compounds
 IN Gante, Joachim; Mehrhof, Werner; Wild, Albrecht
 PA Merck Patent G.m.H.
 SO Ger. Offen., 178 pp.
 CODEN: GWXXBX
 DT **Patent**
 LA German
 FAN.CNT 1

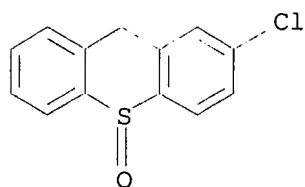
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2245940	A1	19740502	DE 1972-2245940	19720919 <--
	GB 1385150	A	19750226	GB 1973-35935	19730727 <--
	ZA 7305842	A	19740731	ZA 1973-5842	19730827 <--
	CH 603623	A	19780831	CH 1976-11075	19730831 <--
	CH 603622	A	19780831	CH 1976-11074	19730831 <--
	CH 605906	A	19781013	CH 1973-12572	19730831 <--
	CH 605907	A	19781013	CH 1976-11073	19730831 <--
	CH 605908	A	19781013	CH 1977-13871	19730831 <--
	US 3975403	A	19760817	US 1973-395980	19730910 <--
	DK 134319	B	19761018	DK 1973-4992	19730911 <--
	AU 7360294	A1	19750313	AU 1973-60294	19730913 <--
	BE 804920	A1	19740318	BE 1973-135702	19730917 <--
	FR 2199985	A1	19740419	FR 1973-33262	19730917 <--
	AT 7308002	A	19760915	AT 1973-8002	19730917 <--
	AT 336607	B	19770510		
	NL 7312833	A	19740321	NL 1973-12833	19730918 <--
	DD 108092	C	19740912	DD 1973-173537	19730918 <--
	ES 418857	A1	19760616	ES 1973-418857	19730918 <--
	HU 168661	B	19760628	HU 1973-ME1660	19730918 <--

JP 49069673 A2 19740705 JP 1973-105833 19730919 <--
 CS 199550 P 19800731 CS 1973-6453 19730919 <--
 AT 7604041 A 19760915 AT 1976-4041 19760602 <--
 AT 336611 B 19770510
 AT 7604040 A 19760915 AT 1976-4040 19760602 <--
 AT 336610 B 19770510
 AT 7604042 A 19760915 AT 1976-4042 19760602 <--
 AT 336612 B 19770510
 PRAI DE 1972-2245940 19720919 <--
 CH 1973-12572 19730831 <--
 AT 1973-8002 19730917 <--
 AB Antiinflammatory thianthrenes I (R = CO₂H or its esters, CHO or its
 acetals, CH₂OH or its ethers, COCl, CONH₂, CH:NOEt, CONHOH, CONHMe, CN; R₁
 = H, Ac, Et, Br, Cl, OMe, iodo, NO₂, NH₂, F, OH, NHMe, NHAc, NH₂Et, NMe₂)
 and some related compds. were prepd. Thus, thianthrene was treated with
 ClCHMeCO₂H to give I (R = CO₂H, R₁ = H).
 IT **261-31-4**
 RL: RCT (Reactant)
 (reaction of, with chloropropionic acid)
 RN 261-31-4 HCAPLUS
 CN 9H-Thioxanthene (9CI) (CA INDEX NAME)



L33 ANSWER 44 OF 47 HCAPLUS COPYRIGHT 2002 ACS
 AN **1972:461819** HCAPLUS
 DN **77:61819**
 TI Pharmacologically active 4-aminospiro[cyclohexane-1,9'-thioxanthene]
 compounds
 PA Merck Patent G.m.b.H.
 SO Fr. Demande, 39 pp.
 CODEN: FRXXBL
 DT **Patent**
 LA French
 FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	FR 2073359	A5	19711001	FR 1970-40459	19701110 <--
	FR 2073359	B1	19740322		
	DE 1957490	A	19710616	DE 1969-1957490	19691115 <--
PRAI	DE 1969-1957490		19691115		<--
AB	The title compds. (I), were prepd. by redn. of the 4-oxime or 4-imino analog of I by Na-Bu-OH, by reaction of I (R ₁ = R ₂ = H) with HCO ₂ H and redn. with LiAlH ₄ , cyclization of I (R ₁ = R ₂ = H) with (ClCH ₂ CH ₂) ₂ NMe, redn. of the 4-ketone in the presence of HNR ₁ R ₂ , or redn. of the 4-acetamido analog of I. About 12 I (R = H, Cl; R ₁ , R ₂ = H, alkyl, or NR ₁ R ₂ = 4-methyl-1-piperazinyl; X = Br, Cl, malonate) were prepd. I were tranquilizers or thymoanaleptic agents.				
IT	90-37-9P				
	RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)				
RN	90-37-9 HCAPLUS				
CN	9H-Thioxanthene, 2-chloro-, 10-oxide (9CI) (CA INDEX NAME)				



L33 ANSWER 45 OF 47 HCAPLUS COPYRIGHT 2002 ACS
 AN 1972:140759 HCAPLUS
 DN 76:140759
 TI Antiinflammatory tricyclic o-hydroxycarboxylic acids
 IN Walford, Gordon L.; Shen, Tsung-Ying; Witzel, Bruce E.; Greenwald, Richard
 PA Merck and Co., Inc.
 SO Fr. Demande, 75 pp.
 CODEN: FRXXBL
 DT **Patent**
 LA French
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	FR 2053020	A5	19710416	FR 1970-23333	19700624 <--
	FR 2053020	B1	19741115		
	US 3642997	A	19720215	US 1969-836583	19690625 <--
	NL 7008636	A	19701229	NL 1970-8636	19700612 <--
	CH 556319	A	19741129	CH 1970-9205	19700617 <--
	GB 1278543	A	19720621	GB 1970-1278543	19700618 <--
	US 3752813	A	19730814	US 1971-168424	19710802 <--
PRAI	US 1969-836583		19690625 <--		
	US 1970-30288		19700420 <--		

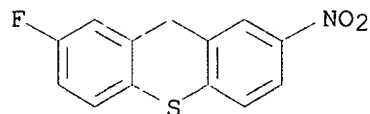
AB Tricyclic aromatic o-hydroxycarboxylic acids (I), [R, R1 = CH, CH2, CO, S, SO, SO2, N, NH; X = H, halogen (preferably F)], were prepd. by various known methods. They showed antiinflammatory activity, and inhibited formation of edema and granulomatous tissue. These I were derivs. of phenazine, phenothiazine, acridine, phenoxazine, phenoxathiin, thianthrene, dibenzo-p-dioxin, anthracene, anthraquinone, xanthene, xanthone, thioxanthene and thioxanthone. Numerous examples were given.

IT **36146-07-3P**

RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)

RN 36146-07-3 HCAPLUS

CN 9H-Thioxanthene, 2-fluoro-7-nitro- (9CI) (CA INDEX NAME)



L33 ANSWER 46 OF 47 HCAPLUS COPYRIGHT 2002 ACS
 AN 1971:463613 HCAPLUS
 DN 75:63613
 TI Pharmacological 4-aminospiro[cyclohexane-1,9'-thioxanthenes]
 IN Mueller-Calgan, Helmut; Unger, Richard; Enenkel, Hans J.
 PA Merck Patent G.m.b.H.
 SO Ger. Offen., 40 pp.
 CODEN: GWXXBX
 DT **Patent**

LA German

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 1957490	A	19710616	DE 1969-1957490	19691115 <--
	GB 1289209	A	19720913	GB 1970-1289209	19701008 <--
	ZA 7006933	A	19710728	ZA 1970-6933	19701012 <--
	IL 35443	A1	19730730	IL 1970-35443	19701013 <--
	NL 7015562	A	19710518	NL 1970-15562	19701023 <--
	DK 126044	B	19730604	DK 1970-5395	19701023 <--
	CS 162732	P	19750715	CS 1970-7199	19701025 <--
	CS 162733	B2	19750715	CS 1972-7334	19701025 <--
	CS 162734	B2	19750715	CS 1972-7335	19701025 <--
	CS 162735	B2	19750715	CS 1972-7336	19701025 <--
	CS 162736	B2	19750715	CS 1972-7337	19701025 <--
	PL 83016	P	19751231	PL 1970-144225	19701103 <--
	SE 370400	B	19741014	SE 1970-14892	19701104 <--
	FR 2073359	A5	19711001	FR 1970-40459	19701110 <--
	FR 2073359	B1	19740322		
	CA 954133	A1	19740903	CA 1970-97969	19701112 <--
	AT 298488	B	19720510	AT 1971-5303	19701113 <--
	AT 300799	B	19720810	AT 1970-10253	19701113 <--
	AT 300803	B	19720810	AT 1971-5306	19701113 <--
	AT 300802	B	19720810	AT 1971-5305	19701113 <--
	AT 300801	B	19720810	AT 1971-5304	19701113 <--
	US 3721672	A	19730320	US 1970-89489	19701113 <--
	ES 385518	A1	19730816	ES 1970-385518	19701113 <--
	CH 561199	A	19750430	CH 1973-4695	19701113 <--
	CH 561200	A	19750430	CH 1973-4697	19701113 <--
	CH 561201	A	19750430	CH 1973-4698	19701113 <--
	CH 564008	A	19750715	CH 1970-16817	19701113 <--
	CH 565173	A	19750815	CH 1973-4696	19701113 <--
PRAI	DE 1969-1957490		19691115 <--		

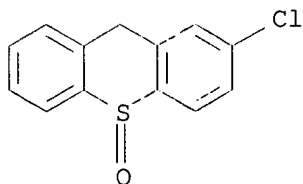
AB The title compds. (I), of e.g. circulatory, spasmolytic, and (or) antihistaminic activities, were prepd. by redn. of the corresponding oxime (II) with Na metal or Raney Ni or redn. of the imine with LiAlH₄. Thus, Na metal was added to II (X = H) in boiling BuOH and the product pptd. by HCl-Et₂O to give I. HCl (R = R₁ = X = H) which reacted with HCO₂H followed by LiAlH₄ redn. or with HCO₂H-HCO₂Na-HCHO or with Me(ClCH₂CH₂)₂N.HCl to give I.HCl (R = Me, R₁ = X = H), I.HCl(R = R₁ = Me, X = H), or I.2HCl[(NRR₁ =) 4-methylpiperazino, X = H], resp. Among apprx.20 compds. similarly prepd. were I (R, R₁, and X given): iso-Pr, H, H; iso-Pr, Me, H; Me, Et, H; H, H, Cl; Me, Me, Cl.

IT 90-37-9P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 90-37-9 HCAPLUS

CN 9H-Thioxanthene, 2-chloro-, 10-oxide (9CI) (CA INDEX NAME)



L33 ANSWER 47 OF 47 HCAPLUS COPYRIGHT 2002 ACS

AN 1971:141729 HCAPLUS

DN 74:141729

TI 1,2,3,11b-Tetrahydropyrido[3,4,5:k,1]thioxanthenes
 IN Unger, Richard; Mueller-Calgan, Helmut
 PA Merck Patent G.m.b.H.
 SO Ger. Offen., 21 pp.
 CODEN: GWXXBX

DT **Patent**

LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 1942755	A	19710304	DE 1969-1942755	19690822 <--
	BR 6915381	A0	19730503	BR 1969-215381	19691219 <--
	NL 7009651	A	19710224	NL 1970-9651	19700630 <--
	ZA 7004481	A	19710331	ZA 1970-4481	19700630 <--
	GB 1263044	A	19720209	GB 1970-1263044	19700701 <--
	IL 34897	A1	19730829	IL 1970-34897	19700713 <--
	CH 550821	A	19740628	CH 1973-380	19700716 <--
	CH 559750	A	19750314	CH 1973-379	19700716 <--
	CH 559751	A	19750314	CH 1974-1496	19700716 <--
	CH 561217	A	19750430	CH 1970-10825	19700716 <--
	CS 158674	P	19741125	CS 1970-5316	19700728 <--
	CS 158676	P	19741125	CS 1973-2189	19700728 <--
	CS 158675	P	19741125	CS 1973-2188	19700728 <--
	SE 356984	B	19730612	SE 1970-10550	19700731 <--
	DK 124203	B	19720925	DK 1970-4054	19700806 <--
	FR 2068512	A5	19710827	FR 1970-29503	19700811 <--
	FR 2068512	B1	19731221		
	PL 80908	P	19750830	PL 1970-142750	19700818 <--
	US 3719684	A	19730306	US 1970-65680	19700820 <--
	AT 296981	B	19720310	AT 1970-7622	19700821 <--
	AT 297703	B	19720410	AT 1971-3754	19700821 <--
	AT 297704	B	19720410	AT 1971-3755	19700821 <--
	JP 49016879	B4	19740425	JP 1970-73170	19700822 <--

PRAI DE 1969-1942755 19690822 <--

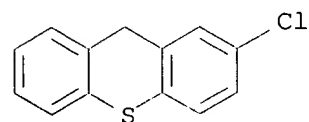
AB The tranquilizing, hypnotic, antidepressive, and(or) narcosis potentiating title compds. I and(or) their salts, all of low toxicity and low muscle relaxing action, were prepd. Thus, heating 10-(formylaminomethyl)thioxanthene in P2O5/89% H3PO4 at 140-200.degree. yielded I (R = R1 = R2 = H) (II). I (R = R2 = H, R1 = Cl) and I (R = R1 = H, R2 = Cl).HBr were similarly prepd. hydrogenation of pyrido-[3,4,5:k,1]thioxanthene with LiAlH4 in Et2O in the presence of AlCl3 yielded II.HBr. Treatment of 2-methyl-4-phenyltetrahydroisoquinoline hydrobromide with SCl2 in the presence of AlCl3 in CS2 12 hr at 30.degree. gave I (R = Me, R1 = R2 = H) isolated as the methanesulfonate.

IT **92-38-6P**

RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)

RN 92-38-6 HCAPLUS

CN 9H-Thioxanthene, 2-chloro- (9CI) (CA INDEX NAME)



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DICTIONARY FILE UPDATES: 3 SEP 2002 HIGHEST RN 446233-03-0

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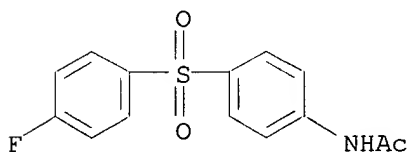
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Calculated physical property data is now available. See HELP PROPERTIES
for more information. See STNote 27, Searching Properties in the CAS
Registry File, for complete details:
<http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf>

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L8 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2002 ACS
RN 734-22-5 REGISTRY
CN Acetamide, N-[4-[(4-fluorophenyl)sulfonyl]phenyl]- (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN Acetanilide, 4'-[(p-fluorophenyl)sulfonyl]- (7CI, 8CI)
OTHER NAMES:
CN CL 259763
CN N-[4-[(4-Fluorophenyl)sulfonyl]phenyl]acetamide
FS 3D CONCORD
MF C14 H12 F N O3 S
LC STN Files: ADISINSIGHT, BEILSTEIN*, BIOSIS, BIOTECHNO, CA, CANCERLIT,
CAOLD, CAPLUS, CHEMCATS, DDFU, DRUGU, EMBASE, IPA, MEDLINE, PHAR,
SYNTHLINE, TOXCENTER, USPATFULL
(*File contains numerically searchable property data)



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

17 REFERENCES IN FILE CA (1967 TO DATE)
17 REFERENCES IN FILE CAPLUS (1967 TO DATE)
1 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

REFERENCE 1: 136:145218
REFERENCE 2: 136:610
REFERENCE 3: 136:605
REFERENCE 4: 135:352773
REFERENCE 5: 135:298806

Jan Delaval
Reference Librarian
Biotechnology & Chemical Library
CM1 1E07 - 703-308-4498
jan.delaval@uspto.gov

REFERENCE 6: 135:236410
REFERENCE 7: 121:292072
REFERENCE 8: 118:52429
REFERENCE 9: 112:210715
REFERENCE 10: 111:166983

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(FILE 'REGISTRY' ENTERED AT 07:13:55 ON 05 SEP 2002)
L8 1 S L7 AND C14H12FNO3S
SEL RN
L9 0 S E3/CRN

FILE 'HCAOLD' ENTERED AT 07:14:54 ON 05 SEP 2002
L10 1 S L8

FILE 'HCAPLUS' ENTERED AT 07:14:54 ON 05 SEP 2002
L11 17 S L8
L12 7 S CL259763 OR CL() (259763 OR 259 763)
L13 6 S N 4 4 FLUOROPHENYL() (SULFONYL OR SULPHONYL) () PHENYL ACETAMIDE
L14 17 S L11-L13

FILE 'USPATFULL, USPAT2' ENTERED AT 07:15:40 ON 05 SEP 2002
L15 9 S L14

FILE 'BIOSIS' ENTERED AT 07:16:03 ON 05 SEP 2002
L16 13 S L14

FILE 'EMBASE' ENTERED AT 07:16:11 ON 05 SEP 2002
L17 12 S L14
L18 12 S 4 4 FLUOROPHENYLSULFONYL ACETANILIDE OR 4 4 FLUOROPHENYLSULPH
L19 12 S L17,L18

FILE 'MEDLINE' ENTERED AT 07:17:10 ON 05 SEP 2002
L20 4 S L14
L21 0 S L18

FILE 'HCAPLUS, USPATFULL, BIOSIS, EMBASE, MEDLINE' ENTERED AT 07:17:39 ON
05 SEP 2002
L22 39 DUP REM L14 L15 L16 L19 L20 (16 DUPLICATES REMOVED)

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FILE COVERS 1907-1966
FILE LAST UPDATED: 01 May 1997 (19970501/UP)

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L10 ANSWER 1 OF 1 HCAOLD COPYRIGHT 2002 ACS

AN CA59:567g CAOLD

TI fluorothiophenols and their derivs.

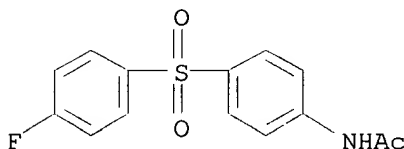
AU Sharghi, N.

IT	312-35-6	331-55-5	332-51-4	383-24-4	654-47-7	655-20-9
	657-46-5	658-28-6	702-13-6	702-19-2	705-01-1	705-02-2
	705-71-5	705-85-1	706-09-2	708-28-1	709-68-2	719-87-9
	720-02-5	721-06-2	721-07-3	722-13-4	722-14-5	722-37-2
	722-38-3	724-01-6	724-84-5	734-21-4	734-22-5	
	746-65-6	746-66-7	749-97-3	750-04-9	782-22-9	786-72-1
	791-56-0	791-68-4	803-79-2	845-26-1	845-28-3	964-95-4
	1478-02-0	1494-52-6	1494-53-7	1536-35-2	1543-69-7	1543-70-0
	1543-71-1	1583-51-3	1583-52-4	1584-72-1	1584-73-2	1584-74-3
	1584-75-4	1647-39-8	1647-40-1	1647-41-2	1647-42-3	1647-43-4
	1647-44-5	1648-34-6	1649-99-6	1691-34-5	1717-10-8	1717-11-9
	1717-26-6	1766-38-7	1828-02-0	1868-45-7	1868-46-8	1893-80-7
	1894-20-8	1895-61-0	2249-00-5	2438-85-9	2557-77-9	2557-78-0
	2924-75-6	2927-94-8	2927-95-9	2927-96-0	2967-35-3	2968-08-3
	2968-09-4	2968-10-7	2968-11-8	2968-12-9	2968-13-0	2968-14-1
	2992-44-1	2995-39-3	3109-41-9	94933-97-8	96983-60-7	97026-33-0

IT **734-22-5**

RN 734-22-5 HCAOLD

CN Acetamide, N-[4-[(4-fluorophenyl)sulfonyl]phenyl]- (9CI) (CA INDEX NAME)



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FILE LAST UPDATED: 4 Sep 2002 (20020904/ED)

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L24 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2002 ACS
AN 1963:403386 HCAPLUS
DN 59:3386
OREF 59:567g-h,568a
TI Fluorothiophenols and their derivatives
AU Sharghi, N.; Lalezari, I.
CS Univ. Tehran, Iran
SO J. Chem. Eng. Data (1963), 8, 276-8
DT Journal
LA Unavailable
CC 37 (Heterocyclic Compounds (One Hetero Atom))
AB o-Fluorothiophenol and its misomer were synthesized, and the alkyl or acetonyl fluorophenyl sulfides of these and p-fluorothiophenol prepd. From the acetonyl derivs., quinolines and quinolinecarboxylic acids were obtained. Both m- and p-fluorothiophenols gave the same 3,8-difluorothianthrene, from which the related disulfoxide and disulfone were derived. Methylthiofluoroacetophenones and their .omega.-brominated derivs. were also synthesized, and from the fluoroacetophenones, six cinchophen analogs were obtained. Other related compds. prepd. and described included methylthiofluorobenzophenone, the isomeric fluorophenyl nitrophenyl sulfides and sulfones, related amines and acetylaminers, the isomeric monothiobenzoic acid S-(fluorophenyl) esters, S-(fluorophenyl)mercaptoacetic acids, and their amides and xanthylamides, and 5,5'-difluorothioindigo.

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L22 ANSWER 1 OF 39 HCAPLUS COPYRIGHT 2002 ACS DUPLICATE 1
AN 2001:869026 HCAPLUS
DN 136:610
TI Benzimidazole carbamate compounds for cancer treatment
IN Camden, James Berger
PA The Procter & Gamble Company, USA

SO U.S. Pat. Appl. Publ., 13 pp., Cont.-in-part of U.S. Ser. No. 791,986.

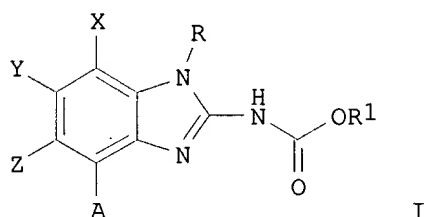
CODEN: USXXCO

DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2001047021	A1	20011129	US 2001-843562	20010426
PRAI	US 2000-562709	B2	20000428		
	US 2000-791986	A2	20000428		
OS	MARPAT 136:610				
GI					



AB The invention is a method for treating cancer, including carcinomas and sarcomas, through the administration of a pharmaceutical compn. contg. a tetra-substituted benzimidazole carbamate. The tetra-substituted benzimidazole carbamates of the invention are I [X, Y, Z, A = Br, F, Cl, I, alkyl of less than 4 C, alkoxy of less than 4 C; R = H, (C1-4 alkyl)aminocarbonyl, C1-8 alkyl; R1 = aliph. hydrocarbon of less than 7 C], or pharmaceutically acceptable salts or prodrugs thereof. Preferably R1 is an alkyl group of less than 3 C and X, Y, Z, and A are a halogen. Most preferred is 2-methoxycarbonylamino-4,5,6,7-tetrafluorobenzimidazole (prepn. described). The tetra-substituted benzimidazole carbamates, and pharmaceutical compns. contg. them, are claimed. X, Y, Z, and A are preferably electron-withdrawing groups.

IT 50-18-0, Cyclophosphamide 50-44-2, Mercaptopurine 50-76-0, Dactinomycin 50-91-9 51-17-2D, Benzimidazole, carbamate derivs. 51-21-8, Fluorouracil 53-86-1, Indomethacin 58-05-9, Leucovorin 58-32-2, Dipyrindamole 59-05-2, Methotrexate 59-14-3, Bromodeoxyuridine 67-68-5, Dimethyl sulfoxide, biological studies 79-09-4, Propionic acid, biological studies 110-85-0D, Piperazine, bis-diketo derivs. 127-07-1, Hydroxyurea 147-94-4, Cytarabine 154-42-7, 6-Thioguanine 320-67-2, Azacitidine 364-62-5, Metoclopramide 486-12-4, Triprolidine 645-05-6, Altretamine 734-22-5 9005-49-6, Heparin, biological studies 9015-68-3, Asparaginase 10605-21-7 11056-06-7, Bleomycin 11103-57-4, Vitamin A 15663-27-1, Cisplatin 17090-79-8, Monensin 18378-89-7, Plicamycin 21679-14-1, Fludarabine 23214-92-8, Doxorubicin 23249-97-0, Procudazole 29767-20-2, Teniposide 33069-62-4, Paclitaxel 33419-42-0, Etoposide 51481-61-9, Cimetine 53678-77-6, Muramyl dipeptide 53910-25-1, 2'-Deoxycoformycin 76849-19-9, CB3717 103190-36-9 122970-40-5, 7-Thia-8-oxoguanosine
 RL: BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (benzimidazole carbamate compds. for cancer treatment)

L22 ANSWER 2 OF 39 HCAPLUS COPYRIGHT 2002 ACS DUPLICATE 2

AN 2001:687313 HCAPLUS

DN 135:236410

TI Aryl aldehyde 5-oxo-1,2,4-triazine hydrazide derivatives for cancer treatment

IN Camden, James Berger

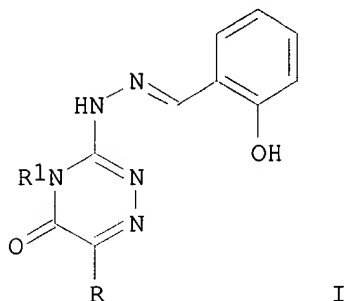
PA The Procter & Gamble Co., USA
 SO U.S., 11 pp.
 CODEN: USXXAM
 DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6290929	B1	20010918	US 2000-627610	20000728
	WO 2002009715	A2	20020207	WO 2001-US23426	20010725
	W:				
	AE, AG, AL, AM, AT, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH,				
	CN, CO, CR, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EE, EE, ES,				
	FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG,				
	KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW,				
	MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SK, SL, TJ,				
	TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ,				
	MD, RU, TJ, TM				
	RW:				
	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,				
	DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,				
	BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRAI US 2000-627610 A 20000728

OS MARPAT 135:236410

GI



AB A method is provided for treating cancer, including carcinomas and sarcomas, through the administration of a pharmaceutical compn. contg. an aryl aldehyde 5-oxo-1,2,4-triazine hydrazide deriv. The aryl aldehyde 5-oxo-1,2,4-triazine hydrazide deriv. is selected from I (R, R1 = H, C1-7 alkyl), and pharmaceutical salts, prodrugs, metabolites, and mixts. thereof. Pharmaceutical compns. comprising these compds. and their use in various treatment methods are claimed. The compds. can be used in conjunction with other chemotherapeutic agents and potentiators.

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

IT 53-86-1, Indomethacin 58-05-9, Leucovorin 58-32-2, Dipyridamole 59-14-3, Bromodeoxyuridine 67-68-5, Dimethyl sulfoxide, biological studies 79-09-4, Propionic acid, biological studies 364-62-5, Metoclopramide 486-12-4, Triprolidine 734-22-5 9005-49-6, Heparin, biological studies 11103-57-4, vitamin A 17090-79-8, Monensin 23249-97-0, Procodazole 51481-61-9, Cimetidine 53910-25-1, 2'-Deoxycofomycin 103190-36-9 122970-40-5, 7-Thia-8-oxoguanosine
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(potentiator; aryl aldehyde 5-oxo-1,2,4-triazine hydrazide derivs. for cancer treatment, and use with other agents)

L22 ANSWER 3 OF 39 HCAPLUS COPYRIGHT 2002 ACS DUPLICATE 3
 AN 1993:52429 HCAPLUS
 DN 118:52429
 TI Immunopotentiating protocol for chemotherapy-responsive tumors
 IN Weisenthal, Larry M.
 PA Oncotech, Inc., USA
 SO U.S., 22 pp.
 CODEN: USXXAM
 DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5149527	A	19920922	US 1990-584272	19900918
AB	Immunopotentiating compns. are described which are useful for causing tumor necrosis and/or regression in subjects who have previously received successful therapy which destroys tumors and stimulates cytotoxic macrophages. The immunopotentiators are administered at a time when formation of macrophages specifically cytotoxic for the tumor have been generated by previous therapy. Application of ImuVert as immunopotentiator in the above method (for breast adenocarcinoma, ovarian adenocarcinoma, etc.) is described.				
IT	84-65-1D, Anthraquinone, derivs. 148-18-5, Imuthiol 148-79-8, Thiabendazole 734-22-5 3542-29-8, Oleoyl lysophosphatidylcholine 5655-17-4, Stearoyl lysophosphatidylcholine 9013-95-0, Levan 9063-57-4, Tuftsin 13757-83-0, Decanoyl lysophosphatidylcholine 14769-73-4, Levamisole 18545-87-4 21055-93-6, Sodium diethylthiocarbamate 22002-87-5, Oleoyl lysophosphatidic acid 26182-09-2, Poly(AU) 26700-94-7, Poly(IC) 27100-68-1, MVE-2 36703-88-5, Isoprinosine 37331-28-5, Pustulan 37339-90-5, Lentinan 38640-92-5, Ampligen 39325-01-4, Picibanil 51481-61-9, Cimetidine 53678-77-6, Muramyl dipeptide 55949-38-7D, Pyrimidinol, derivs. 56824-20-5, Therafectin 58970-76-6, Bestatin 59040-30-1, Nafazatrom 59789-29-6, Poly(ICLC) 64118-86-1, Azimexone 65492-82-2 67276-45-3 68045-74-9, BAYi 7433 68652-43-7, Mannozyne 68659-01-8 71522-58-2, Forphenicinol 72741-87-8, Swainsonine 74871-30-0, NED 137 76600-30-1 78119-19-4 79335-75-4, FK-565 81541-26-6 83791-86-0, ADA-202-718 84337-28-0 85733-92-2 93135-89-8, Nafocare B 93135-89-8D, Methylfurylbutyrolactone, derivs. 93921-18-7 99096-17-0 100031-70-7 130810-23-0, ImuVert 134192-05-5, Krestin 141448-91-1 145514-51-8 146418-27-1, Biostim				
RL:	BIOL (Biological study) (as immunopotentiator for macrophages following use of chemotherapeutic, for cancer treatment)				

L22 ANSWER 4 OF 39 HCAPLUS COPYRIGHT 2002 ACS DUPLICATE 4
 AN 1990:210715 HCAPLUS
 DN 112:210715
 TI Generation of tumoricidal effector cells with a novel potentiator:
N-[4-[(4-fluorophenyl)sulfonyl]phenyl]acetamide (CL 259,763)
 AU Wang, Bosco Shang; Lumanglas, Araceli L.; Lin, Yang I.; Durr, Frederick E.
 CS Med. Res. Div., Am. Cyanamid Co., Pearl River, NY, 10965, USA
 SO Int. J. Immunopharmacol. (1990), 12(3), 307-14
 CODEN: IJIMDS; ISSN: 0192-0561
 DT Journal
 LA English
 AB The effects of the title immunopotentiator on the generation of tumoricidal effector cells were studied. A single oral dose of the compd. (100-600 mg/kg) induced in mice a population of peritoneal macrophages capable of inhibiting the growth of tumor cells. These activated

macrophages released proteases which seemed responsible for the tumor cell inhibition, because the cytostatic activity was abrogated in the presence of protease inhibitors, on the other hand, addn. of catalase and arginine to the culture failed to alter the effect, suggesting that H₂O₂ and arginase did not participate in this system. Although induction of cytolytic T-lymphocytes (CTL) reactive with syngeneic tumor cells was achievable in mice previously sensitized to the tumor, treatment with **CL 259,763** rendered these animals even more response to tumor antigens, resulting in enhancement of tumor cell destruction. The compd. was effective in augmenting the CTL response over a rather broad dose range of 25-200 mg/kg. In contrast to these stimulatory effects, the cytolytic activity of natural killer cells seemed to be affected by the compd. Thus, **CL 259,763** is an orally active immunomodulator capable of inducing tumor-inhibitory macrophages and potentiating CTL responses to syngeneic tumor cells; therefore, it may prove clin. useful in the treatment of neoplastic diseases.

- ST **CL 259763** immunostimulation antitumor; lymphocyte stimulation **CL 259763** antitumor; macrophage stimulation **CL 259763** antitumor
- IT Neoplasm inhibitors
(**CL 259763** as)
- IT Macrophage
(**CL 259763** effect on, neoplasm inhibition in relation to)
- IT Immunostimulation
(by **CL 259763**, neoplasm inhibition in relation to)
- IT Lymphocyte
(T-, cytotoxic, **CL 259763** effect on, neoplasm inhibition in relation to)
- IT Lymphocyte
(natural killer, **CL 259763** effect on, neoplasm inhibition in relation to)
- IT **734-22-5, CL 259763**
RL: BIOL (Biological study)
(immunostimulation by, neoplasm inhibition in relation to)
- IT 7722-84-1, Hydrogen peroxide, biological studies 9000-96-8, Arginase
9001-92-7, Proteinase
RL: BIOL (Biological study)
(neoplasm inhibition by **CL 259763** modulation by)
- L22 ANSWER 5 OF 39 HCAPLUS COPYRIGHT 2002 ACS DUPLICATE 5
- AN 1989:566983 HCAPLUS
- DN 111:166983
- TI Reconstitution of cytolytic alloreactivity with N-[4-
-[(4-fluorophenyl) sulfonyl]phenyl]
]acetamide (**CL 259,763**) in animals
immunocompromized by cyclophosphamide
- AU Wang, Bosco Shang; Lumanglas, Araceli L.; James, John P.; Kelley, Keith
A.; Silva, Jillian; Ruzsala-Mallon, Veronica; Lin, Yang I.; Durr,
Frederick E.
- CS Lab. Tumor Immunol., Am. Cyanamid Co., Pearl River, NY, 10965, USA
- SO Int. J. Immunopharmacol. (1989), 11(5), 479-86
CODEN: IJIMDS; ISSN: 0192-0561
- DT Journal
- LA English
- AB A novel synthetic immunopotentiator, i.e. N-[4-[(
4-fluorophenyl) sulfonyl]phenyl]
acetamide (**CL 259,763**), was
investigated for its potential in reconstituting the cell-mediated immune
response of animals whose immunol. system had been severely depressed by
cytoreductive agents. Lymphocytes from mice which had received 300 mg/kg
of cyclophosphamide (CY) immediately following antigen sensitization had a

reduced capability of responding to alloantigens in mixed lymphocyte culture and failed to generate effective cytolytic T-lymphocytes (CTL) capable of destroying appropriate tumor target cells in a cytotoxicity assay. However, treatment of these immunocompromised animals with **CL 259,763** produced a significant restoration of alloreactivity, as evidenced by an enhancement of the CTL response. Although EDs of **CL 259,763** ranged from 20 to 300 mg/kg, the optimal effect was obsd. at 75 mg/kg. Findings from a time course study indicated that the max. restoration occurred when **CL 259,763** was given to mice 2-5 days after, but not before or simultaneously with, CY treatment. Both the immunoimpairment by CY and its reversal by **CL 259,763** appeared not to be antigen specific. The lessened immunoreactivity of CY-treated mice was explicable by the presence of suppressor cells in their spleens. These suppressors were able to adhere to plastic and resisted treatment with anti-Thy 1.2 antibody, indicating a macrophage characteristic. Flow-cytometric anal. indicated a quant. depletion of all T-lymphocytes, including Thy-1.2(+), Lyl-1(+), Lyl-2(+) and L3T4(+) subsets in the spleens of CY-treated mice; however, a population of Mac-1(+) cells was markedly expanded. More importantly, administration of **CL 259,763** to CY-treated animals significantly, although not completely, cor. the imbalanced cell distribution patterns toward normalcy in most instances examd. These results suggest that **CL 259,763** is an immunorestorative agent capable of rescuing the immune system from CY-induced immunodepression and thus may be considered potentially useful in the treatment of patients who are undergoing cytoreductive chemotherapy.

ST **CL 259763** immunity cyclophosphamide; antitumor immunity **CL 259763**

IT Immunity

(**CL 259763** for reversal of cytoreductive chemotherapy-induced changes in)

IT Immunosuppression

(from cyclophosphamide, **CL 259763** reversal of)

IT Neoplasm inhibitors

(immunity response to, **CL 259763** for reversal of)

IT Antigens

RL: BIOL (Biological study)

(allo-, cyclophosphamide-induced immunoimpairment reversal by **CL 259763** in relation to)

IT **734-22-5, CL 259763**

RL: BIOL (Biological study)

(immunity response to cyclophosphamide response to, cytolytic alloreactivity reconstitution in relation to)

IT 50-18-0, Cyclophosphamide

RL: BIOL (Biological study)

(immunity response to, **CL 259763** for reversal of)

L22 ANSWER 6 OF 39 HCAPLUS COPYRIGHT 2002 ACS DUPLICATE 6

AN 1989:108099 HCAPLUS

DN 110:108099

TI Mitochondrial benzodiazepine receptors mediate inhibition of mitochondrial respiratory control

AU Hirsch, James D.; Beyer, Carl F.; Malkowitz, Lorraine; Beer, Bernard; Blume, Arthur J.

CS Med. Res. Div., Am. Cyanamid Co., Pearl River, NY, 10965, USA

SO Mol. Pharmacol. (1989), 35(1), 157-63

CODEN: MOPMA3; ISSN: 0026-895X

DT Journal

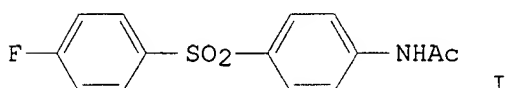
LA English

AB Drugs that bound to the peripheral-type or mitochondrial benzodiazepine receptors in rat kidney mitochondria produced several effects on mitochondrial respiration with succinate and malate/pyruvate as

substrates. These drugs increased state IV and decreased state III respiration rates, which resulted in a decrease in the respiratory control ratio. ADP:O ratios were not affected. The receptor binding affinities of a set of 10 compds. (Ro 5-4864, PK11195, diazepam, mesoporphyrin IX, flunitazepam, deuteroporphyrin IX, dipyridamole, di-Bu phthalate, cyclosporin A, and CL259,763) correlated over a concn. range of almost 4 orders of magnitude with their potencies at inhibiting respiratory control. The anxiolytic benzodiazepine clonazepam had no effect on mitochondrial respiratory control and bound with negligible affinity to the receptor. The magnitude of the effect of Ro 5-4865 on respiration increased in parallel with the d. of mitochondrial benzodiazepine receptors in mitochondria from liver, kidney, and adrenal. Thus, ligand binding to mitochondrial benzodiazepine receptors seems to result in inhibition of mitochondrial respiratory control. This effect may help to explain the pleiotropic effects of receptor ligands on intact cells.

IT 58-32-2, Dipyridamole 84-74-2, Dibutylphthalate 439-14-5, Diazepam 448-65-7, Deuteroporphyrin IX 493-90-3, Mesoporphyrin IX 734-22-5, **CL 259763** 1622-61-3, Clonazepam 1622-62-4, Flunitrazepam 14439-61-3, Ro5-4864 59865-13-3, Cyclosporin A 85532-75-8, PK 11195
 RL: BIOL (Biological study)
 (mitochondrial respiration response to)

L22 ANSWER 7 OF 39 HCAPLUS COPYRIGHT 2002 ACS DUPLICATE 7
 AN 1988:216008 HCAPLUS
 DN 108:216008
 TI Restoration of cytolytic T-lymphocyte response with a new immunopotentiator, **N-{4-[4-fluorophenyl)sulfonyl]phenyl}acetamide (CL 259,763)**, in mice
 AU Wang, Bosco Shang; Ruszala-Mallon, Veronica M.; Lumanglas, Araceli L.; Silva, Jillian; Durr, Frederick E.
 CS Med. Res. Div., Am. Cyanamid Co., Lederle Lab., Pearl River, NY, 10965, USA
 SO Cancer Res. (1988), 48(8), 2135-7
 CODEN: CNREA8; ISSN: 0008-5472
 DT Journal
 LA English
 GI

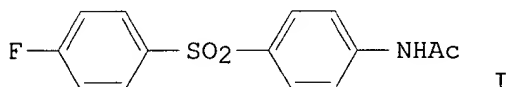


AB The immunorestorative characteristics of a novel synthetic immunomodulator, **CL 259763 (I)**, was investigated in several exptl. models. I enhanced the induction of a cytolytic T-lymphocyte response to the murine MBL-2 leukemia implanted in its syngeneic host in which only a minimal reactivity to the tumor is normally displayed. In a Vaccinia virus model, I similarly augmented the lytic activity of cytolytic T-lymphocyte to virus-infected targets in not only viral antigen-primed but also cyclosporin A-impaired mice. Likewise, the alloreactive cytolytic T-lymphocyte activity was recovered in animals immunocompromised by inoculation with murine plasmacytomas or cytoreductive anticancer drugs, such as cyclophosphamide and 5-FU. The present findings suggest that I is effective in potentiating the immune response to weak antigens as well as in restoring alloreactivity by sparing the immunotoxicity assocd. with the administration of cytotoxic drugs and the growth of neoplasms.

ST **CL 259763** immunostimulant T lymphocyte;

fluorophenylsulfonylphenylacetamide immunostimulant
IT Immunostimulation
(by fluorophenylsulfonylphenylacetamide deriv. **CL 259763**, in immunocompromised state)
IT Neoplasm
(growth of, immunostimulation by fluorophenylsulfonylphenylacetamide deriv. **CL 259763** in)
IT Neoplasm inhibitors
(immunity inhibition by, immunostimulant fluorophenylsulfonylphenylacetamide deriv. **CL 259763** effect on)
IT **734-22-5, CL 259763**
RL: BIOL (Biological study)
(immunostimulation by, in immunocompromised state)

L22 ANSWER 8 OF 39 HCAPLUS COPYRIGHT 2002 ACS DUPLICATE 9
AN 1986:508024 HCAPLUS
DN 105:108024
TI Modulation of the immune response to tumors by a novel synthetic compound, **N-[4-[(4-fluorophenyl)sulfonyl]phenyl] acetamide (CL 259,763)**
AU Wang, Bosco Shang; Ruzsala-Mallon, Veronica; Wallace, Roslyn E.; Citarella, Ronald V.; Lin, Yangi; Durr, Frederick E.
CS Lab. Tumor Immunol., Am. Cyanamid Co., Pearl River, NY, 10965, USA
SO Cancer Immunol. Immunother. (1986), 22(1), 8-14
CODEN: CIIMDN; ISSN: 0340-7004
DT Journal
LA English
GI



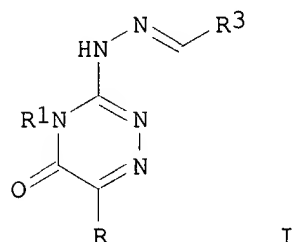
AB **CL 259,763 (I) [734-22-5]**
augmented the response to lymphocytes from tumor-primed animals to syngeneic tumor cells, resulting in a marked increase in tumor cell destruction. Likewise, it enhanced macrophage inhibitory effects on the growth of tumor cells in vitro. These "activated" macrophages were detectable in peritoneal exudates of treated mice 4 to 12 days after receiving a single oral dose of I, with peak activity being demonstrated by day 7. The compd. also restored the alloreactivity of lymphocytes from immunodepressed mice bearing the Lieberman plasma cell tumor, possibly by interfering with suppressor cells. Macrophages and lymphocytes from treated mice released more IL-1 and IL-2-like factors in culture than did the control counterparts. Sera from treated mice also possessed more colony stimulating factor than those from normal mice. Immunoadjuvant effects were evident when the compd. was administered with an inactivated L1210 leukemia vaccine and it enhanced the effectiveness of cytotoxic chemotherapy when given to mice challenged with P388 murine leukemia. These immunomodulating effects of I may hopefully be exploited in efforts to augment the immune response of the host to a progressively growing tumor.

IT **734-22-5**
RL: BIOL (Biological study)
(immune response to tumors modulation by, neoplasm inhibition in relation to)

L22 ANSWER 9 OF 39 HCAPLUS COPYRIGHT 2002 ACS
AN 2002:107118 HCAPLUS

DN 136:145218
 TI Cancer treatment
 IN Camden, James Berger; Dabek, Rose Ann
 PA The Procter & Gamble Company, USA
 SO PCT Int. Appl., 33 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002009716	A2	20020207	WO 2001-US23427	20010725
	W:	AE, AG, AL, AM, AT, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EE, EE, ES, FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
PRAI	US 2000-627611	A	20000728		
OS	MARPAT 136:145218				
GI					



AB This invention is a method of treating cancer, including carcinomas and sarcomas through the administration of a pharmaceutical compn. contg. an aldehyde 5-oxo-1,2,4-triazine hydrazide deriv. The aldehyde 5-oxo-1,2,4-triazine hydrazide deriv. is selected from the group consisting of those with the formula (I) wherein R and R1 are independently selected from the group consisting of hydrogen, or alkyl wherein the alkyl group has .ltoreq.7 carbon atoms and wherein R3 is selected from the group consisting of alkyl having 1 to 7 carbon atoms, cycloalkyl having .ltoreq.7 carbon atoms, and substituted alkyl having .ltoreq.12 carbons wherein the alkyl group is substituted with one more halogen, hydroxy, amino, sulfhydryl or alkoxy having .ltoreq.10 carbon atoms, or substituted Ph substituted with hydrogen, alkyl of less than 7 carbons, halogen, amino, hydroxy and sulfhydryl, pharmaceutical salt, prodrug, metabolites and mixts. thereof. Pharmaceutical compns. comprising these compds. and their use in various treatment methods are claimed. The compds. can be used in conjunction with other chemotherapeutic agents and potentiators.

IT 53-86-1, Indomethacin 58-05-9, Leucovorin 58-32-2, Dipyrindamole 59-14-3, Bromodeoxyuridine 67-68-5, Dimethyl sulfoxide, biological studies 79-09-4, Propionic acid, biological studies 364-62-5, Metoclopramide 486-12-4, Triprolidine 734-22-5 9005-49-6, Heparin, biological studies 11103-57-4, Vitamin A 17090-79-8, Monensin

23249-97-0, Procodazole 51481-61-9, Cimetidine 53678-77-6, Muramyl dipeptide 53910-25-1, 2'-Deoxycoformycin 103190-36-9 122970-40-5, 7-Thia-8-oxoguanosine

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(potentiator; cancer treatment using aldehyde 5-oxo-1,2,4-triazine hydrazide derivs. and other chemotherapeutic agents and potentiators)

L22 ANSWER 10 OF 39 HCAPLUS COPYRIGHT 2002 ACS

AN 2001:868198 HCAPLUS

DN 136:605

TI Pyridinylimidazole carbamates for cancer treatment

IN Camden, James Berger

PA The Procter & Gamble Company, USA

SO PCT Int. Appl., 26 pp.

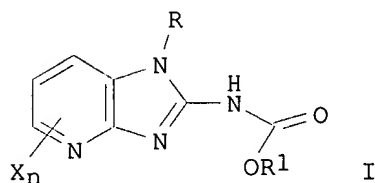
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001089499	A2	20011129	WO 2001-US16690	20010523
	WO 2001089499	A3	20020718		
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
	US 6384049	B1	20020507	US 2000-578281	20000525
	US 2002019415	A1	20020214	US 2001-923126	20010806
PRAI	US 2000-578281	A	20000525		
OS	MARPAT 136:605				
GI					



AB A method is provided for treating cancer, including carcinomas and sarcomas, through the administration of a pharmaceutical compn. contg. a pyridinylimidazole carbamate. The pyridinylimidazole carbamate is I (X = halo, hydroxyl, alkyl of less than 8 C atoms, alkoxy of less than 8C atoms; n = pos. integer less than 4; R = H, C1-8 alkyl), and pharmaceutically acceptable salts and prodrugs thereof.

IT 53-86-1, Indomethacin 58-05-9, Leucovorin 58-32-2, Dipyrindamole 59-14-3, Bromodeoxyuridine 67-68-5, Dimethyl sulfoxide, biological studies 79-09-4, Propionic acid, biological studies 110-85-0D, Piperazine, bis-diketo derivs. 127-07-1, Hydroxyurea 273-21-2D, 1H-Imidazo[4,5-b]pyridine, carbamate derivs. 364-62-5, Metoclopramide 486-12-4, Triprolidine 734-22-5 9005-49-6, Heparin, biological studies 9015-68-3, Asparaginase 11103-57-4, Vitamin A 17090-79-8,

Monensin 23249-97-0, Procodazole 33259-74-4 33259-74-4D, prodrug
 derivs. 36649-01-1 36649-01-1D, prodrug derivs. 51481-61-9,
 Cimetidine 53678-77-6, Muramyl dipeptide 53910-25-1,
 2'-Deoxycoformycin 103190-36-9 122970-40-5, 7-Thia-8-oxoguanosine
 RL: BSU (Biological study, unclassified); PAC (Pharmacological activity);
 THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (pyridinylimidazole carbamates for cancer treatment, and use with other
 agents)

L22 ANSWER 11 OF 39 HCAPLUS COPYRIGHT 2002 ACS

AN 2001:816644 HCAPLUS

DN 135:352773

TI Use of tetra-substituted benzimidazole carbamates for treating cancer

IN Camden, James Berger

PA The Procter & Gamble Company, USA

SO PCT Int. Appl., 27 pp.

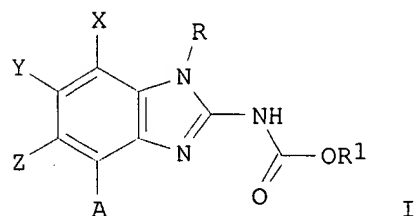
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001083457	A2	20011108	WO 2001-US13543	20010426
	WO 2001083457	A3	20020321		
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
PRAI	US 2000-562709	A	20000428		
	US 2000-791986	A	20000428		
OS	MARPAT 135:352773				
GI					



AB This invention is a method of treating cancer, including carcinomas and sarcomas through the administration of a pharmaceutical compn. contg. the title compd. I [X, Y, Z, A = Br, F, Cl, I, alkyl, alkoxy; R = H, alkylaminocarbonyl, alkyl; R1 = alkyl]. Most preferred compd. I is 2-methoxycarbonylamino-4,5,6,7-tetrafluorobenzimidazole which was used to treat SK-OV-3 tumor lines in nude mouse (data given). The tetra-substituted benzimidazole carbamates and pharmaceutical compns. contg. them are claimed herein. X, Y, Z and A are preferably electron withdrawing groups.

IT 50-18-0, Cyclophosphamide 50-44-2, Mercaptopurine 50-76-0, Dactinomycin 50-91-9 51-21-8, Fluorouracil 53-86-1, Indomethacin 58-05-9, Leucovorin 58-32-2, Dipyrindamole 59-05-2, Methotrexate

59-14-3, Bromodeoxyuridine 79-09-4, Propionic acid, biological studies
 127-07-1, Hydroxyurea 147-94-4, Cytarabine 154-42-7, 6-Thioguanine
 320-67-2, Azacitidine 364-62-5, Metoclopramide 486-12-4, Triprolidine
 645-05-6, Altretamine 734-22-5, N-[4-[(

4-Fluorophenyl)sulfonyl]phenyl]

acetamide 9005-49-6, Heparin, biological studies 9015-68-3,
 Asparaginase 9050-30-0 11056-06-7, Bleomycin 11103-57-4, Vitamin A
 15663-27-1, Cisplatin 17090-79-8, Monensin 18378-89-7, Plicamycin
 21679-14-1, Fludarabine 23214-92-8, Doxorubicin 23249-97-0,
 Procodazole 29767-20-2, Teniposide 33069-62-4, Paclitaxel
 33419-42-0, Etoposide 51481-61-9, Cimetidine 53678-77-6, Muramyl
 dipeptide 53910-25-1, 2'-Deoxycoformycin 76849-19-9, CB3717
 122970-40-5, 7-Thia-8-oxoguanosine

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(component with 2-methoxycarbonylamino-4,5,6,7-

tetrafluorobenzimidazole; use of tetra-substituted benzimidazole
 carbamates for treating cancer)

L22 ANSWER 12 OF 39 HCAPLUS COPYRIGHT 2002 ACS

AN 2001:762816 HCAPLUS

DN 135:298806

TI Compositions and methods using aryl sulfide, sulfoxide, and sulfone
 compounds for promoting tissue regeneration, including neural regeneration

IN Neuberger, Timothy James; Herzberg, Uri; Mallon, Veronica

PA Acorda Therapeutics, Inc., USA

SO PCT Int. Appl., 90 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001076592	A1	20011018	WO 2001-US11220	20010406
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
US 2002055530	A1	20020509	US 2001-827666	20010406

PRAI US 2000-195516P P 20000406

OS MARPAT 135:298806

AB Compns. and methods are provided for promoting tissue regeneration, preferably neural tissue regeneration. Compns. of the invention include (i) certain di-Ph sulfides, di-Ph sulfoxides, di-Ph sulfones, and sulfides, sulfoxides and sulfones of dibenzothiophene and thioxanthene, as well as various analogs and derivs. of these compds.; (ii) one or more cells harvested from an animal or organism subsequent to the administration of a compn. comprising a compd. of (i); or (iii) any combination of (i) and (ii). The invention can be useful in treating decreases in neuronal function, e.g. from injury or disease. Compds. of the invention include e.g. N-[4-((4-fluorophenyl)sulfonyl)phenyl]acetamide

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

IT 734-22-5

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

2002 005553 A1

(aryl sulfide, sulfoxide, and sulfone compds. for promoting tissue regeneration, including neural regeneration)

L22 ANSWER 13 OF 39 HCAPLUS COPYRIGHT 2002 ACS

AN 1994:692072 HCAPLUS

DN 121:292072

TI Antiviral and immunomodulating inhibitors of experimentally-induced Punta Toro virus infections

AU Sidwell, Robert W.; Huffman, John H.; Barnard, Dale L.; Smee, Donald F.; Warren, Reed P.; Chirigos, Michael A.; Kende, Meir; Huggins, John

CS Institute for Antiviral Research, Utah State University, Logan, UT, 84322-5600, USA

SO Antiviral Res. (1994), 25(2), 105-22

CODEN: ARSRDR; ISSN: 0166-3542

DT Journal

LA English

AB A major component of a US Army Medical Research and Development Command-supported program to discover and develop new drugs for the treatment of Rift Valley fever, sandfly fever, and Crimean-Congo hemorrhagic fever has been to study candidate test materials against hepatotropic infections of C57BL/6 mice induced by the related but less biohazardous Punta Toro virus (PTV). The effects of 75 compds., some of which were considered immunomodulators in their primary mechanism of activity, were studied in the PTV infection model. Of these, ribavirin, ribamidine, ribavirin 2',3',5'-triacetate, tiazofurin, tiazofurin-5'-monophosphate, tiazofurin-2',3',5'-triacetate, selenazofurin, pyrazofurin, 3-deazaguanine, and 3-deazaguanosine were considered significantly inhibitory, acting against the infection by a direct antiviral (non-immunomodulatory) fashion. These compds. had therapeutic indexes (TI) ranging from .gtoreq.5 to 65, using increased survivors as the evaluation parameter. Immunomodulators considered significantly inhibitory to this infection were poly (ICLC), ampliten, human recombinant interferon-.alpha.-A/D, MVE-1, MVE-2, AM-3, AM-5, mannozym, bropirimine, CL246,738, phenyleneamine, and 7-thia-8-oxoguanosine. Utilizing increased survivor nos. as measure of activity, these inhibitors had TI ranging from .gtoreq.16 to 1000. Other antiviral effects exerted by the active compds. included redn. of hepatic icterus, lowered serum glutamic oxaloacetic and pyruvic acid transaminases, and inhibition of recoverable serum and liver virus titers. The active immunomodulators were significantly effective when therapy was initiated as late as 48 h after virus inoculation, at a time when clin. signs of the PTV disease were being manifested in the animal.

IT 54-25-1, 6-Azaauridine 62-53-3, Benzenamine, biological studies
66-81-9, Actidione 145-63-1, Suramin 471-53-4, Glycyrrhetic acid
734-22-5, CL 259763 3930-19-6, Streptonigrin
4016-63-1, 8-Bromoguanosine 6742-12-7, Formycin 12758-40-6, GE132
17073-78-8 19622-83-4, 7-Deoxynarciclasine 25451-90-5 27089-56-1
27100-68-1, MVE-1 29477-83-6, Narciclasine 29725-42-6 30868-30-5,
Pyrazofurin 36703-88-5, Isoprinosine 36791-04-5, Ribavirin
38640-92-5, Ampliten 41729-52-6, 3-Deazaguanine 42400-25-9
56039-11-3, 3-Deazaguanosine 56741-95-8, Bropirimine 58151-87-4
59643-91-3, Imexon 59789-29-6, Poly(ICLC) 60084-10-8, Tiazofurin
61367-58-6 63166-73-4, Phyllanthoside 68652-43-7, Mannozyrn
72161-05-8, Ribavirin 2',3',5'-triacetate 72301-79-2, Enviroxime
81541-26-6, CL 246738 82372-67-6, Pseudolycorine hydrochloride
83161-83-5, Tiazofurin-5'-monophosphate 83705-13-9, Selenazofurin
87139-86-4, AM 3 87745-28-6, Bryostatins 2 96203-70-2, Pancratistatin
99258-56-7, Oxamisole 104942-51-0 119567-79-2, Ribamidine
122970-40-5, 7-Thia-8-oxoguanosine 141776-53-6 150316-23-7,
Neurotropin 159192-47-9 159192-48-0 159192-49-1

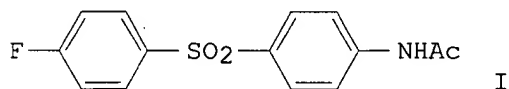
RL: BAC (Biological activity or effector, except adverse); THU
(Therapeutic use); BIOL (Biological study); USES (Uses)

(antiviral and immunomodulating inhibitors of exptl.-induced Punta Toro

virus infections)

- L22 ANSWER 14 OF 39 HCAPLUS COPYRIGHT 2002 ACS
AN 1989:128070 HCAPLUS
DN 110:128070
TI Characterization of ligand binding to mitochondrial benzodiazepine receptors
AU Hirsch, James D.; Beyer, Carl F.; Malkowitz, Lorraine; Loullis, Costas C.; Blume, Arthur J.
CS Med. Res. Div., Am. Cyanamid Co., Pearl River, NY, 10965, USA
SO Mol. Pharmacol. (1989), 35(1), 164-72
CODEN: MOPMA3; ISSN: 0026-895X
DT Journal
LA English
AB The affinity and d. of binding sites for [H]Ro5-4864 and [3H]PK11195 in intact and fragmented rat kidney mitochondria were studied. These sites are known as peripheral-type or mitochondrial benzodiazepine receptors (MBR) and they function in vitro as modulators of the mitochondrial respiratory control. In intact mitochondria, there were approx. the same no. of binding sites for [3H]PK11195 as for [3H]Ro5-4864, and their apparent Kd values were identical. However, in mitochondrial fragments, there were 80% more binding sites for [3H]Ro5-4864 than for [3H]PK11195. Rat kidney mitochondria were fractionated by decompression and digitonin-based methods into outer and inner membrane-contg. fractions before and after incorporation of the MBR-specific photoaffinity ligand [3H]PK14105. Assays of selective mitochondrial membrane markers and [3H]Ro5-4864 binding or specifically bound [3H]PK14105 revealed that the receptors were found in the mitochondrial outer membrane. The binding of a large no. of structurally and pharmacol. diverse compds. to MBR were examd. by studying their ability to inhibit the binding of both 3H-ligands. These compds. had affinities ranging 0.015-100 .mu.M and, with a few exceptions, were similar in their abilities to bind to MBR in intact and fragmented mitochondria. However, there was considerable variation in the ratios between drug potencies at displacing [3H]Ro5-4864 and [3H]PK11195. This represents a new form of evidence that these 2 radioligands do not label identical sites on the receptor. Thirteen of the drugs, including [H]Ro5-4864 and [H]PK11195, were analyzed as to the nature of the inhibition and, with only 2 exceptions, were competitive inhibitors. One drug, Konig's polyanion, was uncompetitive whereas the other, cyclosporin A, was a noncompetitive inhibitor. These studies revealed several new classes of MBR ligands and suggest that the relationship between ligand structure and binding affinity is highly complex.
- IT 53-19-0, Mitotane 58-32-2, Dipyridamole 66-76-2, Dicoumarol 83-79-4, Rotenone 84-66-2, Diethylphthalate 84-74-2, Dibutylphthalate 114-25-0, Biliverdin 117-81-7, Diethylhexylphthalate 439-14-5, Diazepam 448-65-7, Deuteroporphyrin IX 479-61-8, Chlorophylla 493-90-3, Mesoporphyrin IX 531-14-6 553-12-8, Protoporphyrin IX 555-60-2, Carbonylcyanide m-chlorophenylhydrazide 734-22-5 1397-94-0, Antimycin A 1622-61-3, Clonazepam 1622-62-4, Flunitrazepam 2738-64-9, Piericidin A 14439-61-3, Ro5-4864 16009-13-5, Hemin 29096-93-3 43152-58-5 59865-13-3, Cyclosporin A 65290-33-7 75763-46-1 76706-55-3, Myxothiazol 85532-75-8, PK 11195 105888-54-8
RL: BIOL (Biological study)
(peripheral-type benzodiazepine receptor of mitochondria binding by, structure in relation to)
- L22 ANSWER 15 OF 39 HCAPLUS COPYRIGHT 2002 ACS
AN 1988:522145 HCAPLUS
DN 109:122145
TI Comparative analysis of modulators of nonspecific resistance against microbial infections
AU Morahan, Page S.; Leake, Edward R.; Tenney, Daniel J.; Sit, Mary

- CS Dep. Microbiol. Immunol., Med. Coll. Pennsylvania, Philadelphia, PA, 19129, USA
- SO Prog. Leukocyte Biol. (1987), 6(Immunopharmacol. Infect. Dis.), 313-24
CODEN: PLBIE5; ISSN: 0884-6790
- DT Journal
- LA English
- AB Three immunomodulators, pyran, MVE-2 and C. parvum, provided significant protection with prophylactic administration against the three infections tested. Several synthetic immunomodulators were very effective with prophylactic administration against both encephalomyocarditis and herpes simplex virus 2 infections; these included CL246, 738, the pyrimidinones, and avridine in liposomes. Recombinant .alpha. and .gamma. interferons (IFNs) and natural .beta.-IFN were effective on repeated therapeutic treatment against viral infections. The efficacy of IFNs against Listeria needs to be evaluated. Certain immunomodulator regimens were protective against viral infections but enhanced Listeria infection; this is troubling for clin. potential.
- IT 148-18-5, Imuthiol 734-22-5 6307-35-3 26007-37-4, Itaconic acid-styrene copolymer 27100-68-1, Maleic anhydride divinyl ether copolymer 35607-20-6, Avridine 53678-77-6, Muramyl dipeptide 53678-77-6D, derivs. 56741-95-8, 2-Amino-5-bromo-6-phenyl-4(3H)-pyrimidinone 61512-20-7, Cord factor 72943-43-2 81541-26-6 83791-86-0, ADA202-718 84088-42-6, LS2616 87622-07-9 87635-66-3 100680-90-8
RL: BIOL (Biological study)
(immunomodulation by, in microbial infection)
- L22 ANSWER 16 OF 39 HCAPLUS COPYRIGHT 2002 ACS
- AN 1987:310 HCAPLUS
- DN 106:310
- TI Immunoprotective and immunorestorative effects of a new immunomodulator, CL 259,763
- AU Durr, F. E.; Wallace, R. E.; Ruszala-Mallon, V.; Wang, B. S.
- CS Med. Res. Div., American Cyanamid Co., Pearl River, NY, USA
- SO Recent Adv. Chemother., Proc. Int. Congr. Chemother., 14th (1985), Volume Anticancer Sect. 2, 922-3. Editor(s): Ishigami, Joji. Publisher: Univ. Tokyo Press, Tokyo, Japan.
CODEN: 55GNAX
- DT Conference
- LA English
- GI



- AB **CL 259763 (I)** [734-22-5] is an orally active compd. that affects the humoral and cellular compartments of the immune system in both normal and tumor-bearing mice. I potentiates the antibody response to sheep erythrocytes in normal mice, restores the antibody response in immunosuppressed leukemic mice, and protects the humoral response from suppression by cytotoxic drugs. I also protects or accelerates the recovery of bone marrow following myelosuppression by cytotoxic drugs, an effect possibly mediated by colony-stimulating factor [62683-29-8], which is induced by the compd.
- IT Neoplasm inhibitors
(myelosuppression from, CL 259763 protection against)
- IT Immunosuppression
(treatment of, with CL 259763)

IT Immunostimulants
(adjuvants, CL 259763 as, cytotoxic drug-induced
myelosuppression prevention by)

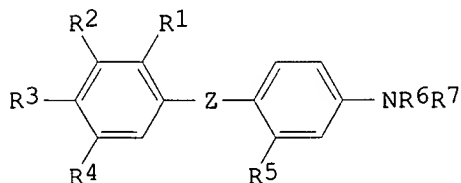
IT Hematopoiesis
(myelopoiesis, suppression of, by cytotoxic agents, CL
259763 protection from)

IT 734-22-5, CL 259763
RL: BIOL (Biological study)
(immunomodulation by, cytotoxic drug-induced myelosuppression response
in relation to)

IT 62683-29-8
RL: BIOL (Biological study)
(in CL 259763 immunomodulation effects)

L22 ANSWER 17 OF 39 HCAPLUS COPYRIGHT 2002 ACS
AN 1984:466008 HCAPLUS
DN 101:66008
TI Modulating the immune response system in mammals
IN Lang, Stanley Albert, Jr.; Fields, Thomas Lynn; Wilkinson, Raymond George;
Kang, Soon Mok; Lin, Yank I
PA American Cyanamid Co. , USA
SO Eur. Pat. Appl., 38 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 102476	A1	19840314	EP 1983-106543	19830705
	EP 102476	B1	19861105		
	R: AT, BE, CH, DE, FR, GB, IT, LI, NL, SE				
	US 4532349	A	19850730	US 1983-500715	19830603
	AT 23268	E	19861115	AT 1983-106543	19830705
	JP 59046261	A2	19840315	JP 1983-142664	19830805
	ZA 8305783	A	19840425	ZA 1983-5783	19830805
	ES 524772	A1	19850601	ES 1983-524772	19830805
	CA 1215990	A1	19861230	CA 1983-433977	19830805
	CA 1230057	A2	19871208	CA 1986-513549	19860710
PRAI	US 1982-405666		19820806		
	US 1982-411399		19820825		
	EP 1983-106543		19830705		
	CA 1983-433977		19830805		
OS	CASREACT 101:66008				
GI					



AB The prepn. of N-substituted phenylthioanilines, phenylsulfinylanilines, and phenylsulfanylanilines I (R1 = H, Cl, or NO2; R2 = H or Cl; R3 = H, Br, Cl, Fl, NO2, Cl-3 alkoxy, etc.; R4 and R5 = H or Cl; R6 = H or Cl-3 alkyl; R7 = H, Cl-3 alkyl, etc.; Z = S, SO, or SO2) is described for use as immune adjuvants. Some of the compds. were active in restoring antibody formation in mice with Rauscher virus-induced leukemia. The compds. may be useful for restoring immune function in cancer.

IT 312-35-6P **734-22-5P** 1134-94-7P 1135-14-4DP, derivs.
 1144-81-6P 6626-22-8P 6630-10-0P 7019-01-4DP, derivs. 17078-72-7P
 21229-95-8DP, derivs. 32794-92-6P 35881-07-3P 79995-57-6P
 90309-06-1P 90309-07-2P 90309-08-3P 90309-09-4P 90309-10-7P
 90309-11-8P 90309-12-9P 90309-13-0P 90309-14-1P 90309-15-2P
 90309-16-3P 90309-17-4P 90309-18-5P 90309-19-6P 90309-20-9P
 90309-21-0P 90309-22-1P 90309-23-2P 90309-24-3P 90309-25-4P
 90309-26-5P 90309-27-6P 90309-28-7P 90309-29-8P 90328-02-2P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. and immune adjuvant activity of, neoplasm treatment in relation
 to)

L22 ANSWER 18 OF 39 USPATFULL
 AN 2002:106321 USPATFULL
 TI Compositions and methods for promoting tissue regeneration
 IN Neuberger, Timothy J., Dobbs Ferry, NY, UNITED STATES
 Herzberg, Uri, Guilford, CT, UNITED STATES
 Mallon, Veronica, New City, NY, UNITED STATES
 PI US 2002055530 A1 20020509
 AI US 2001-827666 A1 20010406 (9)
 PRAI US 2000-195516P 20000406 (60)
 DT Utility
 FS APPLICATION
 LREP ALLEN BLOOM, C/O DECHERT, PRINCETON PIKE CORPORATION CENTER, P.O. BOX
 5218, PRINCETON, NJ, 08543-5218
 CLMN Number of Claims: 66
 ECL Exemplary Claim: 1
 DRWN No Drawings
 LN.CNT 2322

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention relates to compositions and methods for promoting tissue regeneration, preferably neural tissue regeneration. Compositions of the invention include (i) certain diphenyl sulfides, diphenyl sulfoxides, diphenyl sulfones, and sulfide, sulfoxide and sulfones of dibenzothiophene and thioxanthene, as well as various analogues and derivatives of these compounds; (ii) one or more cells harvested from an animal or organism subsequent to the administration of a composition comprising a compound of (i); or (iii) any combination of (i) and (ii). The invention can be useful in treating decreases in neuronal function, for example from injury or disease.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

SUMM [0028] wherein R.sub.12 is alkyl group having up to 4 carbon atoms such as methyl, isopropyl, n-butyl, and the like. In particularly preferred embodiments of the invention, methods are practiced using compositions comprising N-[4-[(4-fluorophenyl)sulfonyl]phenyl]acetamide. In some embodiments, the compositions of the invention additionally comprise a pharmacologically acceptable carrier.

SUMM [0096] N-[4-[(4-fluorophenyl)sulfonyl]phenyl]acetamide,

SUMM [0136] N-[4-[(4-fluorophenyl)sulfonyl]phenyl]acetamide and N,N''-2,8-Dibenzothiophenediylbis[N,N-dimethylpropanimidamide] S,S-dioxide.

SUMM [0138] The method of the invention is exemplified by a first embodiment wherein administration of a single in vitro dose of N-[4-[(4-fluorophenyl)sulfonyl]phenyl]-acetamide, a compound according to Formula (II), to a population of mixed embryonic day 18 ("E18") rat neural

cultures without living neurons results in a protein expression pattern within the culture that is indicative of development of neuronal cells from progenitor cells. The embryonic neural cultures are first subjected to glutamate excitotoxic exposure sufficient to result in neuronal cell death prior to administration of the compound. Cultures obtained from E18 rat embryos are allowed to mature in vitro for 10 days at which time they are treated with 10 mM glutamate to kill the neurons. Eight days later, cultures are treated with a range of doses of the compound

N-[4-[(4-fluorophenyl)

sulfonyl]phenyl]acetamide from 0.01 .mu.g/ml

to 100 .mu.g/ml. In comparing control cultures and drug treated cultures, an antigenic marker for neuronal progenitor cells, e-NCAM (as detected by immunohistochemical methods), is elevated one week after treatment of the culture with N-[4-[(4-

fluorophenyl)sulfonyl]phenyl]-

acetamide. By three weeks post treatment, elevated levels of beta-tubulin expression are detected in large numbers of cells in cultures treated with the compound. In contrast, few cells demonstrate strong immunoreactivity for beta-tubulin in untreated control cultures. Low levels of MAP-II expression are also detected at 3 weeks post treatment in a large number of cells treated with the compound. In contrast, few cells in untreated cultures are observed expressing MAP-II immunoreactivity at 3 weeks post-treatment. By 4 weeks post treatment, a large number of cells treated with the compound can be observed expressing intense MAP-II and beta-tubulin immunoreactivity. In control cultures, few cells are observed expressing intense MAP-II and beta-tubulin immunoreactivity. Finally, by 6 weeks post treatment, intense immunoreactivity against phosphorylated form of the middle and high molecular weight forms of neurofilament protein (NF-PO.sub.4) can be observed in numerous neurites in compound treated cultures, but only in a few NF-PO.sub.4 positive cells in untreated cultures. E18 derived cultures at ten weeks post treatment show expression of the Low affinity Neuron Growth Factor Receptor. This expression pattern represents the normal sequence of events as neuronal cells develop from progenitor cells.

SUMM [0139] The method of the invention is also exemplified by a second embodiment in which N-[4-[(4-fluorophenyl)sulfonyl]phenyl]acetamide is administered in vitro to tissue from postnatal mammals. Treatment with N-[4-[(4-fluorophenyl)sulfonyl]phenyl]acetamide results in neuroregeneration as evidenced by immunostaining for beta-tubulin, eNCAM and MAP II. Neural tissue harvested from Post Natal day 5 (PND5) animals can be prepared in culture by the method above used with E18 cells, except that the cultures are not treated with glutamate because the neurons are unable to survive the culture preparation step. Using an assay system, cells can be treated with N-[4-[(4-fluorophenyl)sulfonyl]phenyl]acetamide 24 hours after the cultures are established. A similar sequence of events that was observed with the E18 cultures is observed with PND5 rat cultures. Enhanced expression of eNCAM is observed in PND5 cultures immunostained for eNCAM at one week post treatment in treated samples compared to untreated control samples. At four weeks post treatment, increased numbers of .beta.-tubulin positive cells were detected in wells treated with N-[4-[(4-fluorophenyl)sulfonyl]phenyl]acetamide compared to untreated control wells. In PND5 cultures immunostained for MAP-II at six weeks post treatment, MAP-II expression is enhanced in treated samples compared to untreated control samples.

SUMM [0140] The method of the invention is also exemplified by a third

embodiment in which astrocytes are passaged 3 times prior to in vitro treatment with the compound and samples derived from the whole cortex were compared to samples enriched for tissue from the subventricular zone. Cultures of highly enriched, passaged astrocytes treated in vitro with N-[4-[(4-fluorophenyl)sulfonyl]phenyl]-acetamide show beta-tubulin positive cells with neuronal morphologies. Likewise, beta-tubulin positive cells with neuronal morphology can also be observed in untreated control cultures, but at a significantly reduced level. In addition to the beta-tubulin positive cells with neuronal morphologies, many beta-tubulin positive cells that have an astrocyte-like morphology can be observed, along with beta-tubulin positive cells that demonstrate a hybrid neuronal-astrocyte morphology. These same types of beta-tubulin positive cells can be observed in untreated control cultures, but in significantly reduced numbers.

- SUMM [0142] In preferred embodiments, the invention relates to regenerating nerve tissue in vivo. Methods of the invention include administering a therapeutically effective dose of a composition of the invention to a first mammal in need of neural regeneration. In some embodiments, a compound of Formula (I) or Formula (II) is administered, preferably orally administered, to a mammal in need of tissue regeneration, preferably neural tissue regeneration. In some embodiments, methods of the invention comprise administering a compound of Formula (I) or Formula (II) to a first mammal, harvesting cells from the first mammal after administration of the compound and subsequently delivering the harvested cells locally at a site where increased neural expression or increased neural regeneration is needed, wherein the injury site can be in the first mammal or in a second mammal. In some embodiments, the compositions of the invention can be administered intralesionally. Preferably, the harvested cells are from any type of stem cell, for example bone marrow cells. For example, bone marrow cells can be collected from a donor animal (e.g., a rat) within two weeks, preferably within three to seven days, after oral administration of N-[4-[(4-fluorophenyl)sulfonyl]phenyl]acetamide in a pharmaceutically acceptable carrier to the donor animal. These bone marrow cells can be implanted at the site of injury, for example, to the spinal cord of an injured recipient animal (e.g., inject 10-20 μ l into the cyst at or near the site of spinal cord injury), which can be the same animal or a different animal from the bone marrow donor animal. The recipient animal can be treated with bromodeoxyuridine (BrdU), which is incorporated into certain cell nuclei that pass through interphase (S phase) of the cell cycle, on a week-on/week-off schedule. Harvesting the spinal cords of the recipient animals 12 weeks after bone marrow cell implantation and immunostaining of the spinal cord tissue shows incorporation of BrdU in cell nuclei, as well as expression of nestin, beta-tubulin and GFAP-proteins indicative of nerve cell regeneration.
- SUMM [0143] In some embodiments of the invention, cells, preferably bone marrow cells, can be transferred from a first animal treated according to methods of the invention to a site of chronic spinal cord injury in the first animal or in a second animal. After systemic administration of N-[4-[(4-fluorophenyl)sulfonyl]phenyl]acetamide to a rat, bone marrow cells harvested from the rat 3-7 days later shows increased expression of Nestin compared to bone marrow from non-treated rats. In slides stained for Nestin, Nestin immunoreactive cells are observed at the edge of the injury cavity in the spinal cord in treated rats but not in untreated rats. In addition, saline-treated animals showed no immunoreactivity toward Nestin.
- SUMM [0144] In another study, cavities are induced by compressive injuries to

the spinal cords of rats. In the study, rats are either untreated, treated with a saline vehicle, or treated with N-[4-[(4-fluorophenyl)sulfonyl]phenyl]acetamide in a saline vehicle. In comparing the extent of closure of the cavities in the injured spinal cords, it was observed that the rat treated with the N-[4-[(4-fluorophenyl)sulfonyl]phenyl]acetamide in a saline vehicle showed the most extensive closure of the cavity in the spinal cord compared to the untreated or saline treated rats.

DETD [0178] On in vitro day (IVD) 10, glutamate (Gibco) (110 .mu.l of 20 mM added to wells that contained 1 ml of media) was add to all wells except control wells to a final concentration 2 mM. Control wells were fed an equal amount of media minus the L-glutamate. Cultures were maintained for an additional 9 days using a 3-4 feeding schedule. On IVD-18, media was removed and replaced with Neurobasal (Gibco)+B27 supplements (Gibco) and L-glutamine (Media Tech). The next day, IVD-19, N-[4-[(4-fluorophenyl)sulfonyl]phenyl]acetamide dissolved in 2-hydroxypropyl-.beta.-cyclodextrin (Sigma) was added to cultures such that the final concentrations of the compound were either 100 .mu.g/ml, 10 .mu.g/ml, 1 .mu.g/ml and 0.1 .mu.g/ml or 10 .mu.g/ml, 1 .mu.g/ml 0.1 .mu.g/ml and 0.01 .mu.g/ml. Two sets of control wells were included in each experiment. One set of glutamate treated wells received an equal dose of 2-hydroxypropyl-.beta.-cyclodextrin but without N-[4-[(4-fluorophenyl)sulfonyl]phenyl]acetamide. In initial studies, the second set of controls, those wells that did not receive glutamate were treated with 100 .mu.g/ml N-[4-[(4-fluorophenyl)sulfonyl]phenyl]acetamide dissolved in 2-hydroxypropyl-.beta.-cyclodextrin. After it became apparent that the optimal dose was approximately 0.1 .mu.g/ml to 1 .mu.g/ml N-[4-[(4-fluorophenyl)sulfonyl]phenyl]acetamide, the concentration of drug added to the control wells was reduced to 1 .mu.g/ml.

DETD [0183] To remove the cellular debris, all plates were washed 24 hours after plating. Each plate was gently rocked several times, the media was removed and replaced with 1 ml of 37.degree. C. DMEM. The plate was gently rocked several times, the media was removed and replaced with 500 .mu.l of NeuroBasal medium (Gibco) plus B27 supplements, 2 mM L-glutamine and penicillin and streptomycin. After all plates were washed and refed, N-[4-[(4-fluorophenyl)sulfonyl]phenyl]acetamide, dissolved 2 hydroxypropyl-.beta.-cyclodextrin, was added to cultures at final concentrations ranging from 100 .mu.g/ml to 10 ng/ml. Control wells received 2 hydroxypropyl-.beta.-cyclodextrin only. Using immunostaining protocols described above, 24 well cluster plates were immunostained once per week for up to 10 weeks after treatment with N-[4-[(4-fluorophenyl)sulfonyl]phenyl]acetamide using antibodies against eNCAM, .beta.-tubulin, MAP II, phosphorylated neurofilament or low affinity NGF receptor.

DETD [0186] Fischer F344 female rats (Taconic, Germantown N.Y.) weighing 175-200 g were subjected to 25 mm weight drop contusion injury as previously described (Gruner J A, J. Neurotrauma, 1992 Summer; 9(2):123-8) with slight modifications. Briefly, under isoflurane anesthesia, a laminectomy exposing the T8-9 spinal cord segment was performed and a rod weighing 10 g was dropped on the exposed cord from 25 mm height. The rod diameter at its end (where cord-rod interaction takes place) is 2.8 mm. A total of 12 rats were injured. Four animals were used as donor animals, eight as recipients. Two donor animals were administered N-[4-[(4-fluorophenyl)sulfonyl]phenyl]acetamide

)sulfonyl]phenyl]acetamide at a dose of 100 mg/kg orally, and two other animals were treated with vehicle (cyclodextrin, 45% in distilled sterile water). Five days following donor treatment and four weeks following injury, donor animals were euthanized with CO₂ according to the Guidelines set by the Panel on Euthanasia of the American Veterinary Medical Association. Bone marrow (BM) cells were harvested from donor animals, and a total of 250,000 cells in a volume of 10 μ l (saline vehicle) were injected into the cavity of recipient animals. Two recipient animals received 10 μ l saline in the cord cavity, three received BM cells from N-[**4-[(4-fluorophenyl)sulfonyl]phenyl]acetamide**-treated donors and three from vehicle-treated donors. Four weeks following cell/saline injection, animals were deeply anesthetized using xylazine/ketamine (100 mg and 0.15 mg/kg respectively) and perfused transcardially with ice cold saline followed by 4% paraformaldehyde. Spinal cord tissue was harvested, embedded in paraffin and stained for the Nestin and hematoxylin-eosin/luxol fast blue. Nestin is a known marker of neural precursor cells (Matthew F. McManus, Li-Chun Chen, Inmaculada Vallejo, and Mario Vallejo; "Astroglial Differentiation of Cortical Precursor Cells Triggered by Activation of the cAMP-Dependent Signaling Pathway," J. Neurosci. 1999, 19(20):9004-9015). Control sections lacking the primary antibodies were also processed.

DETD [0187] On histological analysis animals treated with bone marrow cells from N-[**4-[(4-fluorophenyl)sulfonyl]phenyl]acetamide**-treated animals demonstrated a decrease in cavity size at the injury site (approximately half the size) compared with saline treated animals. Doseage levels of with N-[**4-[(4-fluorophenyl)sulfonyl]phenyl]acetamide** was 100 mg/kg at 20 mg/ml. No difference in cavity size was detected comparing saline treated animals and animals treated with bone marrow cells from vehicle treated donors. A significant increase in cells immunoreactive to nestin above and below the edge of the injury cavity was observed in animals treated with cells from N-[**4-[(4-fluorophenyl)sulfonyl]phenyl]acetamide** treated donors compared with saline treated or vehicle treated donors.

CLM What is claimed is:
7. The method of claim 6 wherein the compound is N-[**4-[(4-fluorophenyl)sulfonyl]phenyl]acetamide**.

IT 734-22-5

(aryl sulfide, sulfoxide, and sulfone compds. for promoting tissue regeneration, including neural regeneration)

L22 ANSWER 19 OF 39 USPATFULL

AN 2002:32593 USPATFULL

TI Cancer treatment

IN Camden, James Berger, West Chester, OH, UNITED STATES

PA The Procter & Gamble Company (U.S. corporation)

PI US 2002019415 A1 20020214

AI US 2001-923126 A1 20010806 (9)

RLI Division of Ser. No. US 2000-578281, filed on 25 May 2000, PENDING

DT Utility

FS APPLICATION

LREP THE PROCTER & GAMBLE COMPANY, PATENT DIVISION, IVORYDALE TECHNICAL CENTER - BOX 474, 5299 SPRING GROVE AVENUE, CINCINNATI, OH, 45217

CLMN Number of Claims: 38

ECL Exemplary Claim: 1

DRWN No Drawings

LN.CNT 986

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB This invention is a method of treating cancer, including carcinomas and sarcomas through the administration of a pharmaceutical composition containing a pyridinylimidazole carbamate. The pyridinylimidazole carbamate is selected from the group consisting of: ##STR1##

wherein X is independently selected from the group consisting of halo, for example, bromo, fluoro, chloro, iodo; hydroxyl, alkyl of less than 8 carbon atoms or alkoxy of less than 8 carbon atoms; n is a positive integer less than 4; R is hydrogen or an alkyl group of from 1 to 8 carbons and its pharmaceutically acceptable salts and prodrugs thereof.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 53-86-1, Indomethacin 58-05-9, Leucovorin 58-32-2, Dipyridamole 59-14-3, Bromodeoxyuridine 67-68-5, Dimethyl sulfoxide, biological studies 79-09-4, Propionic acid, biological studies 110-85-0D, Piperazine, bis-diketo derivs. 127-07-1, Hydroxyurea 273-21-2D, 1H-Imidazo[4,5-b]pyridine, carbamate derivs. 364-62-5, Metoclopramide 486-12-4, Triprolidine 734-22-5 9005-49-6, Heparin, biological studies 9015-68-3, Asparaginase 11103-57-4, Vitamin A 17090-79-8, Monensin 23249-97-0, Procodazole 33259-74-4 33259-74-4D, prodrug derivs. 36649-01-1 36649-01-1D, prodrug derivs. 51481-61-9, Cimetidine 53678-77-6, Muramyl dipeptide 53910-25-1, 2'-Deoxycoformycin 103190-36-9 122970-40-5, 7-Thia-8-oxoguanosine (pyridinylimidazole carbamates for cancer treatment, and use with other agents)

L22 ANSWER 20 OF 39 USPATFULL

AN 2002:102504 USPATFULL

TI Cancer treatment

IN Camden, James Berger, West Chester, OH, United States

PA The Procter & Gamble Company, Cincinnati, OH, United States (U.S. corporation)

PI US 6384049 B1 20020507

AI US 2000-578281 20000525 (9)

DT Utility

FS GRANTED

EXNAM Primary Examiner: Krass, Frederick

LREP Hersko, Bart S.

CLMN Number of Claims: 24

ECL Exemplary Claim: 1

DRWN 0 Drawing Figure(s); 0 Drawing Page(s)

LN.CNT 883

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB This invention is a method of treating cancer, including carcinomas and sarcomas through the administration of a pharmaceutical composition containing a pyridinylimidazole carbamate. The pyridinylimidazole carbamate is selected from the group consisting of: ##STR1##

wherein X is independently selected from the group consisting of halo, for example, bromo, fluoro, chloro, iodo; hydroxyl, alkyl of less than 8 carbon atoms or alkoxy of less than 8 carbon atoms; n is a positive integer less than 4; R is hydrogen or an alkyl group of from 1 to 8 carbons and its pharmaceutically acceptable salts and prodrugs thereof.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 53-86-1, Indomethacin 58-05-9, Leucovorin 58-32-2, Dipyridamole 59-14-3, Bromodeoxyuridine 67-68-5, Dimethyl sulfoxide, biological studies 79-09-4, Propionic acid, biological studies 110-85-0D, Piperazine, bis-diketo derivs. 127-07-1, Hydroxyurea 273-21-2D, 1H-Imidazo[4,5-b]pyridine, carbamate derivs. 364-62-5, Metoclopramide 486-12-4, Triprolidine 734-22-5 9005-49-6, Heparin, biological studies 9015-68-3, Asparaginase 11103-57-4, Vitamin A

17090-79-8, Monensin 23249-97-0, Procodazole 33259-74-4
33259-74-4D, prodrug derivs. 36649-01-1 36649-01-1D, prodrug derivs.
51481-61-9, Cimetidine 53678-77-6, Muramyl dipeptide 53910-25-1,
2'-Deoxycytosine 103190-36-9 122970-40-5, 7-Thia-8-oxoguanosine
(pyridinylimidazole carbamates for cancer treatment, and use with other
agents)

L22 ANSWER 21 OF 39 USPATFULL
AN 2001:212449 USPATFULL
TI AZOLE INHIBITORS OF CYTOKINE PRODUCTION
IN BAMAUNG, NWE Y., NILES, IL, United States
BASHA, ANWER, LAKE FOREST, IL, United States
DJURIC, STEVAN W., LIBERTYVILLE, IL, United States
GUBBINS, EARL J., LIBERTYVILLE, IL, United States
LULY, JAY R., WELLESLEY, MA, United States
TU, NOAH P., GURNEE, IL, United States
MADAR, DAVID J., GRAYSLAKE, IL, United States
WARRIOR, USHA, GREEN OAKS, IL, United States
WIEDEMAN, PAUL E., LIBERTYVILLE, IL, United States
ZHOU, XUN, PARK CITY, IL, United States
SCIOTTI, RICHARD J., GURNEE, IL, United States
WAGENAAR, FRANK L., GURNEE, IL, United States
PI US 2001044445 A1 20011122
AI US 1999-289155 A1 19990408 (9)
DT Utility
FS APPLICATION
LREP ABBOTT LABORATORIES, DEPT. 377 - AP6D-2, 100 ABBOTT PARK ROAD, ABBOTT
PARK, IL, 60064-6050
CLMN Number of Claims: 44
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 9955
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Compounds having the formula ##STR1##

are useful for treating diseases that are prevented by or ameliorated
with Interleukin-2, Interleukin-4, or Interleukin-5 production
inhibitors.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L22 ANSWER 22 OF 39 USPATFULL
AN 90:81811 USPATFULL
TI Substituted dibenzothiophenes
IN Nair, Vijay G., Nanuet, NY, United States
Conrow, Ramson B., Pearl River, NY, United States
Wang, Bosco S., Cranbury, NY, United States
Ruszala-Mallon, V. M., New City, NY, United States
PA American Cyanamid Company, Wayne, NJ, United States (U.S. corporation)
PI US 4965284 19901023
AI US 1989-341862 19890425 (7)
RLI Continuation-in-part of Ser. No. US 1988-196166, filed on 19 May 1988,
now abandoned
DT Utility
FS Granted
EXNAM Primary Examiner: Ford, John M.; Assistant Examiner: Scalzo, Catherine
LREP Dow, Kenneth J.
CLMN Number of Claims: 23
ECL Exemplary Claim: 1
DRWN 2 Drawing Figure(s); 2 Drawing Page(s)
LN.CNT 1219
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB This disclosure described novel derivatives of dibenzothiophene,

dibenzothiophene sulfoxide, dibenzothiophene sulfone, thioxanthene, thioxanthene sulfoxide and thioxanthene sulfone which are active as modulators of the mammalian immune response system.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

DETD G3.=5Fluorouracil+control, N-[4-[(4-fluorophenyl)sulfonyl]phenyl]acetamide, (disclosed in U.S. Pat. No. 4,532,349) at 100 mg/Kg.
 DETD G3=5-Fluorouracil+control, N-[4-[(4-Fluorophenyl)sulfonyl]phenyl]acetamide (U.S. Pat. No. 4,532,349) at 100 mg/Kg.

L22 ANSWER 23 OF 39 USPATFULL

AN 85:44769 USPATFULL
 TI 2-Amino-4'-(phenylsulfonyl) acetanilides
 IN Lang, Jr., Stanley A., Blauvelt, NY, United States
 Fields, Thomas L., Pearl River, NY, United States
 Wilkinson, Raymond G., Montvale, NJ, United States
 Kang, Soon M., Dumont, NJ, United States
 Lin, Yang-I, Nanuet, NY, United States
 PA American Cyanamid Company, Stamford, CT, United States (U.S. corporation)
 PI US 4532349 19850730
 AI US 1983-500715 19830603 (6)
 RLI Continuation-in-part of Ser. No. US 1982-411399, filed on 25 Aug 1982, now abandoned
 DT Utility
 FS Granted
 EXNAM Primary Examiner: Hollrah, Glennon H.; Assistant Examiner: Springer, D. B.
 LREP Conroy, Jr., Edward A.
 CLMN Number of Claims: 4
 ECL Exemplary Claim: 1
 DRWN No Drawings
 LN.CNT 530

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A method of modulating the immune response system in a warm-blooded animal by the administration of N-substituted-phenylthioanilines, N-substituted-phenylsulfinylanilines, and N-substituted-phenylsulfonylanilines, certain of which are new compounds.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

DETD 2-Amino-N-[4-[(4-fluorophenyl)sulfonyl]phenyl]acetamide
 DETD An 11.0 g portion of this solid was combined with 2.4 g of sodium azide in 50 ml of dimethylsulfoxide, stirred overnight, poured into 500 ml of ice and water and the solid collected. This solid was recrystallized from 150 ml of toluene, giving 9.8 g of 2-azido-N-[4-[(4-fluorophenyl)sulfonyl]phenyl]acetamide.
 DETD N[4-[(4-fluorophenyl)sulfonyl]phenyl]acetamide
 IT 312-35-6P 734-22-5P 1134-94-7P 1135-14-4DP, derivs.
 1144-81-6P 6626-22-8P 6630-10-0P 7019-01-4DP, derivs. 17078-72-7P
 21229-95-8DP, derivs. 32794-92-6P 35881-07-3P 79995-57-6P
 90309-06-1P 90309-07-2P 90309-08-3P 90309-09-4P 90309-10-7P
 90309-11-8P 90309-12-9P 90309-13-0P 90309-14-1P 90309-15-2P
 90309-16-3P 90309-17-4P 90309-18-5P 90309-19-6P 90309-20-9P
 90309-21-0P 90309-22-1P 90309-23-2P 90309-24-3P 90309-25-4P
 90309-26-5P 90309-27-6P 90309-28-7P 90309-29-8P 90328-02-2P
 (prepn. and immune adjuvant activity of, neoplasm treatment in relation to)

L22 ANSWER 24 OF 39 BIOSIS COPYRIGHT 2002 BIOLOGICAL ABSTRACTS INC.DUPLICATE
8
AN 1987:409374 BIOSIS
DN BR33:79052
TI **CL-259763.**
AU LIN Y-I; LANG S A JR; FIELDS T L; RUSZALA-MALLON V; DURR F E; WANG B S
CS MEDICAL RES. DIV., AMERICAN CYANAMID CO., LEDERLE LABS., PEARL RIVER, N.Y.
10965, USA.
SO Drugs Future, (1987) 12 (5), 431-432.
CODEN: DRFUD4.
FS BR; OLD
LA English
RN **734-22-5 (CL-259763)**

L22 ANSWER 25 OF 39 BIOSIS COPYRIGHT 2002 BIOLOGICAL ABSTRACTS INC.
AN 1993:382688 BIOSIS
DN PREV199345054113
TI No carrier added fluorine-18 4-fluorophenylsulfonyl compounds: One-step
labeling of the antiepileptic drug fluoresone and the interleukin enhancer
CL-259/763.
AU Gatley, S. J.; Ding, Y.-S.; Fowler, J. S.; Wolf, A. P.
CS Chemistry Dep., Brookhaven National Lab., Upton, NY USA
SO Journal of Nuclear Medicine, (1993) Vol. 34, No. 5 SUPPL., pp. 69P.
Meeting Info.: 40th Annual Meeting of the Society of Nuclear Medicine
Toronto, Ontario, Canada June 8-11, 1993
ISSN: 0161-5505.
DT Conference
LA English

L22 ANSWER 26 OF 39 BIOSIS COPYRIGHT 2002 BIOLOGICAL ABSTRACTS INC.
AN 1991:153246 BIOSIS
DN BR40:72851
TI AN ORALLY ACTIVE SYNTHETIC COMPOUND **CL-259763** THAT
MIMICS THE EFFECTS OF R-CSFS IN MICE.
AU RUSZALA-MALLON V; WALLACE R E; CITARELLA R V; IRWIN M; LIN Y-I; DURR F E
CS AMERICAN CYANAMID CO./LEDERLE LABS., PEARL RIVER, N.Y. 10965.
SO 15TH INTERNATIONAL CANCER CONGRESS, HAMBURG, GERMANY, AUGUST 16-22, 1990.
J CANCER RES CLIN ONCOL. (1990) 116 (SUPPL PART 1), 341.
CODEN: JCROD7. ISSN: 0171-5216.
DT Conference
FS BR; OLD
LA English
RN **734-22-5 (CL-259763)**

L22 ANSWER 27 OF 39 BIOSIS COPYRIGHT 2002 BIOLOGICAL ABSTRACTS INC.
AN 1991:153035 BIOSIS
DN BR40:72640
TI AN ORALLY ACTIVE SYNTHETIC COMPOUND **CL-259763** THAT
MIMICS THE EFFECTS OF RCSFS IN MICE.
AU RUSZALA-MALLON V; WALLACE R E; CITARELLA R V; IRWIN M; LIN Y-I; DURR F E
CS AMERICAN CYANAMID CO./LEDERLE LABS., PEARL RIVER, N.Y. 10965.
SO 15TH INTERNATIONAL CANCER CONGRESS, HAMBURG, GERMANY, AUGUST 16-22, 1990.
J CANCER RES CLIN ONCOL. (1990) 116 (SUPPL PART 1), 288.
CODEN: JCROD7. ISSN: 0171-5216.
DT Conference
FS BR; OLD
LA English
RN 51-21-8 (5 FLUOROURACIL)
59-05-2 (METHOTREXATE)
734-22-5 (CL-259763)

L22 ANSWER 28 OF 39 BIOSIS COPYRIGHT 2002 BIOLOGICAL ABSTRACTS INC.
AN 1990:125839 BIOSIS

DN BR38:60049
TI COMPARATIVE EFFECTS OF A SYNTHETIC COMPOUND N 4-4
FLUOROPHENYLSULFONYLPHENYL ACETAMIDE **CL-259763** AND
RG-CSF ON MYELOID REGENERATION IN 5 FU TREATED MICE.
AU RUSZALA-MALLON V; WALLACE R; SILVA J; LINDH D; IRWIN M; DURR F E
CS AMERICAN CYANAMID, LEDERLE LABS., PEARL RIVER, NY, USA.
SO SIXTH NCI-EORTC (NATIONAL CANCER INSTITUTE-EUROPEAN ORGANIZATION FOR
RESEARCH ON TREATMENT OF CANCER) SYMPOSIUM ON NEW DRUGS IN CANCER THERAPY,
AMSTERDAM, NETHERLANDS, MARCH 7-10, 1989. INVEST NEW DRUGS. (1989) 7 (4),
422.
CODEN: INNDDK. ISSN: 0167-6997.
DT Conference
FS BR; OLD
LA English
RN 51-21-8 (5 FU)
51-21-8 (5 FLUOROURACIL)
60-35-5 (ACETAMIDE)
734-22-5 (**CL-259763**)

L22 ANSWER 29 OF 39 BIOSIS COPYRIGHT 2002 BIOLOGICAL ABSTRACTS INC.
AN 1988:256809 BIOSIS
DN BR34:127839
TI IMMUNORESTORATION WITH **CL-259763** N-4-4
FLUOROPHENYLSULFONYLPHENYLACETAMIDE IN CYCLOPHOSPHAMIDE CY-TREATED
ANIMALS.
AU WANG B S; LUMANGLAS A L; SILVA J; MALLON V R; JAMES J P; KELLEY K A; DURR
F E
CS LEDERLE LAB., PEARL RIVER, N.Y. 10965.
SO 72ND ANNUAL MEETING OF THE FEDERATION OF AMERICAN SOCIETIES FOR
EXPERIMENTAL BIOLOGY, LAS VEGAS, NEVADA, USA, MAY 1-5, 1988. FASEB (FED AM
SOC EXP BIOL) J. (1988) 2 (4), ABSTRACT 3587.
CODEN: FAJOEC. ISSN: 0892-6638.
DT Conference
FS BR; OLD
LA English
RN 50-18-0 (CYCLOPHOSPHAMIDE)
734-22-5 (**CL-259763**)

L22 ANSWER 30 OF 39 BIOSIS COPYRIGHT 2002 BIOLOGICAL ABSTRACTS INC.
AN 1988:235980 BIOSIS
DN BR34:118500
TI A FUNCTIONAL ANALYSIS OF MITOCHONDRIAL BENZODIAZEPINE RECEPTORS.
AU HIRSCH J D; BEYER C F; MALKOWITZ L; LOULLIS C C; BEER B; BLUME A J
CS MOL. NEUROBIOL. GROUP, CNS RES., MED. RES. DIV., AMER. CYANAMID CO., PEARL
RIVER, N.Y. 10965, USA.
SO 72ND ANNUAL MEETING OF THE FEDERATION OF AMERICAN SOCIETIES FOR
EXPERIMENTAL BIOLOGY, LAS VEGAS, NEVADA, USA, MAY 1-5, 1988. FASEB (FED AM
SOC EXP BIOL) J. (1988) 2 (4), ABSTRACT 1875.
CODEN: FAJOEC. ISSN: 0892-6638.
DT Conference
FS BR; OLD
LA English
IT Miscellaneous Descriptors
ABSTRACT RAT PHARMACOKINETICS RO-5-4864 DIAZEPAM DIPYRIDAMOLE
MESOPORPHYRIN IX PK-11195 CYCLOSPORIN A DEUTEROPORPHYRIN IX
FLUNITRAZEPAM DIBUTYLPHTHALATE **CL-259763**
RN 58-32-2 (DIPYRIDAMOLE)
84-74-2 (DIBUTYLPHTHALATE)
439-14-5 (DIAZEPAM)
448-65-7 (DEUTEROPORPHYRIN IX)
493-90-3 (MESOPORPHYRIN IX)
734-22-5 (**CL-259763**)
1622-62-4 (FLUNITRAZEPAM)

12794-10-4 (BENZODIAZEPINE)
14439-61-3 (RO-5-4864)
59865-13-3 (CYCLOSPORIN A)
85532-75-8 (PK-11195)

- L22 ANSWER 31 OF 39 BIOSIS COPYRIGHT 2002 BIOLOGICAL ABSTRACTS INC.
AN 1988:345008 BIOSIS
DN BR35:39850
TI **CL-259763** N-4-4 FLUOROPHENYLSULFONYLPHENYLACETAMIDE A
NOVEL COMPOUND WHICH ACCELERATES MYELOID REGENERATION IN MICE RECEIVING
INTENSIVE CHEMOTHERAPY.
AU WALLACE R E; RUSZALA-MALLON V; LINDH D; DURR F E
CS MED. RES. DIV., AMERICAN CYANAMID CO., PEARL RIVER, N.Y. 10965.
SO 79TH ANNUAL MEETING OF THE AMERICAN ASSOCIATION FOR CANCER RESEARCH, NEW
ORLEANS, LOUISIANA, USA, MAY 25-28, 1988. PROC AM ASSOC CANCER RES ANNU
MEET. (1988) 29 (0), 411.
CODEN: PAMREA.
DT Conference
FS BR; OLD
LA English
- L22 ANSWER 32 OF 39 BIOSIS COPYRIGHT 2002 BIOLOGICAL ABSTRACTS INC.
AN 1985:159941 BIOSIS
DN BR29:49937
TI EFFECT OF N-4-4 FLUOROPHENYLSULFONYLPHENYLACETAMIDE **CL-259763** ON INTERLEUKIN LEVELS IN TUMOR BEARING MICE.
AU RUSZALA-MALLON V; WANG B S; LIN Y-I; DURR F E
CS MED. RES. DIV., AMERICAN CYANAMID CO., LEDERLE LAB., PEARL RIVER., N.Y. 10965.
SO 69TH ANNUAL MEETING OF THE FEDERATION OF AMERICAN SOCIETIES FOR
EXPERIMENTAL BIOLOGY, ANAHEIM, CALIF., USA, APR. 21-26, 1985. FED PROC.
(1985) 44 (5), 1686.
CODEN: FEPA7. ISSN: 0014-9446.
DT Conference
FS BR; OLD
LA English
- L22 ANSWER 33 OF 39 BIOSIS COPYRIGHT 2002 BIOLOGICAL ABSTRACTS INC.
AN 1986:5781 BIOSIS
DN BR30:5781
TI ACTIVATION OF TUMORICIDAL MACROPHAGES AND LYMPHOCYTES WITH N-4-4
FLUOROPHENYLSULFONYLPHENYLACETAMIDE **CL-259763**.
AU WANG B S; RUSZALA-MALLON V; LIN Y-I; DURR F E
CS AMERICAN CYANAMID CO., LEDERLE LAB., PEARL RIVER, N.Y. 10965, USA.
SO 3RD INTERNATIONAL CONFERENCE ON IMMUNOPHARMACOLOGY, FLORENCE, ITALY, MAY
6-9, 1985. INT J IMMUNOPHARMACOL. (1985) 7 (3), 393.
CODEN: IJIMDS. ISSN: 0192-0561.
DT Conference
FS BR; OLD
LA English
- L22 ANSWER 34 OF 39 EMBASE COPYRIGHT 2002 ELSEVIER SCI. B.V.
AN 96026815 EMBASE
DN 1996026815
TI Molecular and functional properties of mitochondrial benzodiazepine.
AU Krueger K.E.
CS Department of Cell Biology, Georgetown University, School of
Medicine, Washington, DC 20007, United States
SO Biochimica et Biophysica Acta - Reviews on Biomembranes, (1995) 1241/3
(453-470).
ISSN: 0304-4157 CODEN: RVBMA3
CY Netherlands
DT Journal; General Review

FS 002 Physiology
008 Neurology and Neurosurgery
029 Clinical Biochemistry
032 Psychiatry
037 Drug Literature Index

LA English
CT Medical Descriptors:
*protein analysis
*receptor binding
amino acid sequence
cancer
cattle
cell differentiation
cell growth
central nervous system
histochemistry
human
mitochondrial membrane
nonhuman
priority journal
review
rodent
steroidogenesis
stress
structure activity relation
tissue specificity
Drug Descriptors:
*benzodiazepine derivative: PD, pharmacology
*benzodiazepine derivative: CM, drug comparison
*benzodiazepine derivative: AN, drug analysis
*benzodiazepine receptor: EC, endogenous compound
*isoquinoline derivative: AN, drug analysis
*isoquinoline derivative: CM, drug comparison
*isoquinoline derivative: PD, pharmacology
*receptor subtype: EC, endogenous compound
4 (4 fluorophenylsulfonyl)acetanilide: PD, pharmacology
4 (4 fluorophenylsulfonyl)acetanilide: CM, drug comparison
4' chlorodiazepam: PD, pharmacology
4' chlorodiazepam: CM, drug comparison
7 chloro 5 (4 chlorophenyl) 1,3 dihydro 1 (2 isothiocyanatoethyl) 2h 1,4
benzodiazepin 2 one: CM, drug comparison
7 chloro 5 (4 chlorophenyl) 1,3 dihydro 1 (2 isothiocyanatoethyl) 2h 1,4
benzodiazepin 2 one: PD, pharmacology
alpidem: CM, drug comparison
alpidem: PD, pharmacology
amide: AN, drug analysis
amide: CM, drug comparison
amide: PD, pharmacology
diazepam: CM, drug comparison
diazepam: PD, pharmacology
dipyridamole: PD, pharmacology
dipyridamole: CM, drug comparison
flunitrazepam: CM, drug comparison
flunitrazepam: PD, pharmacology
n sec butyl 1 (2 chlorophenyl) n methyl 3 isoquinolinecarboxamide: PD,
pharmacology
n sec butyl 1 (2 chlorophenyl) n methyl 3 isoquinolinecarboxamide: CM,
drug comparison
n sec butyl 1 (2 fluoro 5 nitrophenyl) n methyl 3 isoquinolinecarboxamide:
CM, drug comparison
n sec butyl 1 (2 fluoro 5 nitrophenyl) n methyl 3 isoquinolinecarboxamide:
PD, pharmacology
phthalic acid dibutyl ester: CM, drug comparison

phthalic acid dibutyl ester: PD, pharmacology

pk 14067: CM, drug comparison

pk 14067: AN, drug analysis

pk 14067: PD, pharmacology

pk 14068: CM, drug comparison

pk 14068: PD, pharmacology

pk 14068: AN, drug analysis

porphyrin: CM, drug comparison

porphyrin: PD, pharmacology

zolpidem: PD, pharmacology

zolpidem: CM, drug comparison

unclassified drug

RN (4 (4 fluorophenylsulfonyl)
acetanilide) 734-22-5; (4' chlorodiazepam) 14439-61-3;
(7 chloro 5 (4 chlorophenyl) 1,3 dihydro 1 (2 isothiocyanatoethyl) 2h 1,4
benzodiazepin 2 one) 103625-22-5; (alpidem) 82626-01-5; (amide)
17655-31-1; (diazepam) 439-14-5; (dipyridamole) 58-32-2; (flunitrazepam)
1622-62-4; (n sec butyl 1 (2 chlorophenyl) n methyl 3
isoquinolinecarboxamide) 85532-75-8; (n sec butyl 1 (2 fluoro 5
nitrophenyl) n methyl 3 isoquinolinecarboxamide) 107257-28-3; (phthalic
acid dibutyl ester) 84-74-2; (porphyrin) 24869-67-8; (zolpidem) 82626-48-0
CN Pk 14105; Pk 11195; Ro 05 4864; Ahn 086; Cl 259763; Pk 14067; Pk
14068

L22 ANSWER 35 OF 39 EMBASE COPYRIGHT 2002 ELSEVIER SCI. B.V.

AN 90316680 EMBASE

DN 1990316680

TI CL-259, 763 104932.

SO Drugs of the Future, (1990) 15/5 (525).

ISSN: 0377-8282 CODEN: DRFUD4

CY Spain

DT Journal; (Short Survey)

FS 016 Cancer

026 Immunology, Serology and Transplantation

030 Pharmacology

037 Drug Literature Index

LA English

TI CL-259, 763 104932.

CT Medical Descriptors:

*drug information

*immunostimulation

*spleen

animal model

biological model

mouse

animal experiment

animal cell

nonhuman

short survey

Drug Descriptors:

*4 (4 fluorophenylsulfonyl)acetanilide: PD, pharmacology

*4 (4 fluorophenylsulfonyl)acetanilide: IT, drug interaction

*4 (4 fluorophenylsulfonyl)acetanilide: CB, drug combination

*4 (4 fluorophenylsulfonyl)acetanilide: DO, drug dose

cyclophosphamide

fluorouracil

RN (4 (4 fluorophenylsulfonyl)

acetanilide) 734-22-5; (cyclophosphamide) 50-18-0;

(fluorouracil) 51-21-8

CN Cl 259763

L22 ANSWER 36 OF 39 EMBASE COPYRIGHT 2002 ELSEVIER SCI. B.V.

AN 89209778 EMBASE

DN 1989209778
TI The design and synthesis of immune regulatory agents: targets and approaches.
AU Devlin J.P.; Hargrave K.D.
CS Boehringer Ingelheim Pharmaceuticals, Inc., Ridgefield, CT 06877, United States
SO Tetrahedron, (1989) 45/14 (4327-4369).
ISSN: 0040-4020 CODEN: TETRAB
CY United Kingdom
DT Journal
FS 026 Immunology, Serology and Transplantation
037 Drug Literature Index
LA English
CT Medical Descriptors:
*drug research
*immune response
*leukocyte
*natural killer cell
structure activity relation
review
human
human cell
nonhuman
Drug Descriptors:
*(5h dibenzo[a,d]cyclohepten 5 ylidene)acetic acid: DV, drug development
*(5h dibenzo[a,d]cyclohepten 5 ylidene)acetic acid: PD, pharmacology
*1,4 bis[(2 aminoethyl)amino] 5,8 dihydroxyanthraquinone: DV, drug development
*1,4 bis[(2 aminoethyl)amino] 5,8 dihydroxyanthraquinone: PD, pharmacology
*3 (4 chlorophenyl) 2,3 dihydro 3 hydroxythiazolo[3,2 a]benzimidazole 2 acetic acid: PD, pharmacology
*3 (4 chlorophenyl) 2,3 dihydro 3 hydroxythiazolo[3,2 a]benzimidazole 2 acetic acid: DV, drug development
*4 (4 fluorophenylsulfonyl)acetanilide: DV, drug development
*4 (4 fluorophenylsulfonyl)acetanilide: PD, pharmacology
*5 amino 4' chloro 2 (4 methyl 1 piperidyl)benzophenone: DV, drug development
*5 amino 4' chloro 2 (4 methyl 1 piperidyl)benzophenone: PD, pharmacology
*antineoplastic agent: DV, drug development
*antineoplastic agent: PD, pharmacology
*azathioprine: PD, pharmacology
*azathioprine: DV, drug development
*azimexon: PD, pharmacology
*azimexon: DV, drug development
*bestatin: DV, drug development
*bestatin: PD, pharmacology
*bromocriptine: PD, pharmacology
*bromocriptine: DV, drug development
*bropirimine: DV, drug development
*bropirimine: PD, pharmacology
*ciamexon: PD, pharmacology
*ciamexon: DV, drug development
*corticosteroid: PD, pharmacology
*corticosteroid: DV, drug development
*cyclosporin a: PD, pharmacology
*cyclosporin a: DV, drug development
*didemnin b: DV, drug development
*didemnin b: PD, pharmacology
*diethyldithiocarbamic acid: DV, drug development
*diethyldithiocarbamic acid: PD, pharmacology
*ethylene 2,2' bis(dithio)bis(ethanol): DV, drug development
*ethylene 2,2' bis(dithio)bis(ethanol): PD, pharmacology
*forphenicinol: PD, pharmacology

*forphenicicol: DV, drug development
*gamma interferon: PD, pharmacology
*gamma interferon: DV, drug development
*gla 27: PD, pharmacology
*gla 27: DV, drug development
*interleukin 1: DV, drug development
*interleukin 1: PD, pharmacology
*interleukin 2: DV, drug development
*interleukin 2: PD, pharmacology
*gludapcin: PD, pharmacology
*gludapcin: DV, drug development
*lentinan: PD, pharmacology
*lentinan: DV, drug development
*levamisole: DV, drug development
*levamisole: PD, pharmacology
*lipid a: PD, pharmacology
*lipid a: DV, drug development
*lobenzarit: DV, drug development
*lobenzarit: PD, pharmacology
*mitoxantrone: PD, pharmacology
*mitoxantrone: DV, drug development
*murabutide: DV, drug development
*murabutide: PD, pharmacology
*muramyl dipeptide: PD, pharmacology
*muramyl dipeptide: DV, drug development
*naloxone: PD, pharmacology
*naloxone: DV, drug development
*nuclomedone: DV, drug development
*nuclomedone: PD, pharmacology
*oxamisole: PD, pharmacology
*oxamisole: DV, drug development
*penicillamine: DV, drug development
*penicillamine: PD, pharmacology
*prostaglandin derivative: DV, drug development
*prostaglandin derivative: PD, pharmacology
*retinoid: PD, pharmacology
*retinoid: DV, drug development
*roquinimex: PD, pharmacology
*roquinimex: DV, drug development
*thymopoietin: DV, drug development
*thymopoietin: PD, pharmacology
*tiabendazole: PD, pharmacology
*tiabendazole: DV, drug development
*tilomisol: PD, pharmacology
*tilomisol: DV, drug development
*tilorone: DV, drug development
*tilorone: PD, pharmacology
*tilorone derivative: DV, drug development
*tilorone derivative: PD, pharmacology
*bucillamine: DV, drug development
*bucillamine: PD, pharmacology
ammonium trichloro(dioxyethylene o,o')tellurate: DV, drug development
ammonium trichloro(dioxyethylene o,o')tellurate: PD, pharmacology
azauridine
cyclophosphamide
ifosfamide
mercaptopurine
methisoprinol
methotrexate
muramyl dipeptide derivative
tsukubaenolide: DV, drug development
tsukubaenolide: PD, pharmacology
zidovudine

unclassified drug

RN ((5h dibenzo[a,d]cyclohepten 5 ylidene)acetic acid) 4517-99-1; (3 (4 chlorophenyl) 2,3 dihydro 3 hydroxythiazolo[3,2 a]benzimidazole 2 acetic acid) 39225-26-8; (4 (4 fluorophenylsulfonyl)acetanilide) 734-22-5; (5 amino 4' chloro 2 (4 methyl 1 piperidyl)benzophenone) 86187-86-2; (azathioprine) 446-86-6; (azimexon) 64118-86-1; (bestatin) 58970-76-6; (bromocriptine) 25614-03-3; (bropirimine) 56741-95-8; (ciamexon) 75985-31-8; (cyclosporin a) 59865-13-3, 63798-73-2; (didemnin b) 77327-05-0; (diethyldithiocarbamic acid) 147-84-2, 148-18-5, 3699-30-7, 392-74-5; (forphenicinol) 71522-58-2; (gamma interferon) 82115-62-6; (gla 27) 89756-57-0; (interleukin 2) 85898-30-2; (gludapcin) 76490-22-7; (lentinan) 37339-90-5; (levamisole) 14769-73-4, 16595-80-5; (lipid a) 95991-05-2; (lobenzarit) 63329-53-3; (mitoxantrone) 65271-80-9, 70476-82-3; (murabutide) 74817-61-1; (naloxone) 357-08-4, 465-65-6; (nuclomedone) 75963-52-9; (oxamisole) 99258-55-6; (penicillamine) 2219-30-9, 52-67-5; (roquinimex) 84088-42-6; (thymopoietin) 109489-22-7, 60529-76-2; (tiabendazole) 148-79-8; (tilomisole) 58433-11-7; (tilorone) 27591-69-1, 27591-97-5; (bucillamine) 65002-17-7; (ammonium trichloro(dioxyethylene o,o')tellurate) 106566-58-9; (azauridine) 54-25-1; (cyclophosphamide) 50-18-0; (ifosfamide) 3778-73-2; (mercaptapurine) 31441-78-8, 50-44-2, 6112-76-1; (methisoprinol) 36703-88-5; (methotrexate) 15475-56-6, 59-05-2, 7413-34-5; (tsukubaenolide) 104987-11-3; (zidovudine) 30516-87-1

CN Fk 506; Wy 13876; **Cl 259763**; As 101; Fk 156; Gla 27; Sa 96; Wy 18251; Tei 3096; Pr 879317; Lf 1695; Wy 41770; Cl 232468; Cl 232315; Ada 202718

L22 ANSWER 37 OF 39 EMBASE COPYRIGHT 2002 ELSEVIER SCI. B.V.

AN 88193367 EMBASE

DN 1988193367

TI Low molecular weight immunopotentiators.

AU Ruszala-Mallon V.; Lin Y.; Durr F.E.; Wang B.S.

CS Laboratory of Tumor Immunology, Chemotherapy Research Department, Medical Research Division, American Cyanamid Company, Lederle Laboratories, Pearl River, NY 10965, United States

SO International Journal of Immunopharmacology, (1988) 10/5 (497-510).

ISSN: 0192-0561 CODEN: IJIMDS

CY United Kingdom

DT Journal

FS 004 Microbiology

016 Cancer

026 Immunology, Serology and Transplantation

030 Pharmacology

037 Drug Literature Index

LA English

SL English

AB It has long been recognized that modulation of the immune system by various agents may have potential for the management of certain infectious and neoplastic diseases. Both natural products as well as chemically synthesized compounds have been investigated for immunotherapeutic potential. Over the years, conflicting reports on the clinical efficacy of these agents have left the early promise of immunotherapy unfulfilled. However, the manipulation of the immune system to generate a desired effect is becoming feasible as the mechanisms which regulate the immune network are better understood. Much of the early work on immunotherapy concentrated on the development of immunopotentiators, agents which enhance the host's own immune system against cancer cells or infectious pathogens. Furthermore, with the development of subunit and/or synthetic vaccines, which are often weakly immunogenic, the importance of developing agents capable of acting as adjuvants became apparent. As a result, the utility of immunopotentiators has now extended to the area of vaccines. There are a number of reviews available on immunomodulators [see Fenichel, R.L. and Chirigos, M.A. (eds) (1984), Immune Modulation Agents and Their

Mechanisms, Marcel Dekker, New York]. The purpose of this article is to provide an update on low molecular weight agents capable of potentiating the immunological network. Attention will be given to those agents which have undergone significant clinical development in the areas of cancer, infectious diseases and vaccination over the past several years. These agents will be categorized as to whether they are naturally occurring or chemically synthesized.

CT Medical Descriptors:

*cancer
*immunomodulation
*immunopotential
*infection

mouse

priority journal

review

human

nonhuman

Drug Descriptors:

*3,6 bis(2 piperidinoethoxy)acridine: PD, pharmacology

***4 (4 fluorophenylsulfonyl)acetanilide: PD, pharmacology**

*amiprilose: PD, pharmacology

*azimexon: PD, pharmacology

*bestatin: PD, pharmacology

*diethyldithiocarbamic acid: PD, pharmacology

*ethylene 2,2' bis(dithio)bis(ethanol): PD, pharmacology

*forphenicidinol: PD, pharmacology

*levamisole: PD, pharmacology

*methisoprinol: PD, pharmacology

*muramyl dipeptide: PD, pharmacology

*pimelaute: PD, pharmacology

*thymopentin: PD, pharmacology

*tuftsin: PD, pharmacology

9 (2 hydroxy 3 nonyl)hypoxanthine: PD, pharmacology

broprimine: PD, pharmacology

RN (3,6 bis(2 piperidinoethoxy)acridine) 81541-26-6; (4 (4
fluorophenylsulfonyl)acetanilide) 734-22-5;

(amiprilose) 56824-20-5; (azimexon) 64118-86-1; (bestatin) 58970-76-6;

(diethyldithiocarbamic acid) 147-84-2, 148-18-5, 3699-30-7, 392-74-5;

(forphenicidinol) 71522-58-2; (levamisole) 14769-73-4, 16595-80-5;

(methisoprinol) 36703-88-5; (pimelaute) 78512-63-7; (thymopentin)

69558-55-0; (tuftsin) 9063-57-4; (9 (2 hydroxy 3 nonyl)hypoxanthine)

76600-30-1; (broprimine) 56741-95-8

CN Npt 15392; Ada 202718; Cl 246738; **Cl 259763**; Pimelaute; U
54461

L22 ANSWER 38 OF 39 EMBASE COPYRIGHT 2002 ELSEVIER SCI. B.V.

AN 85131412 EMBASE

DN 1985131412

TI Effect of N-[4-[4-(fluorophenyl)
sulfonyl]phenyl] acetamide (Cl

259,763) on interleukin levels in tumor bearing mice.

AU Ruzsala-Mallon V.; Wang B.S.; Lin Y.-I.; Durr F.E.

CS Medical Research Division, American Cyanamid Company, Lederle
Laboratories, Pearl River, NY 10965, United States

SO Federation Proceedings, (1985) 44/5 (No. 7460).

CODEN: FEPR7

CY United States

DT Journal

FS 016 Cancer

LA English

CT Medical Descriptors:

*tumor
immunostimulation

mouse
 plasmacytoma
 abstract report
 nonhuman
 therapy
 animal experiment
 Drug Descriptors:
 *4 (4 fluorophenylsulfonyl)acetanilide
 *interleukin 1
 *interleukin 2

RN (4 (4 fluorophenylsulfonyl)
 acetanilide) 734-22-5; (interleukin 2) 85898-30-2

L22 ANSWER 39 OF 39 EMBASE COPYRIGHT 2002 ELSEVIER SCI. B.V.

AN 85151537 EMBASE

DN 1985151537

TI Regulation of the production of immunologically active factors with
 N-[4-[4-(fluorophenyl)
 sulfonyl]phenyl] acetamide (CL
 259,763).

AU Wang B.S.; Ruszala-Mallon V.; Wallace R.E.; et al.

CS Lederle Laboratories, Pearl River, NY 10965, United States

SO Proceedings of the American Association for Cancer Research, (1985) VOL.
 26/- (No. 1059).

CODEN: PAACA3

CY United States

DT Journal

FS 026 Immunology, Serology and Transplantation

LA English

CT Medical Descriptors:

*immunomodulation

*macrophage

animal experiment

nonhuman

mouse

Drug Descriptors:

*4 (4 fluorophenylsulfonyl)acetanilide

colony stimulating factor

interleukin 2

RN (4 (4 fluorophenylsulfonyl)
 acetanilide) 734-22-5; (colony stimulating factor)
 62683-29-8; (interleukin 2) 85898-30-2

=> fil wpix

FILE 'WPIX' ENTERED AT 07:31:01 ON 05 SEP 2002

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FILE LAST UPDATED: 03 SEP 2002 <20020903/UP>

MOST RECENT DERWENT UPDATE 200256 <200256/DW>

DERWENT WORLD PATENTS INDEX SUBSCRIBER FILE, COVERS 1963 TO DATE

>>> SLART (Simultaneous Left and Right Truncation) is now
 available in the /ABEX field. An additional search field
 /BIX is also provided which comprises both /BI and /ABEX <<<

>>> The BATCH option for structure searches has been
 enabled in WPINDEX/WPIDS and WPIX <<<

>>> PATENT IMAGES AVAILABLE FOR PRINT AND DISPLAY <<<

>>> FOR DETAILS OF THE PATENTS COVERED IN CURRENT UPDATES,
 SEE <http://www.derwent.com/dwpi/updates/dwpicov/index.html> <<<

>>> FOR A COPY OF THE DERWENT WORLD PATENTS INDEX STN USER GUIDE,
PLEASE VISIT:
http://www.stn-international.de/training_center/patents/stn_guide.pdf <<<

>>> FOR INFORMATION ON ALL DERWENT WORLD PATENTS INDEX USER
GUIDES, PLEASE VISIT:
http://www.derwent.com/userguides/dwpi_guide.html <<<

=> d all tot 125 abs tech abex

L25 ANSWER 1 OF 3 WPIX (C) 2002 THOMSON DERWENT
AN 2002-303911 [34] WPIX
DNC C2002-088336
TI Use of pharmaceutical composition comprises aldehyde 5-oxo-1,2,4-triazine
hydrazide compound for treatment of cancer e.g. prostate cancer.
DC B03
IN CAMDEN, J B; DABEK, R A
PA (PROC) PROCTER & GAMBLE CO
CYC 95
PI WO 2002009716 A2 20020207 (200234)* EN 33p A61K031-53
RW: AT BE CH CY DE DK EA ES FI FR GB GH GM GR IE IT KE LS LU MC MW MZ
NL OA PT SD SE SL SZ TR TZ UG ZW
W: AE AG AL AM AT AU AZ BA BB BG BR BY BZ CA CH CN CO CR CU CZ DE DK
DM DZ EC EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP KR
KZ LC LK LR LS LT LU LV MA MD MG MK MN MW MX MZ NO NZ PL PT RO RU
SD SE SG SI SK SL TJ TM TR TT TZ UA UG UZ VN YU ZA ZW
AU 2001082972 A 20020213 (200238) A61K031-53
ADT WO 2002009716 A2 WO 2001-US23427 20010725; AU 2001082972 A AU 2001-82972
20010725
FDT AU 2001082972 A Based on WO 200209716
PRAI US 2000-627611 20000728
IC ICM A61K031-53
ICS A61K009-127; A61K045-06; A61P035-00; A61P035-02
AB WO 200209716 A UPAB: 20020528
NOVELTY - A pharmaceutical composition comprises an aldehyde
5-oxo-1,2,4-triazine hydrazide compound or its salt, prodrug and
metabolite.
DETAILED DESCRIPTION - A pharmaceutical composition comprises an
aldehyde 5-oxo-1,2,4-triazine hydrazide compound of formula (I) or (II) or
its salt, prodrug and metabolite.
R and R1 = H or 1-7C alkyl (preferably H or 1-4C alkyl);
R3 = 1-7C alkyl, 1-7C cycloalkyl or 1-12C alkyl substituted by halo,
OH, amino, sulfhydryl, 1-10C alkoxy or a group of formula (Ia) (preferably
a group of formula (Ia));
X = H, alkyl (having less than 7 carbon atoms), halo, amino, OH or
sulfhydryl;
n = 0-4.
An INDEPENDENT CLAIM is also included for a liposome composition
comprising aldehyde 5-oxo-1,2,4-triazine hydrazide compound of formula
(III) or its salt, prodrug and metabolite. The liposome is a unilamellar
or multilamellar vesicle formed from a phospholipid cholesterol,
stearylamine or phosphatidyl choline.
ACTIVITY - Cytostatic.
MECHANISM OF ACTION - Cancer cell growth inhibitor.
An MTT assay was performed to test growth inhibition of benzaldehyde,
2-hydroxy-, (4-hydro-5-oxo-1,2,4-triazin-3-yl)hydrazide (A) against B16
Murine Melanoma (a) and HT-29 colon cancer (b). The IC50 value of (A)
against (a) and (b) was 0.007 and 0.264 micro M respectively.
USE - In the treatment of cancer e.g. prostate cancer, breast cancer,
leukemia, pancreatic cancer, lung cancer, colon cancer, sarcoma and
lymphoma (all claimed).
ADVANTAGE - The composition shows no undue adverse side effects such

as toxicity, irritation and allergic response.

Dwg.0/0

FS CPI

FA AB; GI; DCN

MC CPI: B04-C02E1; B06-D01; B06-D05; B06-D09; B07-A02; B07-D03; B07-D04C;
B07-D09; B07-D13; B10-B02F; B10-C04E; B14-H01

AN 2002-303911 [34] WPIX

AB WO 200209716 A UPAB: 20020528

NOVELTY - A pharmaceutical composition comprises an aldehyde 5-oxo-1,2,4-triazine hydrazide compound or its salt, prodrug and metabolite.

DETAILED DESCRIPTION - A pharmaceutical composition comprises an aldehyde 5-oxo-1,2,4-triazine hydrazide compound of formula (I) or (II) or its salt, prodrug and metabolite.

R and R1 = H or 1-7C alkyl (preferably H or 1-4C alkyl);

R3 = 1-7C alkyl, 1-7C cycloalkyl or 1-12C alkyl substituted by halo, OH, amino, sulfhydryl, 1-10C alkoxy or a group of formula (Ia) (preferably a group of formula (Ia));

X = H, alkyl (having less than 7 carbon atoms), halo, amino, OH or sulfhydryl;

n = 0-4.

An INDEPENDENT CLAIM is also included for a liposome composition comprising aldehyde 5-oxo-1,2,4-triazine hydrazide compound of formula (III) or its salt, prodrug and metabolite. The liposome is a unilamellar or multilamellar vesicle formed from a phospholipid cholesterol, stearylamine or phosphatidyl choline.

ACTIVITY - Cytostatic.

MECHANISM OF ACTION - Cancer cell growth inhibitor.

An MTT assay was performed to test growth inhibition of benzaldehyde, 2-hydroxy-, (4-hydro-5-oxo-1,2,4-triazin-3-yl)hydrazide (A) against B16 Murine Melanoma (a) and HT-29 colon cancer (b). The IC50 value of (A) against (a) and (b) was 0.007 and 0.264 micro M respectively.

USE - In the treatment of cancer e.g. prostate cancer, breast cancer, leukemia, pancreatic cancer, lung cancer, colon cancer, sarcoma and lymphoma (all claimed).

ADVANTAGE - The composition shows no undue adverse side effects such as toxicity, irritation and allergic response.

Dwg.0/0

TECH

UPTX: 20020528

TECHNOLOGY FOCUS - ORGANIC CHEMISTRY - Preferred Composition: (I) comprises a potentiator and further comprises a carrier and a chemotherapeutic agent. The potentiator is procodazole, triprolidine, propionic acid, monensin, bromodeoxyuridine, dipyridamole, indomethacin, metoclopramide, 7-thia-8-oxoguanosine, N-solanesyl-N,N'-bis(3,4-dimethoxybenzyl)ethylenediamine, N-(4-((4-fluorophenyl)sulfonyl)phenyl)acetamide, leucovorin, heparin, heparin sulfate, cimetidine, muramyl dipeptide, vitamin A, 2'-deoxycoformycin, a bis diketopiperazine derivative, dimethyl sulfoxide, an anti-sense inhibitor of the RAD51 gene, a monoclonal antibody, an anti-transferrin receptor immunotoxin, a radiosensitizer, a chemosensitizer, or a hypoxic cell cytotoxic agent. The chemotherapeutic agent is DNA-interactive agent, alkylating agent, antimetabolite, tubulin-interactive agent, hormonal agent, asparaginase or hydroxyurea.

(II) further comprises a carrier and a chemotherapeutic agent and comprises a potentiator.

ABEX

SPECIFIC COMPOUNDS - Benzaldehyde, 2-hydroxy-, (4-hydro-5-oxo-1,2,4-triazin-3-yl)hydrazide and benzaldehyde, 2-hydroxy-, (4-hydro-5-oxo-6-methyl-1,2,4-triazine-3-yl)hydrazide are specifically claimed as (I).

ADMINISTRATION - The composition is administered parenterally (including intravenously, intraperitoneally, subcutaneously or intramuscularly) or

orally. For humans, the dosage is 1 - 10000 (preferably 5 - 2500, especially 25 - 1000) mg/kg. For treating cancers, the dosage is 2 - 400 mg/kg. For intravenous administration, the dosage is 1 - 1000 mg/kg/minute.

EXAMPLE - No relevant example is given.

L25 ANSWER 2 OF 3 WPIX (C) 2002 THOMSON DERWENT
 AN 2002-049256 [06] WPIX
 DNC C2002-013816
 TI Composition useful for treating cancer comprises imidazole-1,2-diamines.
 DC B03
 IN CAMDEN, J B
 PA (CAMD-I) CAMDEN J B; (PROC) PROCTER & GAMBLE CO
 CYC 95
 PI WO 2001081315 A2 20011101 (200206)* EN 28p C07D231-00
 RW: AT BE CH CY DE DK EA ES FI FR GB GH GM GR IE IT KE LS LU MC MW MZ
 NL OA PT SD SE SL SZ TR TZ UG ZW
 W: AE AG AL AM AT AU AZ BA BB BG BR BY BZ CA CH CN CO CR CU CZ DE DK
 DM DZ EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP KR KZ
 LC LK LR LS LT LU LV MA MD MG MK MN MW MX MZ NO NZ PL PT RO RU SD
 SE SG SI SK SL TJ TM TR TT TZ UA UG UZ VN YU ZA ZW
 US 2001044457 A1 20011122 (200206) A61K038-16
 AU 2001057168 A 20011107 (200219) C07D231-00
 ADT WO 2001081315 A2 WO 2001-US13060 20010423; US 2001044457 A1 Div ex US
 2000-558450 20000425, US 2001-758803 20010111; AU 2001057168 A AU
 2001-57168 20010423
 FDT AU 2001057168 A Based on WO 200181315
 PRAI US 2000-558450 20000425; US 2001-758803 20010111
 IC ICM A61K038-16; C07D231-00
 ICS A61K031-415; A61K031-44; A61K031-505; A61K031-70
 AB WO 200181315 A UPAB: 20020128
 NOVELTY - Composition comprises an imidazole-1,2-diamine (I).
 DETAILED DESCRIPTION - Composition comprises an imidazole-1,2-diamine
 of formula (I).
 X, Y = H, halo, nitro, (m)ethyl, oxychloro or 1-6C alkoxy;
 R1, R2 = H or 1-6C alkyl;
 n = 0-4; and
 R = 1-6C alkyl.
 ACTIVITY - Cytostatic.
 2-Amino-1-(4 methoxybenzyliden)amino-4-phenylimidazole (Ia) and
 polyethylene glycol (control) were given to MiaPaCa mice with pancreatic
 tumors. Doses of 0 (control), 500, 750 and 1000 mg/kg were given once
 weekly by injection. After 19 days the tumor weights were control (826 g),
 500 mg/kg (640 g), 750 mg/kg (364 g) and 1000 mg/kg (110 g).
 MECHANISM OF ACTION - None given in the source material.
 USE - Useful for treating cancers including cancers of the prostate,
 pancreas, cervix, ovary, stomach, breast, lung and colon, also useful for
 treating lymphomas, leukemias, melanomas, neuroblastoma and sarcomas (all
 claimed).
 Dwg.0/0
 FS CPI
 FA AB; GI; DCN
 MC CPI: B03-A; B04-B03A; B04-B03C; B04-E01; B04-G21; B04-N02; B06-D01;
 B06-D05; B06-D09; B07-D09; B07-H; B10-A07; B10-A10; B10-B01A;
 B10-C04E; B14-H01
 AN 2002-049256 [06] WPIX
 AB WO 200181315 A UPAB: 20020128
 NOVELTY - Composition comprises an imidazole-1,2-diamine (I).
 DETAILED DESCRIPTION - Composition comprises an imidazole-1,2-diamine
 of formula (I).
 X, Y = H, halo, nitro, (m)ethyl, oxychloro or 1-6C alkoxy;
 R1, R2 = H or 1-6C alkyl;

n = 0-4; and
R = 1-6C alkyl.

ACTIVITY - Cytostatic.

2-Amino-1-(4 methoxybenzyliden)amino-4-phenylimidazole (Ia) and polyethylene glycol (control) were given to MiaPaCa mice with pancreatic tumors. Doses of 0 (control), 500, 750 and 1000 mg/kg were given once weekly by injection. After 19 days the tumor weights were control (826 g), 500 mg/kg (640 g), 750 mg/kg (364 g) and 1000 mg/kg (110 g).

MECHANISM OF ACTION - None given in the source material.

USE - Useful for treating cancers including cancers of the prostate, pancreas, cervix, ovary, stomach, breast, lung and colon, also useful for treating lymphomas, leukemias, melanomas, neuroblastoma and sarcomas (all claimed).

Dwg.0/0

TECH

UPTX: 20020128

TECHNOLOGY FOCUS - PHARMACEUTICALS - Preferred Composition: Composition comprises 2-amino-1-(4 methoxybenzyliden)amino-4-phenylimidazole with optional carriers, potentiators and chemotherapeutic agents. The composition is optionally a uni/multilamellar liposome. Chemotherapeutic agents are selected from DNA-interactive agents, alkylating agents, antimetabolites, tubulin-interactive agents and hormonal agents. Potentiators are selected from procodazole, triprolidine, propionic acid, monensin, anti-sense RAD51 gene inhibitors, bromodeoxyuridine, dipyridamole, indomethacin, monoclonal antibodies, anti-transferrin receptor immunotoxins, metoclopramide, 7-thia-8-oxoguanosine, N-solaneyl-N,N'-bis(3,4-dimethoxybenzyl)ethylenediamine, N-(4-((4-fluorophenyl)sulfonyl)phenyl)acetamide, leucovorin, heparin (sulfate), cimetidine, radiosensitizers, chemosensitizers, hypoxic cell cytotoxic agents, muramyl dipeptide, vitamin A, 2'-deoxycoformycin, bis-diketopiperazines and dimethyl sulfoxide.

ABEX

SPECIFIC COMPOUNDS - The use of 1 compound (I) is specifically claimed e.g. 2-amino-1-(4 methoxybenzyliden)amino-4-phenylimidazole (Ia).

ADMINISTRATION - Given orally, rectally, topically or preferably intravenously. The dose is 25-10000 (preferably 40-2500) mg/kg/day.

DEFINITIONS - Preferred Definition:

R = methyl;
n = 0; and
R1, R2 = H.

L25 ANSWER 3 OF 3 WPIX (C) 2002 THOMSON DERWENT

AN 2001-615492 [71] WPIX

DNC C2001-184253

TI Pharmaceutical/liposome composition useful for treating cancer comprises arylaldehyde 5-oxo-1,2,4-triazine hydrazide derivative.

DC B02 B03

IN CAMDEN, J B

PA (PROC) PROCTER & GAMBLE CO

CYC 96

PI US 6290929 B1 20010918 (200171)* 11p A61K031-53

WO 2002009715 A2 20020207 (200213) EN A61K031-53

RW: AT BE CH CY DE DK EA ES FI FR GB GH GM GR IE IT KE LS LU MC MW MZ
NL OA PT SD SE SL SZ TR TZ UG ZW

W: AE AG AL AM AT AU AZ BA BB BG BR BY BZ CA CH CN CO CR CU CZ DE DK
DM DZ EC EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP KR
KZ LC LK LR LS LT LU LV MA MD MG MK MN MW MX MZ NO NZ PL PT RO RU
SD SE SG SI SK SL TJ TM TR TT TZ UA UG UZ VN YU ZA ZW

AU 2001080781 A 20020213 (200238) A61K031-53

ADT US 6290929 B1 US 2000-627610 20000728; WO 2002009715 A2 WO 2001-US23426
20010725; AU 2001080781 A AU 2001-80781 20010725

FDT AU 2001080781 A Based on WO 200209715

PRAI US 2000-627610 20000728

IC ICM A61K031-53

ICS A61K045-06; A61P035-00; A61P035-02; C07D253-075

AB US 6290929 B UPAB: 20011203

NOVELTY - A pharmaceutical or a liposome composition comprises arylaldehyde 5-oxo-1,2,4-triazine hydrazide derivative.

DETAILED DESCRIPTION - A pharmaceutical or a liposome composition comprises a compound of formula (I) or its salt (preferably HCl), prodrug and/or metabolite.

R, R1 = H or 1-7C alkyl.

ACTIVITY - Cytostatic.

MECHANISM OF ACTION - Cell growth inhibitor.

Benzaldehyde 2-hydroxy-(4-hydro-5-oxo-1,2,4-triazin-3-yl) hydrazide was tested for growth inhibition in a MTT assay against B16 murine melanoma and HT29 colon cancer and the IC50 (micro M) was found to be 0.007 and 0.264.

USE - For treating cancer e.g. prostate, breast, pancreatic, lung and colon cancer, leukemia, sarcoma, lymphoma, melanoma or carcinoma (claimed).

ADVANTAGE - (I) has specificity for cancer and tumor cells while not affecting normal cells.

Dwg.0/0

FS CPI

FA AB; GI; DCN

MC CPI: B02-Z; B03-A; B04-B03A; B04-C02E1; B04-G21; B04-L05C; B05-A03B; B05-B01J; B05-C01; B05-C07; B06-H; B07-H; B10-A10; B10-A13D; B10-B01A; B10-C04E; B14-H01B

AN 2001-615492 [71] WPIX

AB US 6290929 B UPAB: 20011203

NOVELTY - A pharmaceutical or a liposome composition comprises arylaldehyde 5-oxo-1,2,4-triazine hydrazide derivative.

DETAILED DESCRIPTION - A pharmaceutical or a liposome composition comprises a compound of formula (I) or its salt (preferably HCl), prodrug and/or metabolite.

R, R1 = H or 1-7C alkyl.

ACTIVITY - Cytostatic.

MECHANISM OF ACTION - Cell growth inhibitor.

Benzaldehyde 2-hydroxy-(4-hydro-5-oxo-1,2,4-triazin-3-yl) hydrazide was tested for growth inhibition in a MTT assay against B16 murine melanoma and HT29 colon cancer and the IC50 (micro M) was found to be 0.007 and 0.264.

USE - For treating cancer e.g. prostate, breast, pancreatic, lung and colon cancer, leukemia, sarcoma, lymphoma, melanoma or carcinoma (claimed).

ADVANTAGE - (I) has specificity for cancer and tumor cells while not affecting normal cells.

Dwg.0/0

TECH UPTX: 20011203

TECHNOLOGY FOCUS - PHARMACEUTICALS - Preferred Composition: The pharmaceutical composition further comprises a carrier, a potentiator or a chemotherapeutic agent. The liposome composition is formed from a phospholipid (preferably phosphatidyl choline), cholesterol or stearylamine.

TECHNOLOGY FOCUS - ORGANIC CHEMISTRY - Preferred Components: The potentiator is selected from procodazole, triprolidine, propionic acid, monensin, an anti-sense inhibitor of the RAD51 gene, bromodeoxyuridine, dipyrindamole, indomethacin, a monoclonal antibody, an anti-transferrin receptor immunotoxin, metoclopramide, 7-thia-8-oxoguanosine, N-solanosyl-N,N'-bis(3,4-dimethoxybenzyl)ethylenediamine, N-(4((4-fluorophenyl)sulfonyl)phenyl)acetamide, leucovorin, heparin, heparin sulfate, cimetidine, a radiosensitizer, a chemosensitizer, a hypoxic cell,

cytotoxic agent, muramyl dipeptide, vitamin A, 2'-deoxycoformycin, a bis-diketopiperazine derivative having potentiator activity or dimethyl sulfoxide. The chemotherapeutic agent is selected from a DNA-interactive agent, alkylating agent, antimetabolite, tubulin-interactive agent, a hormonal agent, asparaginase, hydroxyurea, cisplatin, cyclophosphamide, altretamine, bleomycin, dactinomycin, doxorubicin, etoposide, teniposide, paclitaxel, cytoxan, 2-methoxycarbonylaminobenzimidazole, plicamycin, methotrexate, fluorouracil, fluorodeoxyuridin, CB3717, azacitidine, floxuridine, mercaptopurine, 6-thioguanine, pentostatin, cytarabine or fludarabine. Preferred Liposome: The liposome is selected from unilamellar or multilamellar vesicles.

ABEX

ADMINISTRATION - The composition can be administered by injection, orally, rectally, topically, intravenously or parenterally including intraperitoneally, subcutaneously or intramuscularly. The composition can be administered in an injectable form in a dosage of 1 - 10000 (preferably 5 - 2500, more preferably 25 - 1000, especially 2 - 400) mg/kg of body weight. Intravenously, the preferred dosage is 1 - 1000 mg/kg/minute during a constant range infusion. (I) may be administered in a single daily dose or total daily dosage may be administered in divided doses of 2, 3 or 4 times daily (preferably at least one dose on a daily basis or from 1 - 3 times a week).

EXAMPLE - None given.

DEFINITIONS - Preferred Definitions:

R = H or CH₃; and

R₁ = H.

=> fil reg

FILE 'REGISTRY' ENTERED AT 11:09:04 ON 05 SEP 2002

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

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STRUCTURE FILE UPDATES: 4 SEP 2002 HIGHEST RN 446821-48-3

DICTIONARY FILE UPDATES: 4 SEP 2002 HIGHEST RN 446821-48-3

TSCA INFORMATION NOW CURRENT THROUGH MAY 20, 2002

Please note that search-term pricing does apply when
conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Calculated physical property data is now available. See HELP PROPERTIES
for more information. See STNote 27, Searching Properties in the CAS
Registry File, for complete details:

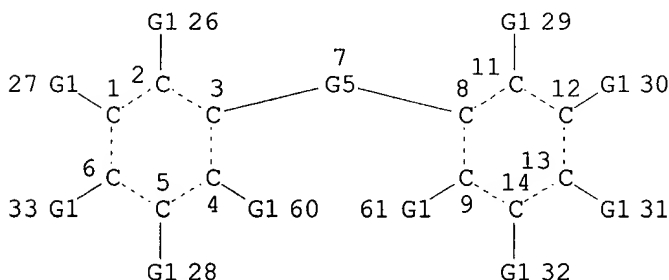
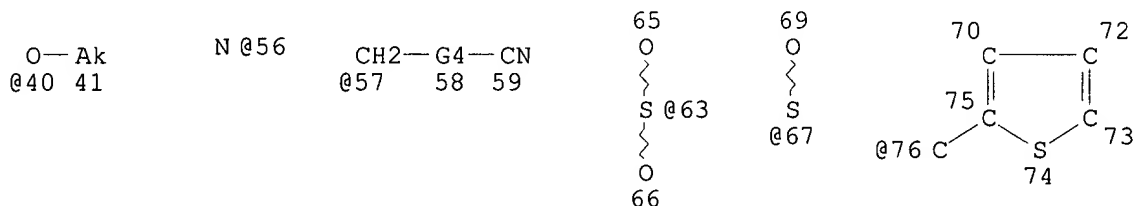
<http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf>

=> d sta que l14

L1 SCR 2043 OR 2039 OR 2050 OR 2049 OR 2048 OR 2053 OR 2052 O

R 2051 OR 2054 OR 2040

L8 STR



VAR G1=H/X/NO2/40/57/56/76

REP G4=(1-4) CH2

VAR G5=S/67/63

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CONNECT IS M1 RC AT 56

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DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RSPEC 8 3 72

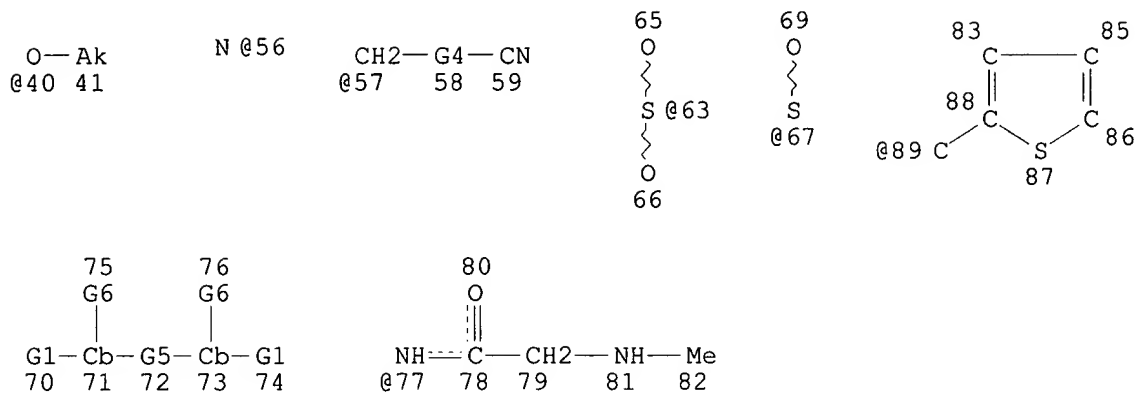
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STEREO ATTRIBUTES: NONE

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L11 STR

Jan Delaval
 Reference Librarian
 Biotechnology & Chemical Library
 CM1 1E07 - 703-308-4498
 jan.delaval@uspto.gov



VAR G1=H/X/56/57/89

REP G4=(1-4) CH2

VAR G5=S/67/63

VAR G6=H/X/NO2/40/77

NODE ATTRIBUTES:

CONNECT IS M1 RC AT 56

DEFAULT MLEVEL IS ATOM

GGCAT IS MCY UNS AT 71

GGCAT IS MCY UNS AT 73

DEFAULT ECLEVEL IS LIMITED

ECOUNT IS E6 C AT 71

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GRAPH ATTRIBUTES:

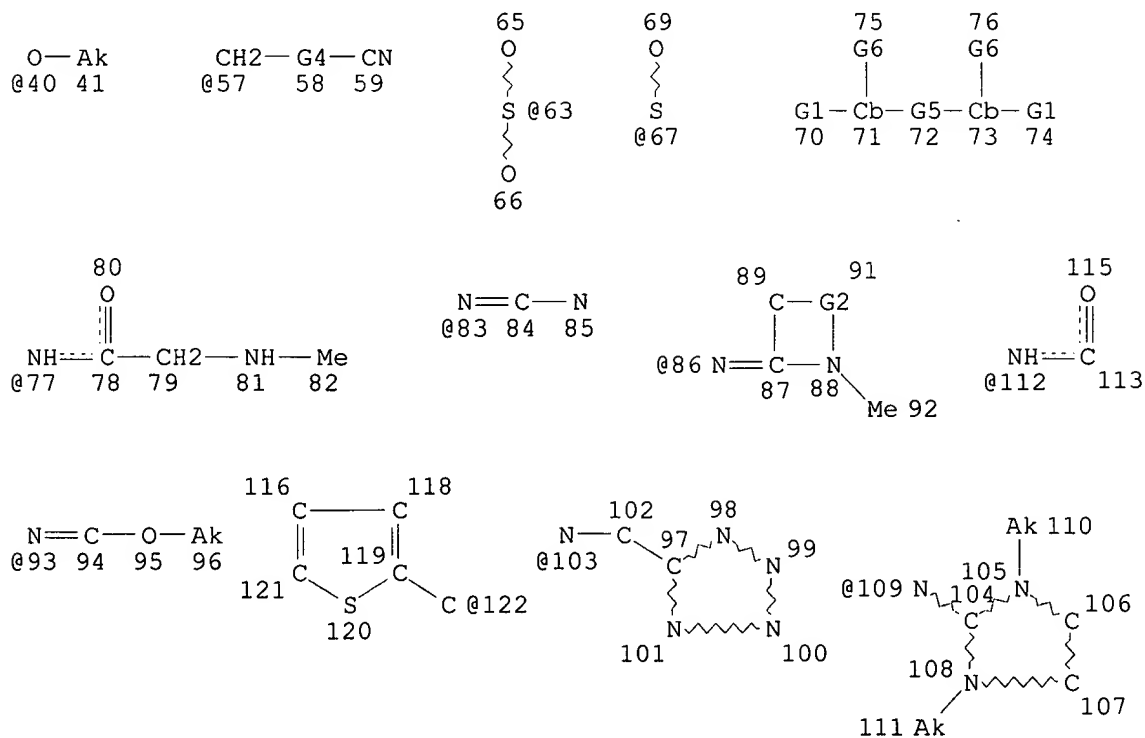
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NUMBER OF NODES IS 30

STEREO ATTRIBUTES: NONE

L12 8450 SEA FILE=REGISTRY SUB=L10 CSS FUL L11

L13 STR



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REP G2=(1-2) CH2
REP G4=(1-4) CH2
VAR G5=S/67/63
VAR G6=H/X/NO2/40/77

NODE ATTRIBUTES:

NSPEC IS RC AT 85
CONNECT IS M1 RC AT 84
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DEFAULT MLEVEL IS ATOM
GGCAT IS MCY UNS AT 71
GGCAT IS MCY UNS AT 73
DEFAULT ECLEVEL IS LIMITED
ECOUNT IS E6 C AT 71
ECOUNT IS E6 C AT 73

GRAPH ATTRIBUTES:

RSPEC 89 97 104 118
NUMBER OF NODES IS 60

STEREO ATTRIBUTES: NONE

L14 3055 SEA FILE=REGISTRY SUB=L12 CSS FUL L13

100.0% PROCESSED 8450 ITERATIONS
SEARCH TIME: 00.00.01

3055 ANSWERS

=> d his l14-

(FILE 'REGISTRY' ENTERED AT 10:25:06 ON 05 SEP 2002)

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L16 66 S L15 NOT ACID
L17 34 S L16 AND (C26H38N4O5S2 OR C17H19N3O3S OR C19H24N2O4S OR C15H15N
L18 35 S L16 AND (C18H21N3O5S OR C18H22N4O2S OR C20H25N3O2S OR C16H17N
L19 27 S L16 AND (C18H21N3O3S OR C14H13CLN2O3S OR C18H22N4O2S OR C16H1
L20 51 S L17-L19
L21 23 S L20 AND DI
L22 12 S L21 AND (C17H19N3O3S OR C18H22N2O5S OR C16H17N3O5S OR C18H21CL
L23 39 S L20 NOT L22
SAV L23 KWON827I/A

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L24 2 S L23

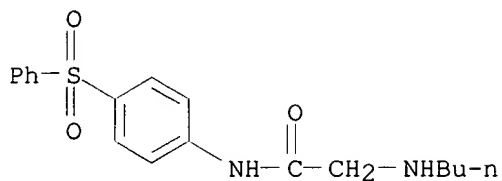
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L25 8 S L23

FILE 'REGISTRY' ENTERED AT 11:09:04 ON 05 SEP 2002

=> d ide can tot l23

L23 ANSWER 1 OF 39 REGISTRY COPYRIGHT 2002 ACS
RN 163121-19-5 REGISTRY
CN Acetamide, 2-(butylamino)-N-[4-(phenylsulfonyl)phenyl]-, monohydrochloride
(9CI) (CA INDEX NAME)
MF C18 H22 N2 O3 S . Cl H
SR CA
LC STN Files: CA, CAPLUS



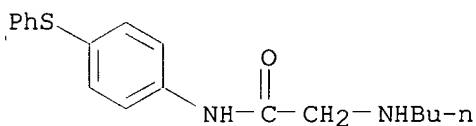
● HCl

2 REFERENCES IN FILE CA (1967 TO DATE)
2 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 123:169343

REFERENCE 2: 122:299073

L23 ANSWER 2 OF 39 REGISTRY COPYRIGHT 2002 ACS
RN 163121-18-4 REGISTRY
CN Acetamide, 2-(butylamino)-N-[4-(phenylthio)phenyl]-, monohydrochloride
(9CI) (CA INDEX NAME)
MF **C18 H22 N2 O S . Cl H**
SR CA
LC STN Files: CA, CAPLUS
CRN (101480-56-2)

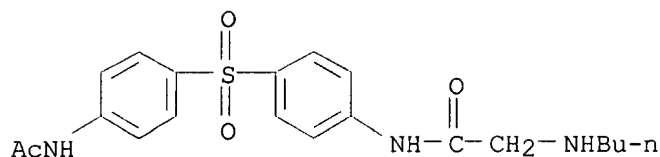


● HCl

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 122:299073

L23 ANSWER 3 OF 39 REGISTRY COPYRIGHT 2002 ACS
RN 163121-15-1 REGISTRY
CN Acetamide, N-[4-[[4-(acetamino)phenyl]sulfonyl]phenyl]-2-(butylamino)-,
monohydrochloride (9CI) (CA INDEX NAME)
MF **C20 H25 N3 O4 S . Cl H**
SR CA
LC STN Files: CA, CAPLUS



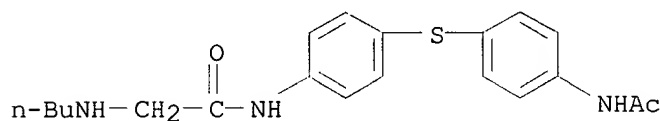
● HCl

2 REFERENCES IN FILE CA (1967 TO DATE)
2 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 123:169343

REFERENCE 2: 122:299073

L23 ANSWER 4 OF 39 REGISTRY COPYRIGHT 2002 ACS
RN 163121-14-0 REGISTRY
CN Acetamide, N-[4-[[4-(acetamino)phenyl]thio]phenyl]-2-(butylamino)-,
monohydrochloride (9CI) (CA INDEX NAME)
MF C20 H25 N3 O2 S . Cl H
SR CA
LC STN Files: CA, CAPLUS

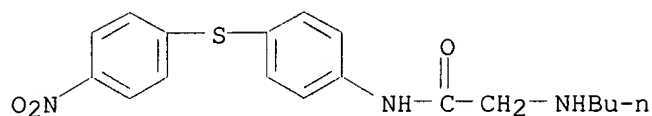


● HCl

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 122:299073

L23 ANSWER 5 OF 39 REGISTRY COPYRIGHT 2002 ACS
RN 163121-07-1 REGISTRY
CN Acetamide, 2-(butylamino)-N-[4-[(4-nitrophenyl)thio]phenyl]-,
monohydrochloride (9CI) (CA INDEX NAME)
MF C18 H21 N3 O3 S . Cl H
SR CA
LC STN Files: CA, CAPLUS
CRN (101480-64-2)

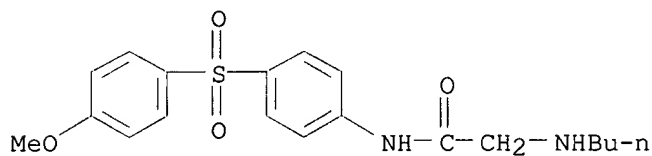


● HCl

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 122:299073

L23 ANSWER 6 OF 39 REGISTRY COPYRIGHT 2002 ACS
RN 163121-06-0 REGISTRY
CN Acetamide, 2-(butylamino)-N-[4-[(4-methoxyphenyl)sulfonyl]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)
MF C19 H24 N2 O4 S . Cl H
SR CA
LC STN Files: CA, CAPLUS



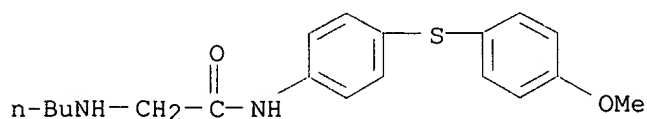
● HCl

2 REFERENCES IN FILE CA (1967 TO DATE)
2 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 123:169343

REFERENCE 2: 122:299073

L23 ANSWER 7 OF 39 REGISTRY COPYRIGHT 2002 ACS
RN 163121-05-9 REGISTRY
CN Acetamide, 2-(butylamino)-N-[4-[(4-methoxyphenyl)thio]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)
MF C19 H24 N2 O2 S . Cl H
SR CA
LC STN Files: CA, CAPLUS

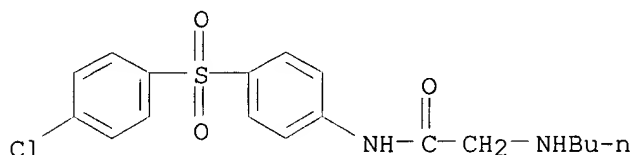


HCl

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 122:299073

L23 ANSWER 8 OF 39 REGISTRY COPYRIGHT 2002 ACS
RN 163121-04-8 REGISTRY
CN Acetamide, 2-(butylamino)-N-[4-[(4-chlorophenyl)sulfonyl]phenyl]-,
monohydrochloride (9CI) (CA INDEX NAME)
MF C18 H21 Cl N2 O3 S . Cl H
SR CA
LC STN Files: CA, CAPLUS



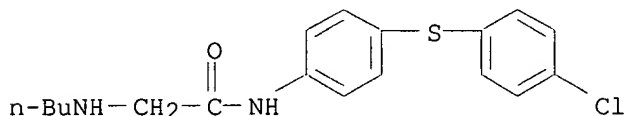
● HCl

2 REFERENCES IN FILE CA (1967 TO DATE)
2 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 123:169343

REFERENCE 2: 122:299073

L23 ANSWER 9 OF 39 REGISTRY COPYRIGHT 2002 ACS
RN 163121-03-7 REGISTRY
CN Acetamide, 2-(butylamino)-N-[4-[(4-chlorophenyl)thio]phenyl]-,
monohydrochloride (9CI) (CA INDEX NAME)
MF C18 H21 Cl N2 O S . Cl H
SR CA
LC STN Files: CA, CAPLUS
CRN (101480-59-5)



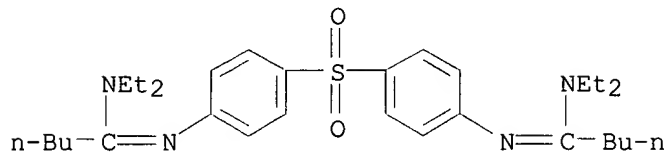
● HCl

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 122:299073

L23 ANSWER 10 OF 39 REGISTRY COPYRIGHT 2002 ACS
RN 132460-61-8 REGISTRY
CN Pentanimidamide, N',N''-(sulfonyldi-4,1-phenylene)bis[N,N-diethyl-,

dihydrochloride (9CI) (CA INDEX NAME)
 MF C30 H46 N4 O2 S . 2 Cl H
 SR CA
 LC STN Files: CA, CAPLUS

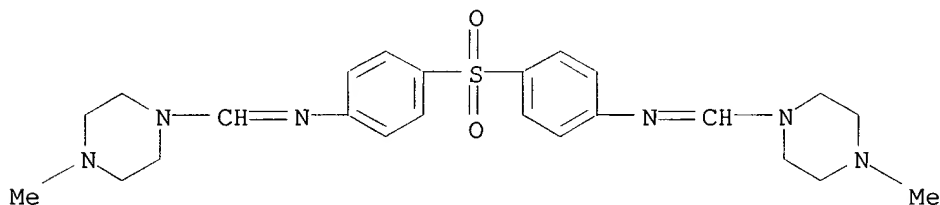


● 2 HCl

1 REFERENCES IN FILE CA (1967 TO DATE)
 1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 114:228551

L23 ANSWER 11 OF 39 REGISTRY COPYRIGHT 2002 ACS
 RN 131888-98-7 REGISTRY
 CN Piperazine, 1,1'-[sulfonylbis(4,1-phenylenenitrilomethylidene)]bis[4-methyl-, monohydrochloride (9CI) (CA INDEX NAME)
 MF C24 H32 N6 O2 S . Cl H
 SR CA
 LC STN Files: CA, CAPLUS

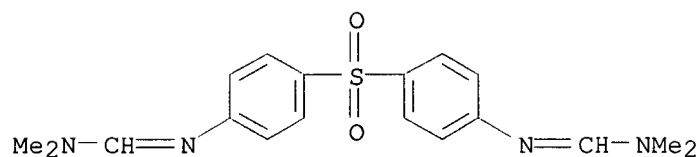


● HCl

1 REFERENCES IN FILE CA (1967 TO DATE)
 1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 114:228551

L23 ANSWER 12 OF 39 REGISTRY COPYRIGHT 2002 ACS
 RN 131888-96-5 REGISTRY
 CN Methanimidamide, N',N''-(sulfonyldi-4,1-phenylene)bis[N,N-dimethyl-, dihydrochloride (9CI) (CA INDEX NAME)
 MF C18 H22 N4 O2 S . 2 Cl H
 SR CA
 LC STN Files: CA, CAPLUS
 CRN (3217-65-0)

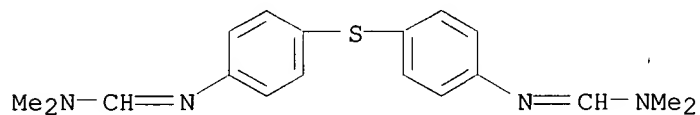


● 2 HCl

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 114:228551

L23 ANSWER 13 OF 39 REGISTRY COPYRIGHT 2002 ACS
RN 129383-88-6 REGISTRY
CN Methanimidamide, N',N''-(thiodi-4,1-phenylene)bis[N,N-dimethyl-,
dihydrochloride (9CI) (CA INDEX NAME)
MF C18 H22 N4 S . 2 Cl H
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER

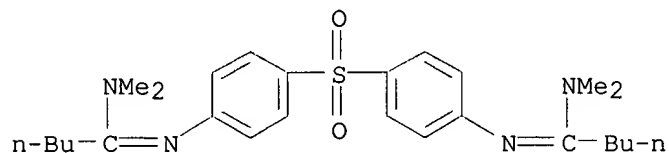


● 2 HCl

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 113:131762

L23 ANSWER 14 OF 39 REGISTRY COPYRIGHT 2002 ACS
RN 129346-75-4 REGISTRY
CN Pentanimidamide, N',N''-(sulfonyldi-4,1-phenylene)bis[N,N-dimethyl-,
dihydrochloride (9CI) (CA INDEX NAME)
MF C26 H38 N4 O2 S . 2 Cl H
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER

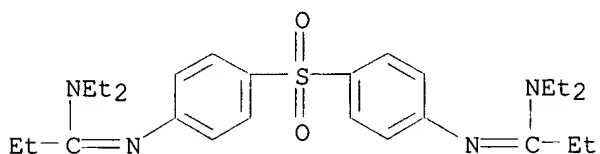


2 HCl

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 113:131762

L23 ANSWER 15 OF 39 REGISTRY COPYRIGHT 2002 ACS
RN 129346-74-3 REGISTRY
CN Propanimidamide, N',N''-(sulfonyldi-4,1-phenylene)bis[N,N-diethyl-,
dihydrochloride (9CI) (CA INDEX NAME)
MF C26 H38 N4 O2 S . 2 Cl H
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER



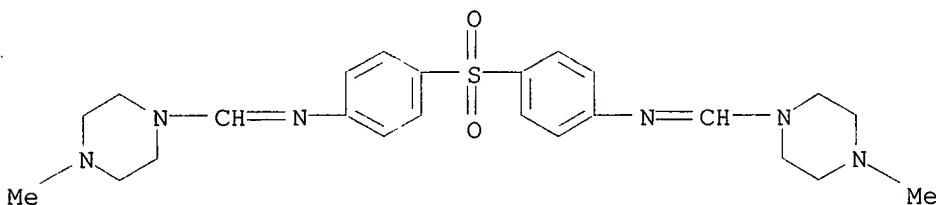
● 2 HCl

2 REFERENCES IN FILE CA (1967 TO DATE)
2 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 114:228551

REFERENCE 2: 113:131762

L23 ANSWER 16 OF 39 REGISTRY COPYRIGHT 2002 ACS
RN 129346-69-6 REGISTRY
CN Piperazine, 1,1'-[sulfonylbis(4,1-phenylenenitrilomethylidyne)]bis[4-
methyl-, hydrochloride (9CI) (CA INDEX NAME)
MF C24 H32 N6 O2 S . x Cl H
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER



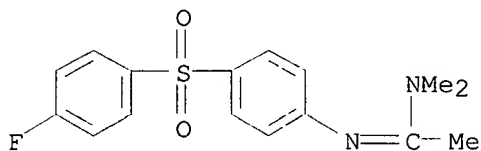
● x HCl

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 113:131762

L23 ANSWER 17 OF 39 REGISTRY COPYRIGHT 2002 ACS
RN 129346-64-1 REGISTRY

CN Ethanimidamide, N'-[4-[(4-fluorophenyl)sulfonyl]phenyl]-N,N-dimethyl-,
monohydrochloride (9CI) (CA INDEX NAME)
MF C16 H17 F N2 O2 S . Cl H
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER
CRN (129346-63-0)



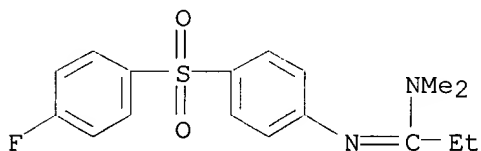
● HCl

2 REFERENCES IN FILE CA (1967 TO DATE)
2 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 114:228551

REFERENCE 2: 113:131762

L23 ANSWER 18 OF 39 REGISTRY COPYRIGHT 2002 ACS
RN 129346-62-9 REGISTRY
CN Propanimidamide, N'-[4-[(4-fluorophenyl)sulfonyl]phenyl]-N,N-dimethyl-,
monohydrochloride (9CI) (CA INDEX NAME)
MF C17 H19 F N2 O2 S . Cl H
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER
CRN (129346-61-8)



● HCl

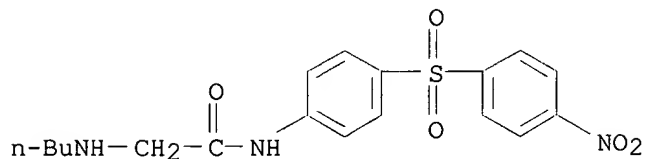
2 REFERENCES IN FILE CA (1967 TO DATE)
2 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 114:228551

REFERENCE 2: 113:131762

L23 ANSWER 19 OF 39 REGISTRY COPYRIGHT 2002 ACS
RN 98741-01-6 REGISTRY
CN Acetamide, 2-(butylamino)-N-[4-[(4-nitrophenyl)sulfonyl]phenyl]-,
monohydrochloride (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN Acetanilide, 2-(butylamino)-4'-[(p-nitrophenyl)sulfonyl]-, hydrochloride
(7CI)

MF C18 H21 N3 O5 S . C1 H
SR CAOLD
LC STN Files: CA, CAOLD, CAPLUS
CRN (60515-80-2)



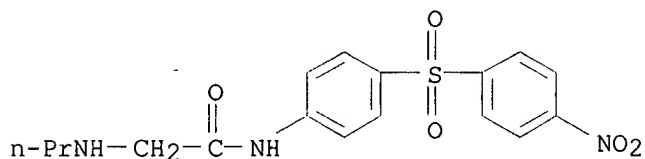
● HCl

2 REFERENCES IN FILE CA (1967 TO DATE)
2 REFERENCES IN FILE CAPLUS (1967 TO DATE)
1 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

REFERENCE 1: 123:169343

REFERENCE 2: 122:299073

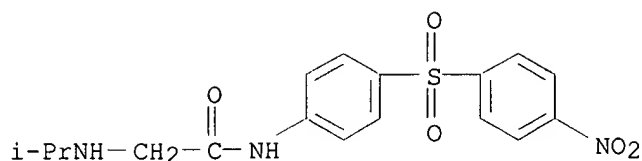
L23 ANSWER 20 OF 39 REGISTRY COPYRIGHT 2002 ACS
RN 98284-53-8 REGISTRY
CN Acetanilide, 4'-[(p-nitrophenyl)sulfonyl]-2-(propylamino)-, hydrochloride
(7CI) (CA INDEX NAME)
MF C17 H19 N3 O5 S . C1 H
SR CAOLD
LC STN Files: CAOLD
CRN (50385-06-3)



● HCl

1 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

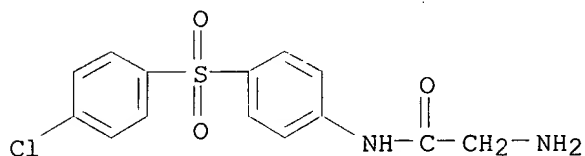
L23 ANSWER 21 OF 39 REGISTRY COPYRIGHT 2002 ACS
RN 98284-52-7 REGISTRY
CN Acetanilide, 2-(isopropylamino)-4'-[(p-nitrophenyl)sulfonyl]-, hydrochloride (7CI) (CA INDEX NAME)
MF C17 H19 N3 O5 S . C1 H
SR CAOLD
LC STN Files: CAOLD
CRN (93730-79-1)



● HCl

1 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

L23 ANSWER 22 OF 39 REGISTRY COPYRIGHT 2002 ACS
 RN 90309-11-8 REGISTRY
 CN Acetamide, 2-amino-N-[4-[(4-chlorophenyl)sulfonyl]phenyl]-,
 monohydrochloride (9CI) (CA INDEX NAME)
 MF **C14 H13 Cl N2 O3 S . Cl H**
 LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL
 CRN (90309-31-2)

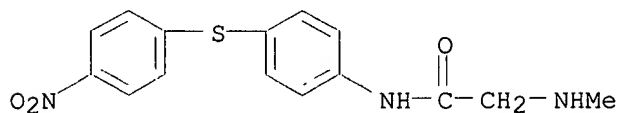


● HCl

1 REFERENCES IN FILE CA (1967 TO DATE)
 1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 101:66008

L23 ANSWER 23 OF 39 REGISTRY COPYRIGHT 2002 ACS
 RN 90309-07-2 REGISTRY
 CN Acetamide, 2-(methylamino)-N-[4-[(4-nitrophenyl)thio]phenyl]-,
 monohydrochloride (9CI) (CA INDEX NAME)
 MF **C15 H15 N3 O3 S . Cl H**
 LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL
 CRN (90309-30-1)



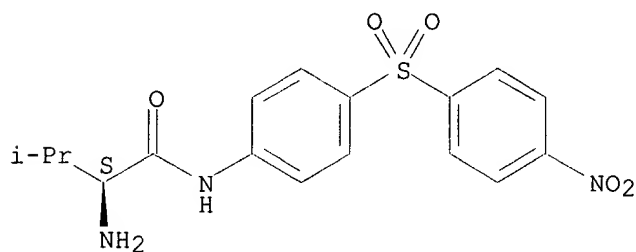
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1 REFERENCES IN FILE CA (1967 TO DATE)
 1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 101:66008

L23 ANSWER 24 OF 39 REGISTRY COPYRIGHT 2002 ACS
RN 78437-81-7 REGISTRY
CN Butanamide, 2-amino-3-methyl-N-[4-[(4-nitrophenyl)sulfonyl]phenyl]-, monohydrochloride, (S)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C17 H19 N3 O5 S . Cl H
LC STN Files: BEILSTEIN*, CA, CAPLUS, CASREACT, TOXCENTER
(*File contains numerically searchable property data)

Absolute stereochemistry.



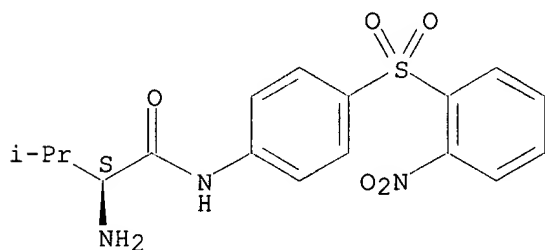
● HCl

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 95:80419

L23 ANSWER 25 OF 39 REGISTRY COPYRIGHT 2002 ACS
RN 78428-58-7 REGISTRY
CN Butanamide, 2-amino-3-methyl-N-[4-[(2-nitrophenyl)sulfonyl]phenyl]-, monohydrochloride, (S)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C17 H19 N3 O5 S . Cl H
LC STN Files: BEILSTEIN*, CA, CAPLUS, CASREACT, TOXCENTER
(*File contains numerically searchable property data)

Absolute stereochemistry.

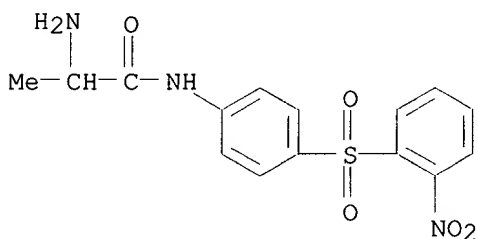


HCl

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 95:80419

L23 ANSWER 26 OF 39 REGISTRY COPYRIGHT 2002 ACS
RN 78428-57-6 REGISTRY
CN Propanamide, 2-amino-N-[4-[(2-nitrophenyl)sulfonyl]phenyl]-,
monohydrochloride (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN Propanamide, 2-amino-N-[4-[(2-nitrophenyl)sulfonyl]phenyl]-,
monohydrochloride, (.+-.)-
MF C15 H15 N3 O5 S . Cl H
LC STN Files: BEILSTEIN*, CA, CAPLUS, CASREACT, TOXCENTER
(*File contains numerically searchable property data)

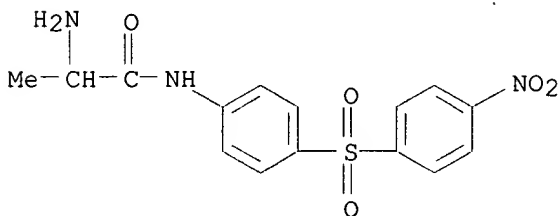


● HCl

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 95:80419

L23 ANSWER 27 OF 39 REGISTRY COPYRIGHT 2002 ACS
RN 78428-56-5 REGISTRY
CN Propanamide, 2-amino-N-[4-[(4-nitrophenyl)sulfonyl]phenyl]-,
monohydrochloride (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN Propanamide, 2-amino-N-[4-[(4-nitrophenyl)sulfonyl]phenyl]-,
monohydrochloride, (.+-.)-
MF C15 H15 N3 O5 S . Cl H
LC STN Files: BEILSTEIN*, CA, CAPLUS, CASREACT, TOXCENTER
(*File contains numerically searchable property data)

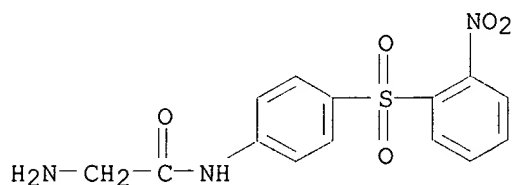


HCl

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 95:80419

L23 ANSWER 28 OF 39 REGISTRY COPYRIGHT 2002 ACS
 RN 78428-55-4 REGISTRY
 CN Acetamide, 2-amino-N-[4-[(2-nitrophenyl)sulfonyl]phenyl]-,
 monohydrochloride (9CI) (CA INDEX NAME)
 MF C14 H13 N3 O5 S . Cl H
 LC STN Files: BEILSTEIN*, CA, CAPLUS, CASREACT, TOXCENTER
 (*File contains numerically searchable property data)

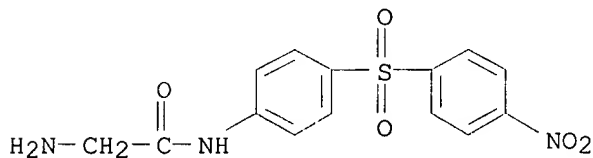


● HCl

1 REFERENCES IN FILE CA (1967 TO DATE)
 1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 95:80419

L23 ANSWER 29 OF 39 REGISTRY COPYRIGHT 2002 ACS
 RN 78428-54-3 REGISTRY
 CN Acetamide, 2-amino-N-[4-[(4-nitrophenyl)sulfonyl]phenyl]-,
 monohydrochloride (9CI) (CA INDEX NAME)
 MF C14 H13 N3 O5 S . Cl H
 LC STN Files: BEILSTEIN*, CA, CAPLUS, CASREACT, TOXCENTER
 (*File contains numerically searchable property data)



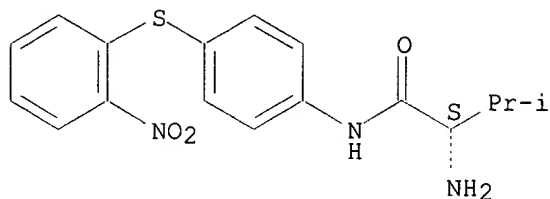
● HCl

1 REFERENCES IN FILE CA (1967 TO DATE)
 1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 95:80419

L23 ANSWER 30 OF 39 REGISTRY COPYRIGHT 2002 ACS
 RN 78428-24-7 REGISTRY
 CN Butanamide, 2-amino-3-methyl-N-[4-[(2-nitrophenyl)thio]phenyl]-,
 monohydrochloride, (S)- (9CI) (CA INDEX NAME)
 FS STEREOSEARCH
 MF C17 H19 N3 O3 S . Cl H
 LC STN Files: BEILSTEIN*, CA, CAPLUS, CASREACT, TOXCENTER
 (*File contains numerically searchable property data)

Absolute stereochemistry.



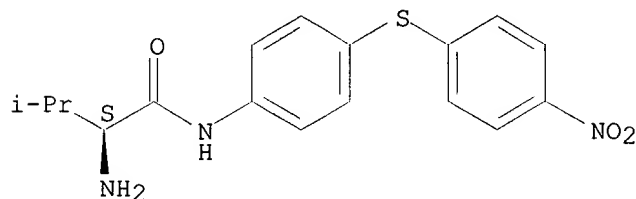
● HCl

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 95:80419

L23 ANSWER 31 OF 39 REGISTRY COPYRIGHT 2002 ACS
RN 78428-23-6 REGISTRY
CN Butanamide, 2-amino-3-methyl-N-[4-[(4-nitrophenyl)thio]phenyl]-, monohydrochloride, (S)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C17 H19 N3 O3 S . C1 H
LC STN Files: BEILSTEIN*, CA, CAPLUS, CASREACT, TOXCENTER
(*File contains numerically searchable property data)

Absolute stereochemistry.

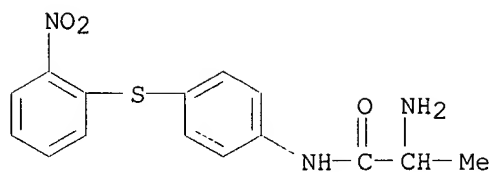


● HCl

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 95:80419

L23 ANSWER 32 OF 39 REGISTRY COPYRIGHT 2002 ACS
RN 78428-22-5 REGISTRY
CN Propanamide, 2-amino-N-[4-[(2-nitrophenyl)thio]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN Propanamide, 2-amino-N-[4-[(2-nitrophenyl)thio]phenyl]-, monohydrochloride, (.+-.)-
MF C15 H15 N3 O3 S . C1 H
LC STN Files: BEILSTEIN*, CA, CAPLUS, CASREACT, TOXCENTER
(*File contains numerically searchable property data)

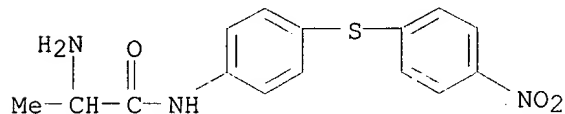


● HCl

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 95:80419

L23 ANSWER 33 OF 39 REGISTRY COPYRIGHT 2002 ACS
RN 78428-21-4 REGISTRY
CN Propanamide, 2-amino-N-[4-[(4-nitrophenyl)thio]phenyl]-, monohydrochloride
(9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN Propanamide, 2-amino-N-[4-[(4-nitrophenyl)thio]phenyl]-,
monohydrochloride, (.+-.)-
MF C15 H15 N3 O3 S . C1 H
LC STN Files: BEILSTEIN*, CA, CAPLUS, CASREACT, TOXCENTER
(*File contains numerically searchable property data)

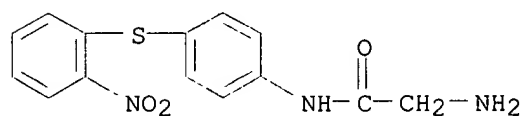


● HCl

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 95:80419

L23 ANSWER 34 OF 39 REGISTRY COPYRIGHT 2002 ACS
RN 78428-20-3 REGISTRY
CN Acetamide, 2-amino-N-[4-[(2-nitrophenyl)thio]phenyl]-, monohydrochloride
(9CI) (CA INDEX NAME)
MF C14 H13 N3 O3 S . C1 H
LC STN Files: BEILSTEIN*, CA, CAPLUS, CASREACT, TOXCENTER
(*File contains numerically searchable property data)

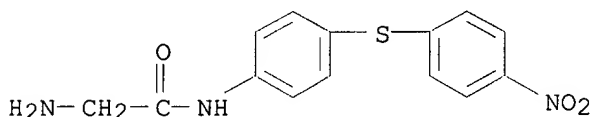


HCl

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 95:80419

L23 ANSWER 35 OF 39 REGISTRY COPYRIGHT 2002 ACS
RN 78428-19-0 REGISTRY
CN Acetamide, 2-amino-N-[4-[(4-nitrophenyl)thio]phenyl]-, monohydrochloride
(9CI) (CA INDEX NAME)
MF . C14 H13 N3 O3 S . Cl H
LC STN Files: BEILSTEIN*, CA, CAPLUS, CASREACT, TOXCENTER
(*File contains numerically searchable property data)

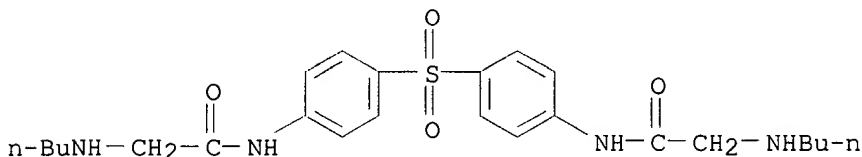


● HCl

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 95:80419

L23 ANSWER 36 OF 39 REGISTRY COPYRIGHT 2002 ACS
RN 32059-23-7 REGISTRY
CN Acetanilide, 4',4'''-sulfonylbis[2-(butylamino)-, dihydrochloride (8CI)
(CA INDEX NAME)
MF C24 H34 N4 O4 S . 2 Cl H
LC STN Files: CA, CAPLUS
CRN (32794-95-9)



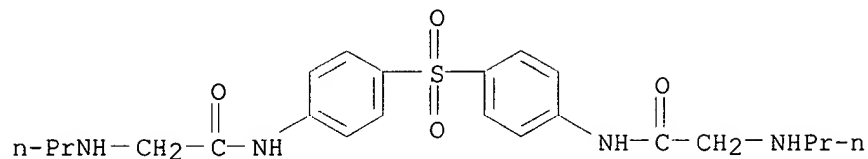
●2 HCl

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 74:79610

L23 ANSWER 37 OF 39 REGISTRY COPYRIGHT 2002 ACS
RN 29519-38-8 REGISTRY
CN Acetanilide, 4',4'''-sulfonylbis[2-(propylamino)-, dihydrochloride (8CI)
(CA INDEX NAME)
OTHER NAMES:
CN N,N'-Bis(propylaminoacetyl)-4,4'-diaminodiphenyl sulfone dihydrochloride

MF C22 H30 N4 O4 S . 2 Cl H
 LC STN Files: CA, CAPLUS
 CRN (2256-13-5)



● 2 HCl

2 REFERENCES IN FILE CA (1967 TO DATE)
 2 REFERENCES IN FILE CAPLUS (1967 TO DATE)

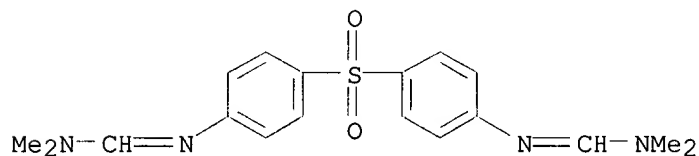
REFERENCE 1: 74:79610

REFERENCE 2: 73:86040

L23 ANSWER 38 OF 39 REGISTRY COPYRIGHT 2002 ACS
 RN 3217-66-1 REGISTRY
 CN Formamidine, N',N''-(sulfonyl-di-p-phenylene)bis[N,N-dimethyl-,
 p-toluenesulfonate (7CI, 8CI) (CA INDEX NAME)
 MF C18 H22 N4 O2 S . x C7 H8 O3 S
 LC STN Files: CAOLD

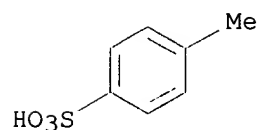
CM 1

CRN 3217-65-0
 CMF C18 H22 N4 O2 S



CM 2

CRN 104-15-4
 CMF C7 H8 O3 S



1 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

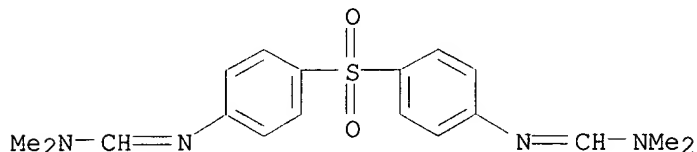
L23 ANSWER 39 OF 39 REGISTRY COPYRIGHT 2002 ACS
 RN 3191-33-1 REGISTRY

CN Methanimidamide, N',N''''-(sulfonyldi-4,1-phenylene)bis[N,N-dimethyl-,
mono(4-methylbenzenesulfonate) (9CI) (CA INDEX NAME)
MF C18 H22 N4 O2 S . C7 H8 O3 S
LC STN Files: CAOLD

CM 1

CRN 3217-65-0

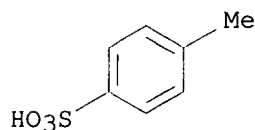
CMF C18 H22 N4 O2 S



CM 2

CRN 104-15-4

CMF C7 H8 O3 S



1 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

=> fil hcaplus

FILE 'HCAPLUS' ENTERED AT 11:09:36 ON 05 SEP 2002

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FILE COVERS 1907 - 5 Sep 2002 VOL 137 ISS 10

FILE LAST UPDATED: 4 Sep 2002 (20020904/ED)

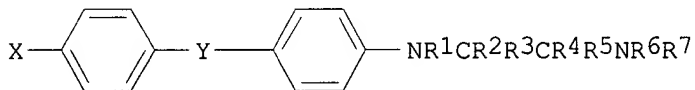
This file contains CAS Registry Numbers for easy and accurate substance identification.

CAS roles have been modified effective December 16, 2001. Please check your SDI profiles to see if they need to be revised. For information on CAS roles, enter HELP ROLES at an arrow prompt or use the CAS Roles thesaurus (/RL field) in this file.

=> d all hitstr tot 125

L25 ANSWER 1 OF 8 HCAPLUS COPYRIGHT 2002 ACS
 AN 1995:547638 HCAPLUS
 DN 122:299073
 TI Diphenyl sulfides, sulfoxides, and sulfones for prevention and treatment of eosinophil-related diseases
 IN Naito, Yoichiro; Akaboshi, Fumihiko; Goto, Tomokazu; Sugyama, Naoki; Ono, Shinichiro; Fukaya, Tsutomu; Kuwabara, Eiki; Kajii, Masahiko; Nishimura, Hiroko; Sugiura, Masanori
 PA Green Cross Corp, Japan
 SO Jpn. Kokai Tokkyo Koho, 21 pp.
 CODEN: JKXXAF
 DT Patent
 LA Japanese
 IC ICM A61K031-135
 ICS A61K031-165; A61K031-185; A61K031-255; A61K031-275; A61K031-41
 CC 63-5 (Pharmaceuticals)
 Section cross-reference(s): 1, 25
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 07048251	A2	19950221	JP 1993-193453	19930804
GI					



I

AB Di-Ph sulfides, sulfoxides, and sulfones I (X= H, alkyl, alkoxy, halo, CN, NO2, CF3, NR8R9 (R8, R9 = H, alkyl, acyl), CONHR10 (R10 = H, alkyl, acyl), SO2R11 (R11 = H, alkyl), tetrazole; Y = thio, sulfinyl, sulfonyl; R1 = H, alkyl; R2, R3 = H, alkyl; R2R3 may form O, S, NCN; R4, R5 = H, alkyl; R4R5 may form O, S, NCN; R6 = C.gtoREQ.2 alkyl, aryl, aralkyl; R7 = H, alkyl) and their salts are useful for prevention and treatment of eosinophil-related diseases. 4-Chloro-4'-(2-chloroacetamido)diphenyl sulfide (4.80 g) (prepn. given) was stirred with m-chloroperbenzoic acid in CHCl3 under ice cooling for 1 h to give 5.45 g 4-chloro-4'-(2-chloroacetamido)diphenyl sulfone, which was stirred with n-butylamine, NaI, and CHCl3 at room temp. for 5 h and refluxed for 90 min to give 30% 4-[2-(n-butylamino)acetamido]-4'-chlorodiphenyl sulfone HCl salt (II). II (at 30 mg/kg i.p.) inhibited egg white albumin-induced PCA reaction in rats by 57%, vs. 30% for tranilast. Tablets contg. II 10, granules (contg. Mg aluminate metasilicate, corn starch, and lactose) 46.6, cryst. cellulose 24.0, CM-cellulose Ca 4.0, and Mg stearate 0.4 mg were formulated.

ST eosinophil disease treatment phenyl sulfide; sulfone diphenyl eosinophil disease treatment; sulfoxide diphenyl prepn allergy inhibitor

IT Allergy inhibitors
 (di-Ph sulfides, sulfoxides, and sulfones for treatment of eosinophil-related diseases)

IT Eosinophil
 (disease, di-Ph sulfides, sulfoxides, and sulfones for treatment of eosinophil-related diseases)

IT 98741-01-6P 163121-03-7P 163121-04-8P
 163121-05-9P 163121-06-0P 163121-07-1P
 163121-08-2P 163121-09-3P 163121-10-6P 163121-11-7P 163121-12-8P
 163121-13-9P 163121-14-0P 163121-15-1P 163121-16-2P

163121-17-3P 163121-18-4P 163121-19-5P 163121-20-8P
 163121-21-9P 163121-22-0P 163121-23-1P 163121-24-2P 163121-25-3P
 163121-26-4P 163121-27-5P 163121-28-6P 163121-29-7P 163121-30-0P
 163121-31-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(di-Ph sulfides, sulfoxides, and sulfones for treatment of eosinophil-related diseases)

IT 90309-39-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(di-Ph sulfides, sulfoxides, and sulfones for treatment of eosinophil-related diseases)

IT 101-59-7P, 4-Amino-4'-nitrodiphenyl sulfide 565-20-8P,
 4-Acetamido-4'-aminodiphenyl sulfone 952-97-6P, 4-Nitrodiphenyl sulfide
 1135-14-4P, 4-Aminodiphenyl sulfide 1775-37-7P, 4-Acetamido-4'-
 nitrodiphenyl sulfone 1948-92-1P, 4-Amino-4'-nitrodiphenyl sulfone
 4094-37-5P 4094-38-6P 10129-03-0P 14453-85-1P, 4-Amino-4'-
 methoxydiphenyl sulfide 17078-72-7P, 4-Amino-4'-methoxydiphenyl sulfone
 21101-60-0P 21969-11-9P, 4-Chloro-4'-nitrodiphenyl sulfide
 22865-48-1P, 4-Methyl-4'-nitrodiphenyl sulfide 22865-50-5P 22865-51-6P
 22865-52-7P, 4-Amino-4'-methyldiphenyl sulfide 22865-57-2P,
 4-Methoxy-4'-nitrodiphenyl sulfone 32631-29-1P 36161-08-7P
 54458-02-5P 54458-05-8P 54458-14-9P 54538-22-6P 63029-16-3P
 91493-74-2P 163121-32-2P 163121-33-3P 163121-34-4P 163121-35-5P
 163121-36-6P 163121-37-7P 163121-38-8P 163121-39-9P 163121-40-2P
 163121-41-3P 163121-42-4P 163121-43-5P 163121-44-6P 163121-45-7P
 163121-46-8P 163121-47-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and reaction of; di-Ph sulfides, sulfoxides, and sulfones for treatment of eosinophil-related diseases)

IT 98-56-6, 1-Chloro-4-(trifluoromethyl)benzene 98-57-7,
 4-Chlorophenylmethyl sulfone 623-03-0, 4-Chlorobenzonitrile

RL: RCT (Reactant); RACT (Reactant or reagent)

(reaction with aminothiophenol; di-Ph sulfides, sulfoxides, and sulfones for treatment of eosinophil-related diseases)

IT 106-54-7, 4-Chlorobenzenethiol 108-98-5, Thiophenol, reactions
 637-89-8, 4-Hydroxythiophenol 824-79-3, p-Toluenesulfinic acid sodium
 salt 1073-72-9, 4-Methylthiophenol 1126-81-4, 4-Acetamidothiophenol
 15898-43-8

RL: RCT (Reactant); RACT (Reactant or reagent)

(reaction with chloronitrobenzene; di-Ph sulfides, sulfoxides, and sulfones for treatment of eosinophil-related diseases)

IT 100-00-5, 4-Chloronitrobenzene 109-73-9, n-Butylamine, reactions
 1193-02-8, 4-Aminothiophenol

RL: RCT (Reactant); RACT (Reactant or reagent)

(reactions of; di-Ph sulfides, sulfoxides, and sulfones for treatment of eosinophil-related diseases)

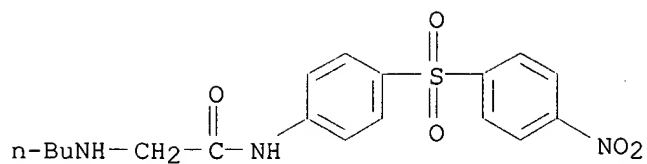
IT 98741-01-6P 163121-03-7P 163121-04-8P
 163121-05-9P 163121-06-0P 163121-07-1P
 163121-14-0P 163121-15-1P 163121-18-4P
 163121-19-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(di-Ph sulfides, sulfoxides, and sulfones for treatment of eosinophil-related diseases)

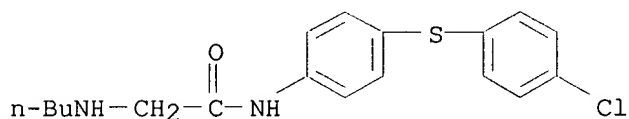
RN 98741-01-6 HCAPLUS

CN Acetamide, 2-(butylamino)-N-[4-[(4-nitrophenyl)sulfonyl]phenyl]-,
 monohydrochloride (9CI) (CA INDEX NAME)



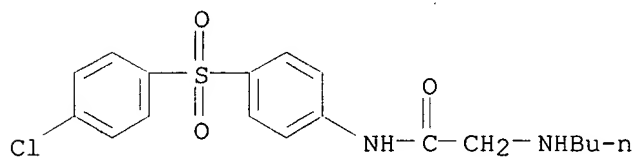
● HCl

RN 163121-03-7 HCAPLUS
CN Acetamide, 2-(butylamino)-N-[4-[(4-chlorophenyl)thio]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



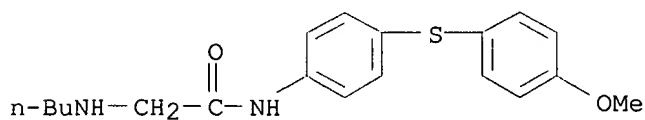
● HCl

RN 163121-04-8 HCAPLUS
CN Acetamide, 2-(butylamino)-N-[4-[(4-chlorophenyl)sulfonyl]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

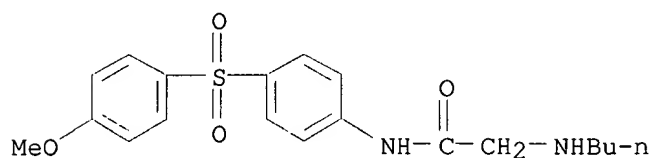
RN 163121-05-9 HCAPLUS
CN Acetamide, 2-(butylamino)-N-[4-[(4-methoxyphenyl)thio]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



HCl

RN 163121-06-0 HCAPLUS

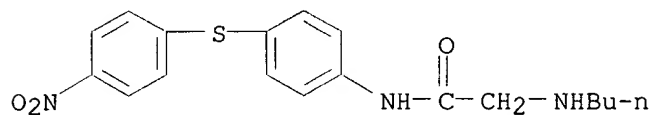
CN Acetamide, 2-(butylamino)-N-[4-[(4-methoxyphenyl)sulfonyl]phenyl]-,
monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 163121-07-1 HCAPLUS

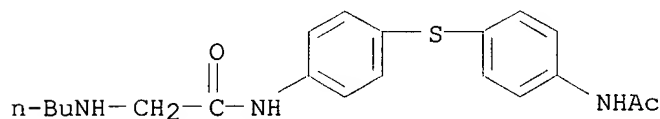
CN Acetamide, 2-(butylamino)-N-[4-[(4-nitrophenyl)thio]phenyl]-,
monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 163121-14-0 HCAPLUS

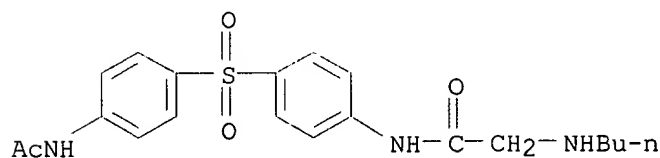
CN Acetamide, N-[4-[[4-(acetylamino)phenyl]thio]phenyl]-2-(butylamino)-,
monohydrochloride (9CI) (CA INDEX NAME)



● HCl

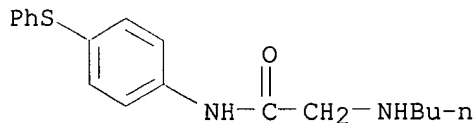
RN 163121-15-1 HCAPLUS

CN Acetamide, N-[4-[[4-(acetylamino)phenyl]sulfonyl]phenyl]-2-(butylamino)-,
monohydrochloride (9CI) (CA INDEX NAME)



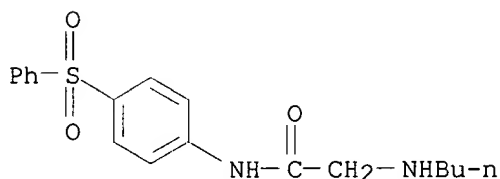
HCl

RN 163121-18-4 HCAPLUS
 CN Acetamide, 2-(butylamino)-N-[4-(phenylthio)phenyl]-, monohydrochloride
 (9CI) (CA INDEX NAME)



● HCl

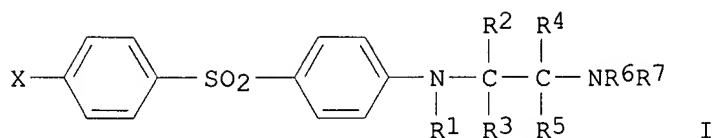
RN 163121-19-5 HCAPLUS
 CN Acetamide, 2-(butylamino)-N-[4-(phenylsulfonyl)phenyl]-, monohydrochloride
 (9CI) (CA INDEX NAME)



● HCl

L25 ANSWER 2 OF 8 HCAPLUS COPYRIGHT 2002 ACS
 AN 1995:516493 HCAPLUS
 DN 123:169343
 TI Diphenyl sulfone derivatives
 IN Naito, Yoichiro; Akaboshi, Fumihiko; Goto, Tomokazu; Sugyama, Naoki; Ono, Shinichiro; Fukaya, Tsutomu; Kuwabara, Eiki; Kajii, Masahiko; Nishimura, Hiroko; Sugiura, Masanori
 PA Green Cross Corp, Japan
 SO Jpn. Kokai Tokkyo Koho, 16 pp.
 CODEN: JKXXAF
 DT Patent
 LA Japanese
 IC ICM C07C317-32
 ICS A61K031-165; A61K031-255; A61K031-275; C07C315-02; C07C317-34; C07D257-02
 CC 25-12 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds)
 Section cross-reference(s): 1
 FAN.CNT 1

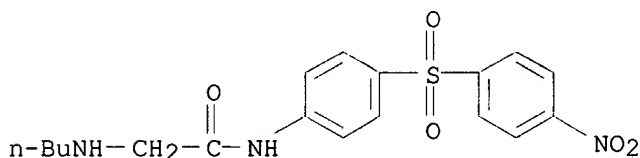
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 07033735	A2	19950203	JP 1993-184176	19930726
OS	MARPAT 123:169343				
GI					



- AB Title compds. I (X = H, alkyl, alkoxy, halo, cyano, NO₂, CF₃, NR₈R₉, CONHR₁₀, SO₂R₁₁, tetrazole; R₁-5, R₇, R₁₁ = H, alkyl; R₂ and R₃ or R₄ and R₅ may be linked to form O, S, or NCN; R₆ = C.gto req.2 alkyl, aryl, aralkyl; R₈-10 = H, alkyl, acyl) or their salts, useful for treating eosinophilia, are prepd. Thus, refluxing 4-chlorobenzenethiol and 4-chloronitrobenzene in EtOH in the presence of K₂CO₃ gave 73% 4-chloro-4'-nitrodiphenyl sulfide, which was reduced with SnCl₂/HCl at room temp. to give 50% 4-amino-4'-chlorodiphenyl sulfide (II). Treating II with chloroacetyl chloride in CHCl₃ in the presence of Et₃N under ice cooling gave 67% 4-chloro-4'-(2-chloroacetamido)diphenyl sulfide, which was oxidized with m-chloroperbenzoic acid in CHCl₃ under ice cooling to give quant. 4-chloro-4'-(2-chloroacetamido)diphenyl sulfone (III). Treating III with n-butylamine in CHCl₃ in the presence of NaI at room temp. and then under reflux and treating the product with HCl gave 30% 4-[2-(n-butylamino)acetamido]-4'-chlorodiphenyl sulfone hydrochloride.
- ST diphenyl sulfone deriv treatment eosinophilia
- IT Eosinophil
(disease, eosinophilia, di-Ph sulfone derivs. for treatment of eosinophilia)
- IT 7440-05-3, Palladium, uses
RL: CAT (Catalyst use); USES (Uses)
(Pd/C as catalyst for hydrogenation of methoxynitrodiphenyl sulfone)
- IT 79-04-9, Chloroacetyl chloride
RL: RCT (Reactant); RACT (Reactant or reagent)
(condensation with amino-contg. di-Ph sulfides or di-Ph sulfones)
- IT 98-57-7, 4-Chlorophenyl methyl sulfone 623-03-0, 4-Chlorobenzonitrile
RL: RCT (Reactant); RACT (Reactant or reagent)
(condensation with aminothiophenol)
- IT 98-56-6, 1-Chloro-4-(trifluoromethyl)benzene
RL: RCT (Reactant); RACT (Reactant or reagent)
(condensation with amiothiophenol)
- IT 1193-02-8, 4-Aminothiophenol
RL: RCT (Reactant); RACT (Reactant or reagent)
(condensation with chlorobenzonitrile)
- IT 106-54-7, 4-Chlorobenzenethiol 637-89-8, 4-Hydroxythiophenol
RL: RCT (Reactant); RACT (Reactant or reagent)
(condensation with chloronitrobenzene)
- IT 108-98-5, Thiophenol, reactions
RL: RCT (Reactant); RACT (Reactant or reagent)
(condensation with chloronitrophenol)
- IT 100-00-5, 4-Chloronitrobenzene
RL: RCT (Reactant); RACT (Reactant or reagent)
(condensation with thiophenols or benzenesulfinate salts)
- IT 26628-22-8, Sodium azide
RL: RCT (Reactant); RACT (Reactant or reagent)
(cyclic condensation with aminocyanodiphenyl sulfide)
- IT 77-78-1, Dimethyl sulfate
RL: RCT (Reactant); RACT (Reactant or reagent)
(etherification of hydroxynitrodiphenyl sulfone)
- IT 91493-74-2P, 4-Hydroxy-4'-nitrodiphenyl sulfone
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(etherification with di-Me sulfate)
- IT 98741-01-6P 163121-04-8P 163121-06-0P

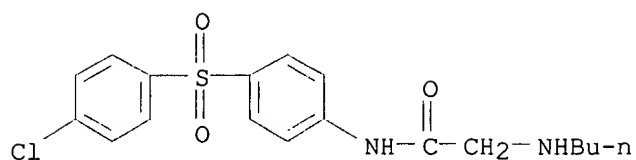
- 163121-09-3P 163121-11-7P 163121-13-9P **163121-15-1P**
 163121-17-3P **163121-19-5P** 163121-21-9P 163121-23-1P
 163121-25-3P 163121-27-5P 163121-29-7P 163121-30-0P 163121-31-1P
 RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (for treatment of eosinophilia)
- IT 952-97-6P, 4-Nitrodiphenyl sulfide 4094-37-5P, 4-Methyl-4'-nitrodiphenyl sulfone 22865-51-6P, 4-Dimethylamino-4'-nitrodiphenyl sulfide 22865-57-2P, 4-Methoxy-4'-nitrodiphenyl sulfone
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (hydrogenation in presence of palladium/carbon)
- IT 1775-37-7P, 4-Acetamido-4'-nitrodiphenyl sulfone
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (hydrolysis by methanolic hydrochloric acid or hydrogenation in presence of palladium/carbon)
- IT 937-14-4
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (oxidn. of di-Ph sulfide derivs. to di-Ph sulfone derivs.)
- IT 7722-84-1, Hydrogen peroxide, reactions
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (oxidn. of hydroxynitrodiphenyl sulfide)
- IT 36161-08-7P, 4-Chloro-4'-(2-chloroacetamido)diphenyl sulfide 163121-33-3P 163121-36-6P, 4-(2-Chloroacetamido)-4'-dimethylaminodiphenyl sulfide 163121-37-7P, 4-(2-Chloroacetamido)-4'-(trifluoromethyl)diphenyl sulfide 163121-40-2P 163121-42-4P 163121-45-7P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (oxidn. with chloroperbenzoic acid)
- IT 21101-60-0P, 4-Hydroxy-4'-nitrodiphenyl sulfide
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (oxidn. with hydrogen peroxide)
- IT 50-00-0, Formaldehyde, reactions
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (reaction with aminonitrodiphenyl sulfide in presence of sodium borocyanohydride)
- IT 90309-39-0P, 4-Chloro-4'-(2-chloroacetamido)diphenyl sulfone 163121-32-2P 163121-34-4P, 4-(2-Chloroacetamido)-4'-cyanodiphenyl sulfone 163121-38-8P 163121-41-3P 163121-43-5P 163121-46-8P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (reaction with butylamine)
- IT 109-73-9, n-Butylamine, reactions
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (reaction with chloroacetamido-contg. di-Ph sulfone derivs.)
- IT 54458-14-9, 4-Amino-4'-(methylsulfonyl)diphenyl sulfide
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (reaction with chloroacetyl chloride)
- IT 565-20-8P, 4-Acetamido-4'-aminodiphenyl sulfone 17078-72-7P, 4-Amino-4'-methoxydiphenyl sulfone 32631-29-1P, 4-Amino-4'-chlorodiphenyl sulfide 54458-05-8P, 4-Amino-4'-(trifluoromethyl)diphenyl sulfide 63029-16-3P, 4-Amino-4'-dimethylaminodiphenyl sulfide 163121-39-9P, 4-Amino-4'-(1H-tetrazol-5-yl)diphenyl sulfide 163121-44-6P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (reaction with chloroacetyl chloride)
- IT 1135-14-4P, 4-Aminodiphenyl sulfide
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (reaction with chloroacetyl chloride followed by oxidn. with

- chloroperbenzoic acid)
- IT 163121-47-9P, 4-Cyano-4'-methylaminodiphenyl sulfide
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (reaction with chloroacetyl chloride followed by oxidn. with chloroperbenzoic acid and reaction with butylamine)
- IT 1948-92-1P, 4-Amino-4'-nitrodiphenyl sulfone 4094-38-6P, 4-Amino-4'-methyldiphenyl sulfone
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (reaction with chloroacetyl chloride followed by reaction with butylamine)
- IT 54458-02-5, 4-Amino-4'-cyanodiphenyl sulfide
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (reaction with chloroacetyl chloride or reaction with sodium azide or hydrolysis)
- IT 824-79-3, p-Toluenesulfinic acid sodium salt 15898-43-8, p-Acetamidobenzenesulfinic acid sodium salt
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (reaction with chloronitrobenzene)
- IT 101-59-7P, 4-Amino-4'-nitrodiphenyl sulfide
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (reaction with formaldehyde in presence of sodium borocyanohydride)
- IT 7772-99-8, Tin chloride (SnCl₂), reactions
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (redn. of chloronitrodiphenyl sulfide)
- IT 7772-99-8, Tin chloride (SnCl₂), reactions
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (redn. of chloronitrodiphenyl sulfide)
- IT 98741-01-6P 163121-04-8P 163121-06-0P 163121-15-1P 163121-19-5P
 RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (for treatment of eosinophilia)
- RN 98741-01-6 HCAPLUS
- CN Acetamide, 2-(butylamino)-N-[4-[(4-nitrophenyl)sulfonyl]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



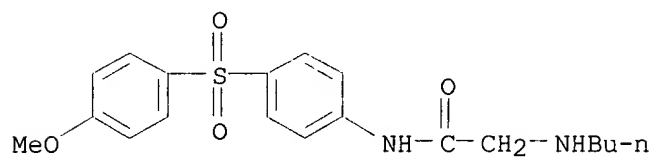
● HCl

- RN 163121-04-8 HCAPLUS
- CN Acetamide, 2-(butylamino)-N-[4-[(4-chlorophenyl)sulfonyl]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



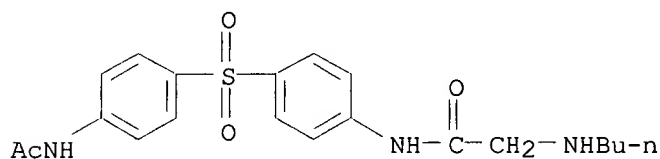
● HCl

RN 163121-06-0 HCAPLUS
 CN Acetamide, 2-(butylamino)-N-[4-[(4-methoxyphenyl)sulfonyl]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



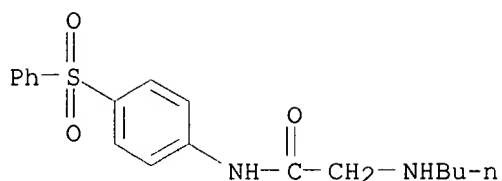
● HCl

RN 163121-15-1 HCAPLUS
 CN Acetamide, N-[4-[[4-(acetamino)phenyl]sulfonyl]phenyl]-2-(butylamino)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 163121-19-5 HCAPLUS
 CN Acetamide, 2-(butylamino)-N-[4-(phenylsulfonyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

L25 ANSWER 3 OF 8 HCAPLUS COPYRIGHT 2002 ACS
 AN 1991:228551 HCAPLUS
 DN 114:228551
 TI Preparation of (phenylthiophenyl)amidine derivatives as immunomodulators
 PA American Cyanamid Co., USA
 SO Jpn. Kokai Tokkyo Koho, 30 pp.
 CODEN: JKXXAF

DT Patent

LA Japanese

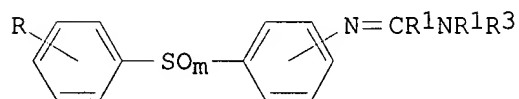
IC ICM C07C317-40

ICS A61K031-255; A61K031-38; A61K031-40; A61K031-44; A61K031-445;
 A61K031-495; C07C323-41; C07C323-42; C07D211-26; C07D213-74;
 C07D213-82; C07D223-14; C07D295-12; C07D333-20

CC 25-19 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds)
 Section cross-reference(s): 1

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 02022261	A2	19900125	JP 1989-124638	19890519
PRAI	US 1988-195930		19880519		
	US 1989-341861		19890425		
OS	MARPAT 114:228551				
GI					



I

AB The title compds [I; m = 0, 1, 2; R = H, NH2, halo, N:CR1NR2R3; R1 = H, C1-4 alkyl, pyridyl, (substituted) Ph, thienyl; R2 = H, C1-4 alkyl; R3 = H, C1-4 alkyl, Ph, Me2NC6H4; R1R2 = (CH2)2-4; R2R3N = pyrrolidino, piperidino, morpholino; with privisions] are prepd. POCl3 was added to a soln. of 21.4 g PrCONEt2 in MeCN at 5-10.degree. with stirring, 14.4 g (p-H2NC6H4)2SO2 was added with stirring at room temp., and the mixt. was heated at 60.degree. to give 24.2 g I [R = 4-(Et2NCPr:N), R1 = Pr, R2 = R3 = Et at 4-position, m = 2], which was effective in activating tumor-destroying macrophage. Also prepd. and tested for immunomodulating activities were 40 addnl. I.

ST phenylthiophenylamidine prepn immunomodulator

IT Immunostimulants

((phenylthiophenyl)amidine derivs.)

IT 758-96-3, N,N-Dimethylpropionamide

RL: RCT (Reactant)

(condensation of, with (fluorophenylsulfonyl)aniline)

IT 80-08-0, Bis(4-aminophenyl) sulfone
 RL: RCT (Reactant)
 (condensation of, with amide derivs.)

IT 758-96-3
 RL: RCT (Reactant)
 (condensation of, with bis(aminophenyl) sulfone)

IT 312-35-6P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. and condensation of, with dimethylpropionamide)

IT 2438-85-9P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
 (prepn. and oxidn. of)

IT 3217-65-0P 129346-58-3P 129346-59-4P 129346-60-7P 129346-61-8P
129346-62-9P 129346-63-0P **129346-64-1P** 129346-65-2P
 129346-66-3P 129346-67-4P 129346-70-9P 129346-71-0P 129346-73-2P
129346-74-3P 129346-76-5P 129346-77-6P 129346-81-2P
 129346-82-3P 129346-83-4P 129346-85-6P 129346-86-7P 129346-88-9P
 129346-89-0P 129346-90-3P 129346-91-4P 129383-89-7P 129383-90-0P
 129383-91-1P 129419-05-2P **131888-96-5P** 131888-97-6P
131888-98-7P 131888-99-8P 131889-00-4P 131889-01-5P
 131903-77-0P **132460-61-8P**
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of, as immunomodulator)

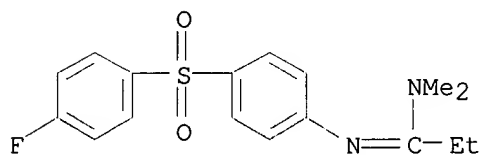
IT 371-42-6, 4-Fluorobenzenethiol
 RL: RCT (Reactant)
 (reaction of, with chloronitrobenzene)

IT 371-42-6, 4-Fluorobenzenethiol
 RL: RCT (Reactant)
 (reaction of, with chloronitrobenzene)

IT **129346-62-9P** **129346-64-1P** **129346-74-3P**
131888-96-5P **131888-98-7P** **132460-61-8P**
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of, as immunomodulator)

RN 129346-62-9 HCAPLUS

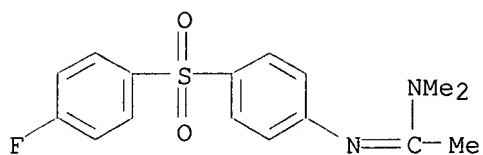
CN Propanimidamide, N'-[4-[(4-fluorophenyl)sulfonyl]phenyl]-N,N-dimethyl-,
 monohydrochloride (9CI) (CA INDEX NAME)



● HCl

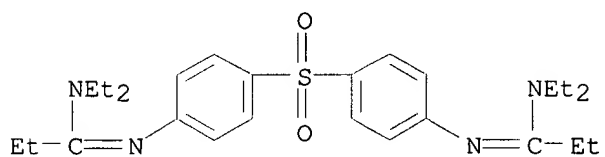
RN 129346-64-1 HCAPLUS

CN Ethanimidamide, N'-[4-[(4-fluorophenyl)sulfonyl]phenyl]-N,N-dimethyl-,
 monohydrochloride (9CI) (CA INDEX NAME)



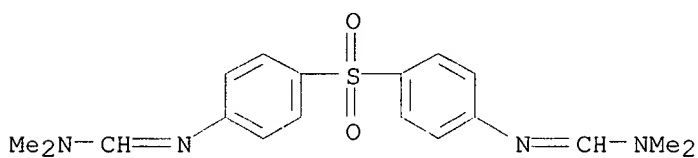
● HCl

RN 129346-74-3 HCAPLUS
 CN Propanimidamide, N',N'''-(sulfonyldi-4,1-phenylene)bis[N,N-diethyl-, dihydrochloride (9CI) (CA INDEX NAME)



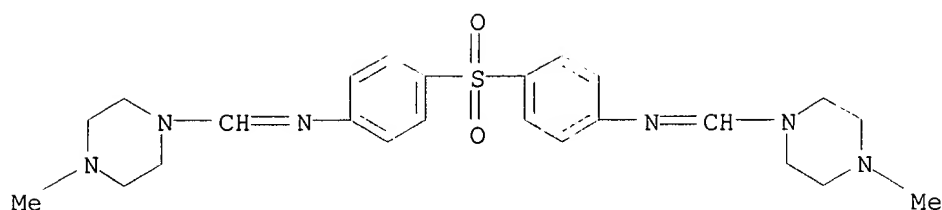
● 2 HCl

RN 131888-96-5 HCAPLUS
 CN Methanimidamide, N',N'''-(sulfonyldi-4,1-phenylene)bis[N,N-dimethyl-, dihydrochloride (9CI) (CA INDEX NAME)



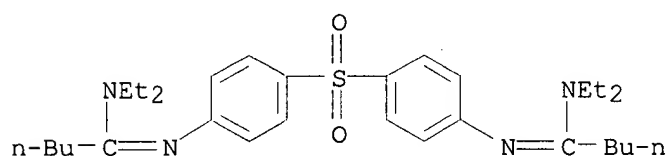
● 2 HCl

RN 131888-98-7 HCAPLUS
 CN Piperazine, 1,1'-[sulfonylbis(4,1-phenylenenitrilomethylidyne)]bis[4-methyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 132460-61-8 HCAPLUS
 CN Pentanimidamide, N',N''-(sulfonyldi-4,1-phenylene)bis[N,N-diethyl-, dihydrochloride (9CI) (CA INDEX NAME)



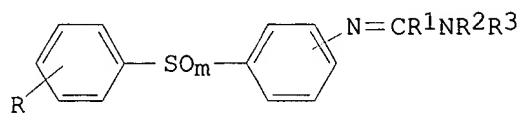
● 2 HCl

L25 ANSWER 4 OF 8 HCAPLUS COPYRIGHT 2002 ACS
 AN 1990:531762 HCAPLUS
 DN 113:131762
 TI Preparation of amidines of diphenyl sulfone derivatives as immunomodulators
 IN Lin, Yang I; Wang, Bosco Shang; Ruzsala-Mallon, Veronica M.; Bitha, Panayota; Fields, Thomas Lynn
 PA American Cyanamid Co., USA
 SO Eur. Pat. Appl., 34 pp.
 CODEN: EPXXDW
 DT Patent
 LA English
 IC ICM C07C317-32
 ICS C07C323-37; C07D295-14; C07D333-24; A61K031-155
 CC 25-19 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds)
 Section cross-reference(s): 1
 FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 354303	A1	19900214	EP 1989-107998	19890503
	R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, NL, SE				
	DK 8902422	A	19891120	DK 1989-2422	19890518
	NO 8901986	A	19891120	NO 1989-1986	19890518
	FI 8902397	A	19891120	FI 1989-2397	19890518
	AU 8934905	A1	19891123	AU 1989-34905	19890518
	AU 604607	B2	19901220		
	ZA 8903737	A	19900131	ZA 1989-3737	19890518
	HU 53355	A2	19901028	HU 1989-2487	19890518
	HU 203321	B	19910729		
	DD 289521	A5	19910502	DD 1989-328703	19890518

PRAI US 1988-195930
OS MARPAT 113:131762
GI

19880519



- AB The title amidines [I; R = H, halo, NH₂, N:CR₁NR₂R₃ wherein R₁ = H, C1-4 alkyl, pyridyl, thienyl, (halo- or CF₃-substituted) Ph; R₂ = H, C1-4 alkyl; R₃ = H, C1-4 alkyl, Me₂NC₆H₄, etc., with limitations; m = 0-2] and their salts, useful as immunomodulators, are prepd. POC13 (18.4 g) was added to a soln. of 21.4 g PrCONEt₂ in MeCN at 5-10.degree., the mixt. was stirred at room temp., treated with 12.4 g (H₂NC₆H₄)₂SO₂ with stirring at room temp. and 60.degree. to give 24.2 g 4,4'-I (R = Et₂NCPr:N, R₁ = Pr, R₂ = R₃ = Et, m = 2), which showed 59.5% in vitro activation of tumoricidal macrophages. Also prepd. were 40 addnl. I. Other immunomodulating and antitumor assays were also given.
- ST phenylsulfonylphenylamidine prepn immunomodulator antitumor; phenylamidine phenylsulfonyl prepn immunomodulator antitumor
- IT Immunostimulants
Neoplasm inhibitors
(phenylsulfonylphenyl)amidines
- IT 88-13-1, 3-Thiophenecarboxylic acid
RL: RCT (Reactant)
(amidation of, with diethylamine)
- IT 109-89-7, reactions
RL: RCT (Reactant)
(amidation of, with thiophenecarboxylic acid)
- IT 7019-01-4, 4-Aminodiphenyl sulfone
RL: RCT (Reactant)
(condensation of, with DMF di-Me acetal)
- IT 4637-24-5, Dimethylformamide dimethyl acetal
RL: RCT (Reactant)
(condensation of, with aminodiphenyl sulfone)
- IT 758-96-3, N,N-Dimethylpropionamide
RL: RCT (Reactant)
(condensation of, with aniline deriv.)
- IT 758-96-3, N,N-Dimethylpropionamide 872-50-4, reactions 1114-76-7,
N,N-Diethylbutyramide 1199-51-5
RL: RCT (Reactant)
(condensation of, with diaminodiphenyl sulfone)
- IT 80-08-0
RL: RCT (Reactant)
(condensation of, with diethylbutyramide)
- IT 312-35-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and condensation of, with dimethylpropionamide)
- IT 2438-85-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and oxidn. of)
- IT 73540-75-7P, N,N-Diethyl-3-thiophenecarboxamide
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and reaction of, in prepn. of immunomodulator and antitumor agents)
- IT 3217-65-0P 129346-58-3P 129346-59-4P 129346-60-7P 129346-61-8P
129346-62-9P 129346-63-0P 129346-64-1P 129346-65-2P
129346-66-3P 129346-67-4P 129346-68-5P 129346-69-6P
129346-70-9P 129346-71-0P 129346-72-1P 129346-73-2P

129346-74-3P 129346-75-4P 129346-76-5P 129346-77-6P
 129346-78-7P 129346-79-8P 129346-80-1P 129346-81-2P 129346-82-3P
 129346-83-4P 129346-84-5P 129346-85-6P 129346-86-7P 129346-87-8P
 129346-88-9P 129346-89-0P 129346-90-3P 129346-91-4P
 129383-88-6P 129383-89-7P 129383-90-0P 129383-91-1P
 129419-05-2P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of, as immunomodulator and antitumor agent)

IT 127-19-5, N,N-Dimethylacetamide

RL: RCT (Reactant)
 (reaction of, with aniline deriv.)

IT 371-42-6, 4-Fluorobenzenethiol

RL: RCT (Reactant)
 (reaction of, with chloronitrobenzene)

IT 371-42-6, 4-Fluorobenzenethiol

RL: RCT (Reactant)
 (reaction of, with chloronitrobenzene)

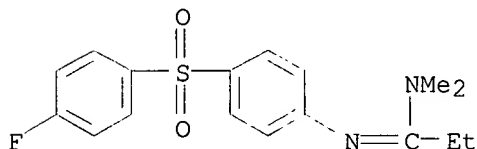
IT 129346-62-9P 129346-64-1P 129346-69-6P

129346-74-3P 129346-75-4P 129383-88-6P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of, as immunomodulator and antitumor agent)

RN 129346-62-9 HCAPLUS

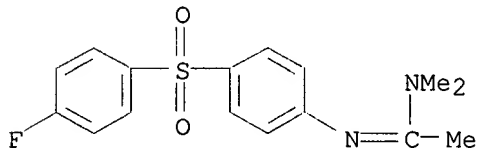
CN Propanimidamide, N'-[4-[(4-fluorophenyl)sulfonyl]phenyl]-N,N-dimethyl-,
 monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 129346-64-1 HCAPLUS

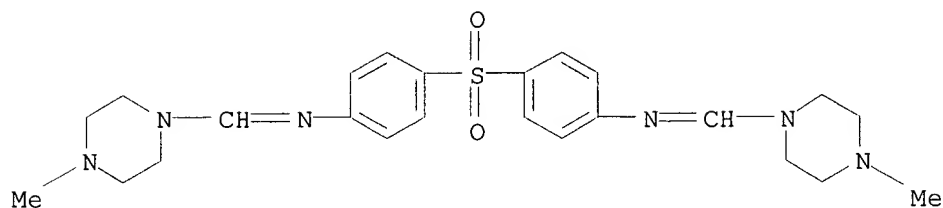
CN Ethanimidamide, N'-[4-[(4-fluorophenyl)sulfonyl]phenyl]-N,N-dimethyl-,
 monohydrochloride (9CI) (CA INDEX NAME)



● HCl

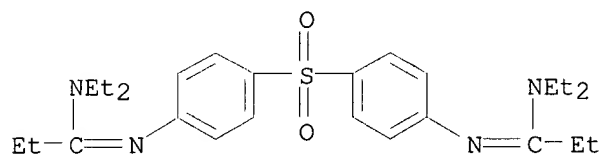
RN 129346-69-6 HCAPLUS

CN Piperazine, 1,1'-[sulfonylbis(4,1-phenylenenitrilomethylidyne)]bis[4-methyl-, hydrochloride (9CI) (CA INDEX NAME)



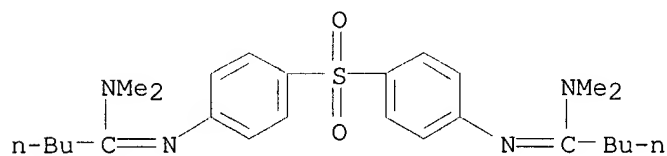
●x HCl

RN 129346-74-3 HCAPLUS
 CN Propanimidamide, N',N''-(sulfonyldi-4,1-phenylene)bis[N,N-diethyl-, dihydrochloride (9CI) (CA INDEX NAME)



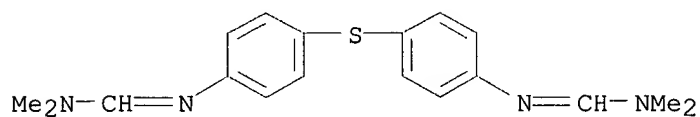
●2 HCl

RN 129346-75-4 HCAPLUS
 CN Pentanimidamide, N',N''-(sulfonyldi-4,1-phenylene)bis[N,N-dimethyl-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

RN 129383-88-6 HCAPLUS
 CN Methanimidamide, N',N''-(thiodi-4,1-phenylene)bis[N,N-dimethyl-, dihydrochloride (9CI) (CA INDEX NAME)

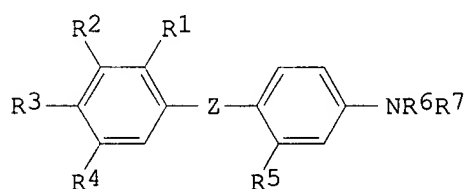


2 HCl

L25 ANSWER 5 OF 8 HCAPLUS COPYRIGHT 2002 ACS
 AN 1984:466008 HCAPLUS
 DN 101:66008
 TI Modulating the immune response system in mammals
 IN Lang, Stanley Albert, Jr.; Fields, Thomas Lynn; Wilkinson, Raymond George;
 Kang, Soon Mok; Lin, Yank I
 PA American Cyanamid Co. , USA
 SO Eur. Pat. Appl., 38 pp.
 CODEN: EPXXDW
 DT Patent
 LA English
 IC A61K031-16; A61K031-135; A61K031-41; C07C147-12; C07D257-04
 ICA C07C147-14; C07C149-42
 CC 1-7 (Pharmacology)
 Section cross-reference(s): 25

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 102476	A1	19840314	EP 1983-106543	19830705
	EP 102476	B1	19861105		
	R: AT, BE, CH, DE, FR, GB, IT, LI, NL, SE				
	US 4532349	A	19850730	US 1983-500715	19830603
	AT 23268	E	19861115	AT 1983-106543	19830705
	JP 59046261	A2	19840315	JP 1983-142664	19830805
	ZA 8305783	A	19840425	ZA 1983-5783	19830805
	ES 524772	A1	19850601	ES 1983-524772	19830805
	CA 1215990	A1	19861230	CA 1983-433977	19830805
	CA 1230057	A2	19871208	CA 1986-513549	19860710
PRAI	US 1982-405666		19820806		
	US 1982-411399		19820825		
	EP 1983-106543		19830705		
	CA 1983-433977		19830805		
OS	CASREACT 101:66008				
GI					



AB The prepn. of N-substituted phenylthioanilines, phenylsulfinylanilines, and phenylsulfanylanilines I (R1 = H, Cl, or NO2; R2 = H or Cl; R3 = H, Br, Cl, Fl, NO2, Cl-3 alkoxy, etc.; R4 and R5 = H or Cl; R6 = H or Cl-3 alkyl; R7 = H, Cl-3 alkyl, etc.; Z = S, SO, or SO2) is described for use as immune adjuvants. Some of the compds. were active in restoring antibody formation in mice with Rauscher virus-induced leukemia. The compds. may be useful for restoring immune function in cancer.

ST phenylsulfonylaniline prepn immunomodulator antitumor; phenylthioaniline prepn immunomodulator antitumor; phenylsulfinylaniline prepn immunomodulator antitumor

IT Neoplasm inhibitors
 (phenylsulfinylanilines and phenylsulfonylanilines and phenylthioanilines as, immune adjuvant activity in)

IT Immune adjuvants
 (phenylsulfinylanilines and phenylsulfonylanilines and

phenylthioanilines as, neoplasm inhibition in relation to)

IT 79-03-8
RL: RCT (Reactant)
(acylation by, of [(fluorophenyl)sulfonyl]benzenamine)

IT 79-04-9 625-36-5
RL: RCT (Reactant)
(acylation by, of aminophenyl nitrophenyl disulfide)

IT 75-36-5
RL: RCT (Reactant)
(acylation by, of bromoaminodiphenylsulfone)

IT 80-08-0 101-59-7 312-35-6
RL: RCT (Reactant)
(acylation of, with chloroacetyl chloride)

IT 101-59-7
RL: RCT (Reactant)
(acylation of, with chloropropionyl chloride)

IT 952-97-6 2438-85-9 4171-83-9 6764-10-9 21969-11-9 21969-12-0
22865-50-5
RL: RCT (Reactant)
(hydrogenation of)

IT 80-02-4 565-20-8 7146-68-1 90309-30-1 90309-31-2
RL: BAC (Biological activity or effector, except adverse); BIOL
(Biological study)
(immune adjuvant activity of, neoplasm treatment in relation to)

IT 1135-14-4P 6626-22-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and N-acetylation of)

IT 14453-85-1P 24900-69-4P 32631-29-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and acetylation of)

IT 90309-39-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and ammonolysis of)

IT 383-24-4P 21969-11-9P 22865-57-2P 39055-84-0P 75124-91-3P
86749-02-2P 90309-37-8P 90309-38-9P 90309-41-4P 90309-43-6P
90309-44-7P 90309-45-8P 90309-47-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and hydrogenation of)

IT 312-35-6P 734-22-5P 1134-94-7P 1135-14-4DP, derivs. 1144-81-6P
6626-22-8P 6630-10-0P 7019-01-4DP, derivs. 17078-72-7P
21229-95-8DP, derivs. 32794-92-6P 35881-07-3P 79995-57-6P
90309-06-1P **90309-07-2P** 90309-08-3P 90309-09-4P
90309-10-7P **90309-11-8P** 90309-12-9P 90309-13-0P
90309-14-1P 90309-15-2P 90309-16-3P 90309-17-4P 90309-18-5P
90309-19-6P 90309-20-9P 90309-21-0P 90309-22-1P 90309-23-2P
90309-24-3P 90309-25-4P 90309-26-5P 90309-27-6P 90309-28-7P
90309-29-8P 90328-02-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and immune adjuvant activity of, neoplasm treatment in relation to)

IT 2438-85-9P 21969-11-9P 54818-87-0P 68253-25-8P 90309-32-3P
90309-36-7P 90309-42-5P 90309-46-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and oxidn. of)

IT 17328-16-4P 62292-40-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and reaction with methylamine)

IT 90309-40-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and reaction with sodium azide)

IT 2438-85-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and redn. of)

IT 37750-29-1P 54394-48-8P 90309-33-4P 90309-34-5P 90309-35-6P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)

IT 37750-33-7
 RL: RCT (Reactant)
 (prepn. and acetylation of)

IT 108-90-7, reactions
 RL: RCT (Reactant)
 (reaction of, with acetylsulfanilyl chloride)

IT 88-73-3
 RL: RCT (Reactant)
 (reaction of, with aminothiophenol)

IT 7146-68-1
 RL: RCT (Reactant)
 (reaction of, with bromoacetonitrile)

IT 74-89-5, reactions
 RL: RCT (Reactant)
 (reaction of, with chloro(chlorophenylsulfonyl)acetanilide)

IT 590-17-0
 RL: RCT (Reactant)
 (reaction of, with chloroaminodiphenylsulfone)

IT 121-60-8
 RL: RCT (Reactant)
 (reaction of, with chlorobenzene)

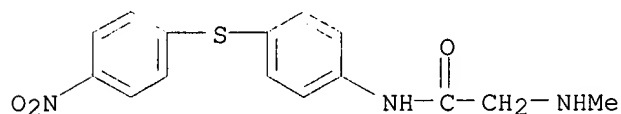
IT 106-53-6 106-54-7 371-42-6 696-63-9 1193-02-8 2037-31-2
 3773-14-6 5858-17-3 5858-18-4
 RL: RCT (Reactant)
 (reaction of, with chloronitrobenzene)

IT 99-54-7 100-00-5
 RL: RCT (Reactant)
 (reaction of, with chlorothiophenol)

IT 99-54-7 100-00-5
 RL: RCT (Reactant)
 (reaction of, with chlorothiophenol)

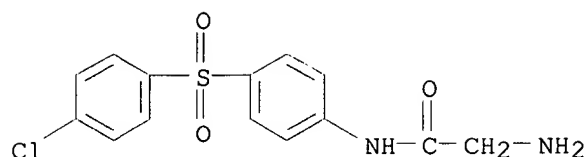
IT 90309-07-2P 90309-11-8P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. and immune adjuvant activity of, neoplasm treatment in relation to)

RN 90309-07-2 HCAPLUS
 CN Acetamide, 2-(methylamino)-N-[4-[(4-nitrophenyl)thio]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

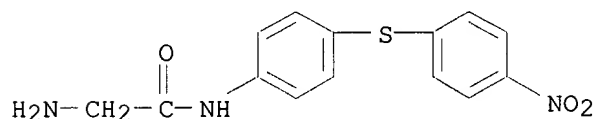
RN 90309-11-8 HCAPLUS
 CN Acetamide, 2-amino-N-[4-[(4-chlorophenyl)sulfonyl]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

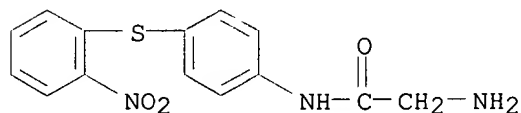
L25 ANSWER 6 OF 8 HCAPLUS COPYRIGHT 2002 ACS
 AN 1981:480419 HCAPLUS
 DN 95:80419
 TI Synthesis and biological activity of some new diaryl sulfides and diaryl
 sulfones containing amino acid moieties
 AU Abbady, M. A.; Ali, M. M.; Kandeel, M. M.
 CS Fac. Sci., Assiut Univ., Assiut, Egypt
 SO Indian J. Chem., Sect. B (1981), 20B(1), 53-7
 CODEN: IJSBDB; ISSN: 0376-4699
 DT Journal
 LA English
 CC 25-19 (Noncondensed Aromatic Compounds)
 Section cross-reference(s): 5, 34
 AB O2NC6H4SC6H4(NH(OCHRNR12))-p (I; O2N in o- or p-position, R = H, Me, Me2CH;
 R12N = phthalimido) were prepd. by acylation of O2NC6H4SC6H4NH2 in dioxane
 in the presence of Et3N. Hydrizinolysis of the products followed by
 condensation with arom. aldehydes gave I (R12 = arylidene). The prepd.
 sulfides were oxidized to the sulfones with H2O2 in glacial AcOH. Some of
 the compds. are active against bacteria and fungi (no data).
 ST aryl sulfide amino acid; sulfone aryl amino acid; fungicide sulfide amino
 acid; bactericide sulfide amino acid
 IT Bactericides, Disinfectants and Antiseptics
 Fungicides and Fungistats
 (diaryl sulfides and sulfoxides contg. amino acid moieties as)
 IT Sulfoxides
 RL: RCT (Reactant)
 (diaryl, contg. amino acid moieties)
 IT Sulfides, preparation
 RL: PREP (Preparation)
 (diaryl, contg. amino acid moieties)
 IT 101-59-7 1144-81-6
 RL: RCT (Reactant)
 (acylation of, with phthalimidoalkanoyl chlorides)
 IT 62292-40-4 71921-27-2
 RL: RCT (Reactant)
 (ammonolysis of)
 IT 100-10-7 104-88-1, reactions 123-11-5, reactions 555-16-8, reactions
 RL: RCT (Reactant)
 (condensation of, with aminoacylnonyldiphenyl sulfides)
 IT 78428-13-4P 78428-14-5P 78428-15-6P 78428-16-7P 78428-17-8P
 78428-18-9P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
 (prepn. and hydrazinolysis or oxidn. of)
 IT 78428-19-0P 78428-20-3P 78428-21-4P
 78428-22-5P 78428-23-6P 78428-24-7P
 78428-25-8P 78428-26-9P 78428-27-0P 78428-28-1P 78428-29-2P
 78428-30-5P 78428-31-6P 78428-32-7P 78428-33-8P 78428-34-9P
 78428-35-0P 78428-36-1P 78428-37-2P 78428-38-3P 78428-39-4P
 78428-40-7P 78428-41-8P 78428-42-9P 78428-43-0P 78428-44-1P

78428-45-2P 78428-46-3P 78428-47-4P 78437-80-6P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
 (prepn. and oxidn. of)
 IT 78428-48-5P 78428-49-6P 78428-50-9P 78428-51-0P 78428-52-1P
 78428-53-2P **78428-54-3P 78428-55-4P**
78428-56-5P 78428-57-6P 78428-58-7P
 78428-59-8P 78428-60-1P 78428-61-2P 78428-62-3P 78428-63-4P
 78428-64-5P 78428-65-6P 78428-66-7P 78428-67-8P 78428-68-9P
 78428-69-0P 78428-70-3P 78428-71-4P 78428-72-5P 78428-73-6P
 78428-74-7P 78428-75-8P 78428-76-9P 78428-77-0P 78428-78-1P
 78428-79-2P 78428-80-5P **78437-81-7P** 78437-82-8P
 78437-83-9P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)
 IT 5511-73-9 6780-38-7 53701-47-6
 RL: RCT (Reactant)
 (reaction of, with aminonitrobiphenyl)
 IT **78428-19-0P 78428-20-3P 78428-21-4P**
78428-22-5P 78428-23-6P 78428-24-7P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
 (prepn. and oxidn. of)
 RN 78428-19-0 HCAPLUS
 CN Acetamide, 2-amino-N-[4-[(4-nitrophenyl)thio]phenyl]-, monohydrochloride
 (9CI) (CA INDEX NAME)



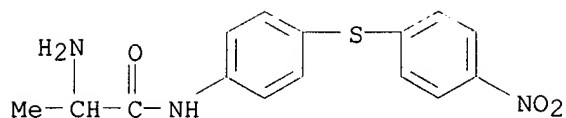
● HCl

RN 78428-20-3 HCAPLUS
 CN Acetamide, 2-amino-N-[4-[(2-nitrophenyl)thio]phenyl]-, monohydrochloride
 (9CI) (CA INDEX NAME)



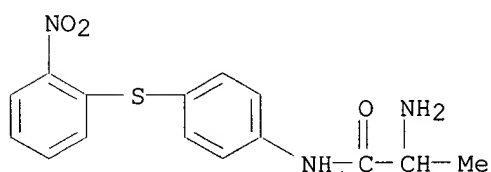
● HCl

RN 78428-21-4 HCAPLUS
 CN Propanamide, 2-amino-N-[4-[(4-nitrophenyl)thio]phenyl]-, monohydrochloride
 (9CI) (CA INDEX NAME)



● HCl

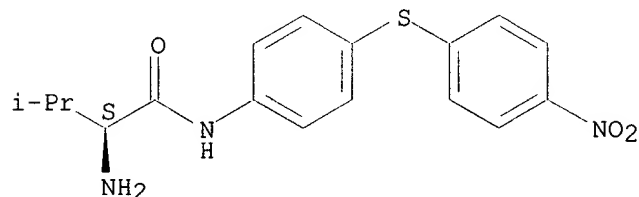
RN 78428-22-5 HCAPLUS
 CN Propanamide, 2-amino-N-[4-[(2-nitrophenyl)thio]phenyl]-, monohydrochloride
 (9CI) (CA INDEX NAME)



● HCl

RN 78428-23-6 HCAPLUS
 CN Butanamide, 2-amino-3-methyl-N-[4-[(4-nitrophenyl)thio]phenyl]-, monohydrochloride, (S)- (9CI) (CA INDEX NAME)

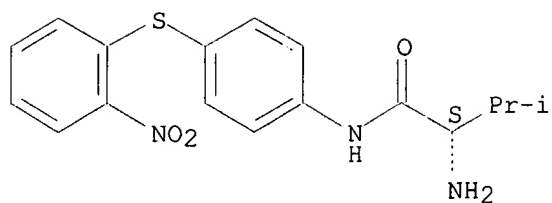
Absolute stereochemistry.



● HCl

RN 78428-24-7 HCAPLUS
 CN Butanamide, 2-amino-3-methyl-N-[4-[(2-nitrophenyl)thio]phenyl]-, monohydrochloride, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

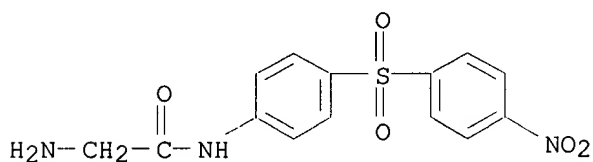
IT 78428-54-3P 78428-55-4P 78428-56-5P

78428-57-6P 78428-58-7P 78437-81-7P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 78428-54-3 HCAPLUS

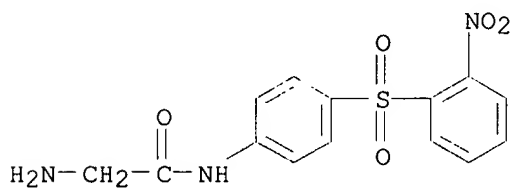
CN Acetamide, 2-amino-N-[4-[(4-nitrophenyl)sulfonyl]phenyl]-,
monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 78428-55-4 HCAPLUS

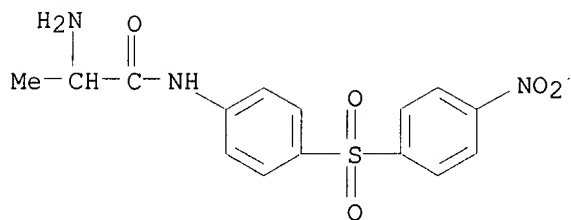
CN Acetamide, 2-amino-N-[4-[(2-nitrophenyl)sulfonyl]phenyl]-,
monohydrochloride (9CI) (CA INDEX NAME)



● HCl

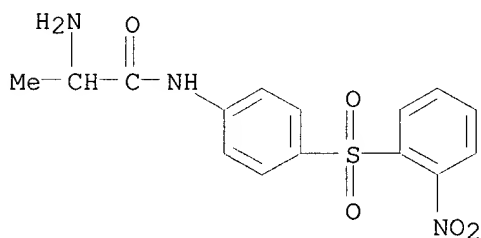
RN 78428-56-5 HCAPLUS

CN Propanamide, 2-amino-N-[4-[(4-nitrophenyl)sulfonyl]phenyl]-,
monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 78428-57-6 HCAPLUS

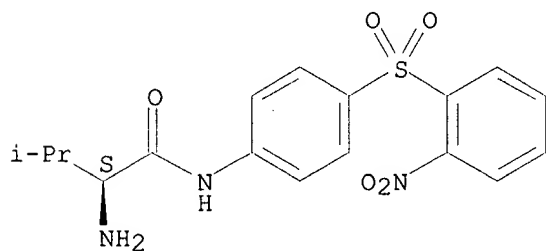
CN Propanamide, 2-amino-N-[4-[(2-nitrophenyl)sulfonyl]phenyl]-,
monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 78428-58-7 HCAPLUS

CN Butanamide, 2-amino-3-methyl-N-[4-[(2-nitrophenyl)sulfonyl]phenyl]-,
monohydrochloride, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

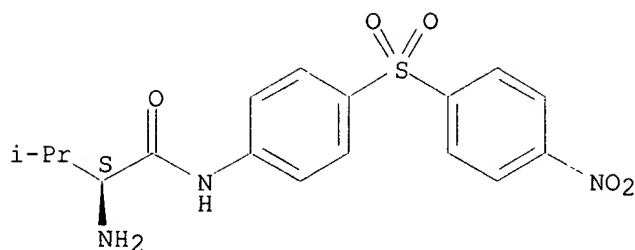


● HCl

RN 78437-81-7 HCAPLUS

CN Butanamide, 2-amino-3-methyl-N-[4-[(4-nitrophenyl)sulfonyl]phenyl]-,
monohydrochloride, (S)- (9CI) (CA INDEX NAME)

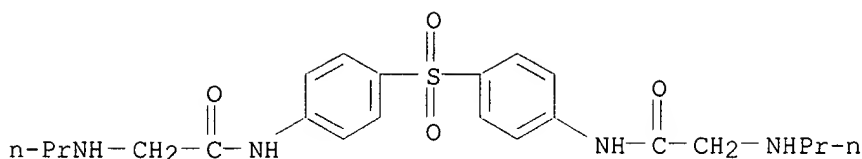
Absolute stereochemistry.



● HCl

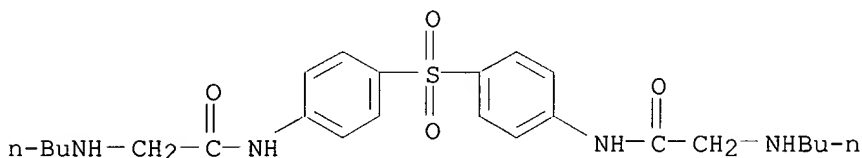
L25 ANSWER 7 OF 8 HCAPLUS COPYRIGHT 2002 ACS
 AN 1971:79610 HCAPLUS
 DN 74:79610
 TI Solubilization of therapeutical aromatic amines
 IN Eckert, Theodor; Reimann, Ingrid
 SO Ger. Offen.
 CODEN: GWXXBX
 DT Patent
 LA German
 IC C07C; A61K
 CC 63 (Pharmaceuticals)
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 1931214	A	19701223	DE 1969-1931214	19690620
GI	For diagram(s), see printed CA Issue.				
AB	2-Sulfanilamido-4,6-dimethylpyrimidine, p,p'-diaminodiphenyl sulfone, and 6-aminochrysene were made H ₂ O-sol. for i.v. injection by treatment of the N-chloroacetylated derivs. with PrNH ₂ or BuNH ₂ and formation of the HCl addn. salt. Thus, heating I (R = Cl) 4 hr with excess PrNH ₂ and adding excess HCl gave I.HCl (R = NHPr) of 20 g/100 ml soly.				
ST	solubilization drugs amines; amines drugs solubilization; sulfanilamido pyrimidines solubilization; pyrimidines sulfanilamido solubilization; diaminodiphenyl sulfones solubilization; sulfones diaminodiphenyl solubilization; chrysenes amino solubilization				
IT	29519-37-7	29519-38-8	32059-23-7	32155-18-3	
	RL: BIOL (Biological study) (water-sol.)				
IT	29519-38-8	32059-23-7			
	RL: BIOL (Biological study) (water-sol.)				
RN	29519-38-8	HCAPLUS			
CN	Acetanilide, 4',4'''-sulfonylbis[2-(propylamino)-, dihydrochloride (8CI) (CA INDEX NAME)				



●2 HCl

RN 32059-23-7 HCAPLUS
 CN Acetanilide, 4',4'''-sulfonylbis[2-(butylamino)-, dihydrochloride (8CI)
 (CA INDEX NAME)



●2 HCl

L25 ANSWER 8 OF 8 HCAPLUS COPYRIGHT 2002 ACS
 AN 1970:486040 HCAPLUS
 DN 73:86040
 TI Solubilizing therapeutically used arylamines by n-propylaminoacetylation.
 Bioactivation of drugs
 AU Eckert, Theodor; Reimann, I.; Krisch, K.
 CS Inst. Pharm. Chem., Univ. Muenster/Westf., Muenster/Westf., Ger.
 SO Arzneim.-Forsch. (1970), 20(4), 487-94
 CODEN: ARZNAD
 DT Journal
 LA German
 CC 15 (Pharmacodynamics)
 AB Carboxylesterase of pig liver microsomes rapidly split the aminoacyl groups (solubilizing groups) from aminoacyl derivs. of 2-chloro-6-methylaniline, indicating that aminoacyl derivs. of drugs were susceptible to bioactivation, i.e., enzymic removal of the solubilizing group to restore drug activity. 4,4'-Diaminodiphenyl sulfone, 6-aminochrysene, and several poorly sol. sulfonamides were propylaminoacetylated, and some of the N-propylaminoacetyl derivs. as salts were water sol. The acyl groups of the model compds., 2-[N4(propylaminoacetyl)sulfanilamido]-4,6-dimethylpyrimidine-HCl and N,N'-bis(propylaminoacetyl)-4,4'-diaminodiphenyl sulfone-2HCl, were easily split off by enzyme catalysis.
 ST propylaminoacetylation arylamines; bioactivation arylamines drugs; arylamines drugs bioactivation
 IT Pharmaceuticals, biological studies
 (activation of, by liver carboxylesterases)
 IT Liver, composition
 (carboxylesterases of, pharmaceutical activation by)
 IT Esterases, carboxyl
 (of liver, pharmaceutical activation by)
 IT 29519-37-7 29519-38-8

RL: PROC (Process)
(activation of, by liver carboxylesterases)

=> fil hcaold

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=> d all hitstr tot 124

L24 ANSWER 1 OF 2 HCAOLD COPYRIGHT 2002 ACS

AN CA63:5564d CAOLD

TI formamidines

AU Steiger, Norbert

PA Hoffmann-La Roche Inc.

DT Patent

PATENT NO.	KIND	DATE
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PI	US 3184482		1965			
IT	1205-59-0	1205-60-3	1783-25-1	1934-03-8	1934-05-0	1934-07-2
	2023-47-4	2168-24-3	2350-48-3	2350-49-4	2350-50-7	2350-51-8
	2350-52-9	2350-53-0	2350-54-1	2350-56-3	2350-58-5	2350-59-6
	2350-60-9	2350-63-2	2350-64-3	2350-65-4	2350-68-7	2350-69-8
	2401-70-9	2401-77-6	2401-78-7	2401-79-8	2401-80-1	2415-53-4
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	3191-48-8	3191-49-9	3217-65-0	3217-66-1	3217-82-1	
	3218-63-1	3218-66-4	3218-73-3	3421-84-9	3421-85-0	3424-09-7
	3432-06-2	3432-08-4	3607-87-2	3768-18-1	6912-49-8	7374-69-8
	90607-34-4	91313-39-2	92796-93-5	93783-99-4	93989-89-0	96447-16-4
	96669-08-8					

IT **3191-33-1** **3217-66-1**

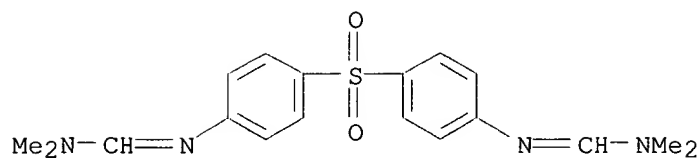
RN 3191-33-1 HCAOLD

CN Methanimidamide, N',N''-(sulfonyldi-4,1-phenylene)bis[N,N-dimethyl-, mono(4-methylbenzenesulfonate) (9CI) (CA INDEX NAME)

CM 1

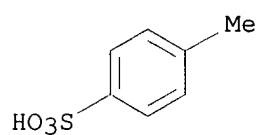
CRN 3217-65-0

CMF C18 H22 N4 O2 S



CM 2

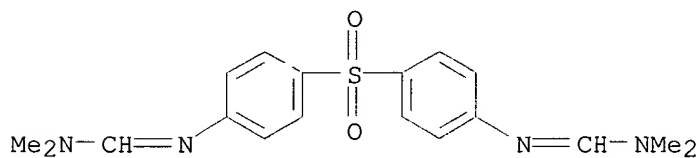
CRN 104-15-4
CMF C7 H8 O3 S



RN 3217-66-1 HCAOLD
CN Formamidinium, N',N''-(sulfonyl-di-p-phenylene)bis[N,N-dimethyl-,
p-toluenesulfonate (7CI, 8CI) (CA INDEX NAME)

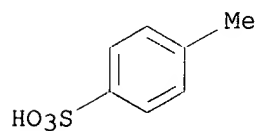
CM 1

CRN 3217-65-0
CMF C18 H22 N4 O2 S



CM 2

CRN 104-15-4
CMF C7 H8 O3 S



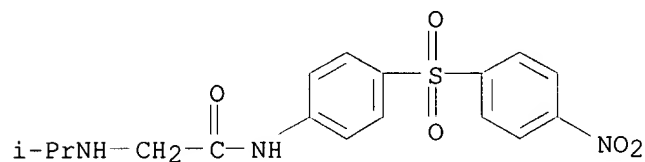
L24 ANSWER 2 OF 2 HCAOLD COPYRIGHT 2002 ACS
AN CA61:4248f CAOLD
TI reactions of 2-aminophenyl benzenesulfonates
AU Wojtkiewicz, Wincenty; Jankowski, Z.
IT 50385-06-3 50385-16-5 60515-80-2 90802-27-0 91498-50-9 91961-59-0
93730-79-1 93996-28-2 98284-52-7 98284-53-8

98364-68-2 98470-74-7 98741-00-5 98741-01-6 98823-09-7
100170-73-8 100409-52-7

IT 98284-52-7 98284-53-8 98741-01-6

RN 98284-52-7 HCAOLD

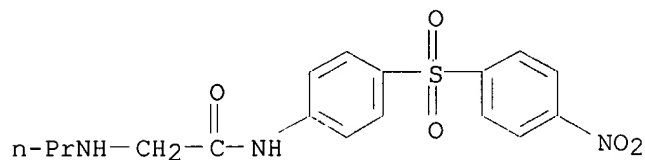
CN Acetanilide, 2-(isopropylamino)-4'-[(p-nitrophenyl)sulfonyl]-,
hydrochloride (7CI) (CA INDEX NAME)



● HCl

RN 98284-53-8 HCAOLD

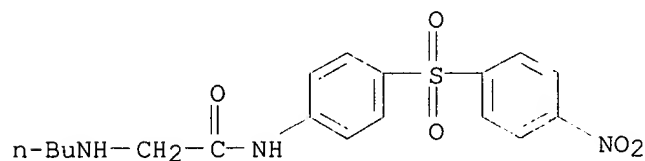
CN Acetanilide, 4'-[(p-nitrophenyl)sulfonyl]-2-(propylamino)-, hydrochloride
(7CI) (CA INDEX NAME)



● HCl

RN 98741-01-6 HCAOLD

CN Acetamide, 2-(butylamino)-N-[4-[(4-nitrophenyl)sulfonyl]phenyl]-,
monohydrochloride (9CI) (CA INDEX NAME)



● HCl

=> fil hcaplus

FILE 'HCAPLUS' ENTERED AT 11:11:02 ON 05 SEP 2002

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FILE COVERS 1907 - 5 Sep 2002 VOL 137 ISS 10
FILE LAST UPDATED: 4 Sep 2002 (20020904/ED)

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=> d all tot 127

L27 ANSWER 1 OF 2 HCAPLUS COPYRIGHT 2002 ACS

AN 1965:431469 HCAPLUS

DN 63:31469

OREF 63:5564c-h,5565a-b

TI Formamidines

IN Steiger, Norbert

PA Hoffmann-La Roche, Inc.

SO 7 pp.

DT Patent

LA Unavailable

NCL 260378000

CC 35 (Noncondensed Aromatic Compounds)

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 3184482		19650518	US	19611120
AB	<p>The title compds. were prepd. by treating a non-aliphatic primary amine (or its hydrohalide) with HCONR₂ (R = H or lower alkyl in the presence of an arenesulfonyl halide or SOX₂ (X = halogen). Thus, to a soln. of 25 g. (p-H₂NC₆H₄)₂SO₂ (I) in 100 ml. HCONMe₂ (II), 55 g. p-MeC₆H₄SO₂Cl (III) was added, the mixt. stirred 1 hr. (temp. rose to 74.degree.) and poured into 800 ml. H₂O and 60 ml. 40% NaOH, the mixt. stirred an addnl. 2 hrs. and filtered, the crude cryst. ppt. dissolved in 250 ml. C₆H₆, the soln. filtered, and the filtrate treated with 400 ml. Skellysolve B and refrigerated overnight to give N,N'-(p,p'-sulfonyldiphenylene)bis(N'',N''-dimethylformamide) (IV), m. 131-3.degree.. Substituting in the above 50 g. PhSO₂Cl for I also gave IV. The temp. of the reaction mixt. (prepd. as above) was decreased to 40.degree., 200 ml. alc. added, the mixt. heated to reflux and filtered hot, and the filtrate treated with 100 ml. alc. and refrigerated to give the IV tosylate. Similarly prepd. were the following HCl salts of RN:CHNMe₂ (R and m.p. given): p-AcNHC₆H₄, 273-4.degree. (MeOH); o-HO₂CC₆H₄, 168-71.degree. (80% alc.); p-HO₂CC₆H₄, 236-7.degree. (93% alc.); 3,4-HO(HO₂C)C₆H₃, -[tosylate m. 235.degree. (90% EtOH)]; o-HOC₆H₄, 156.degree. (alc.); m-HOC₆H₄, 241.degree. (95% alc.); p-HOC₆H₄, - [tosylate m. 209-10.degree. (alc.)]; 4,2-Cl(O₂N)C₆H₃, 205.degree. (95% alc.); 2,5-Me(O₂N)C₆H₃, 205.degree. (90% alc.); 2,4-(O₂N)(MeO)C₆H₃, 198-200.degree. (MeCN); 3-ClC₆H₄, 233.degree. (MeCN-alc.); 2,5-Cl₂C₆H₃, 232.degree. (alc.); 3,4-Cl₂C₆H₃, 255-6.degree. (90% alc.); 2,4,5-Cl₃C₆H₂, 225-7.degree. (MeCNMeOH) [free base m. 85.degree. (MeCN)]; 2,6,4-Cl₂(O₂N)C₆H₂, - [free base m. 160-2.degree. (alc.)]; Ph,</p>				

223-5.degree. (iso-PrOH) (free base b0.02 75-6.degree.); p-EtOC6H4, - (tosylate m. 169-70.degree.); o-O2NC6H4, 224-5.degree. (MeCN-EtOH); m-O2NC6H4, 248-50.degree. (90% alc.); p-O2NC6H4, - (tosylate m. 240-2.degree.); free base m. 79-80.degree. (C6H6Skellysolve); p-HOC6H4, 198-200.degree. (MeOH-Me2CO) [free base m. 197-9.degree. (alc.)]; p-EtOC6H4, - [sulfate m. 158-60.degree. (MeCN)]; p-O2NC6H4, 261.degree. (alc.); pyrimidinyl, 212.degree. (MeCN); 3,4-dimethyl-5-isoxazolyl, - [tosylate m. 145.degree. (alc.)]; 2-thiazolyl, 168-70.degree. (iso-PrOH). Similarly prepd. were RN:CHNR1R2 (R, R1, and R2 given): p-O2NC6H4, H, H [tosylate m. 202.degree. (alc.)]; benzenesulfonate m. 225-7.degree.]; p-O2NC6H4, Et, Et [free base m. 5960.degree.; tosylate m. 160-2.degree. (dil. alc.)]; p-O2NC6H4, Me, H [tosylate m. 175.degree. (alc.)]; p-MeSC6H4, H, H [tosylate m. 211-12.degree. (EtOH)]. Also prepd. were the following compds. in which R is N: CHNMe2: 4-RC6H4As(O)(OH)2 [m. 221-2.degree. (dil. alc.)]; 1-(R)anthraquinone toluenesulfonate (m. 185.degree.); 5-o-tolylazo-2-(R)toluene tosylate (m. 166-7.degree.); 2-(R)pyridine (di-HCl salt m. 178.degree.); 2,6-bis(R)pyridine [di-HCl salt m. 289-90.degree. (MeOHMe2CO)]; 2-diallylamino-4-amino-6-(R)-s-triazine [m. 174.degree. (MeCN)]; 2-(R)-6-hydroxybenzothiazole (free base m. 237.degree.; HCl salt m. 230.degree.); 2-(R)-6-(.beta.-diethylaminoethoxy)benzothiazole (m. 69-70.degree.; oxalate m. 162-3.degree.); 3-(R)pyridine, [di-HCl salt m. 228.degree. (decompn.) (MeOH-EtOH)]; 4-(R)-pyridine [di-HCl salt m. 260-1.degree. (decompn.) (MeOH-MeCN)]; 5-o-tolylazo-2-(R)-toluene (HCl salt m. 198-200.degree.); 2,6-bis(R)-3-phenylazopyridine (di-HCl salt); p-acetamidophenyl-p'-(R)phenyl sulfone [free base m. 260-2.degree. (75% HOAc)]; .beta.-diethylaminoethyl p-(R)benzoate [di-HCl salt m. 205-6.degree. (PrOH)]; N-(R)sulfanilic acid [free base m. 308.degree. (60% alc.)]; p-(R)-azobenzene [tosylate m. 198-9.degree. (alc.)]; 6-(R)-4-aminoquinoline (free base m. 223-4.degree.; di-HCl salt m. 288.degree.); 5-(R)-2,4-dioxo-1,2,3,4-tetrahydropyrimidine [HCl salt m. 350.degree. (85% EtOH)]; 2-(R)-5-nitrothiazole (HCl salt m. 178-80.degree.); 4-(R)acetanilide (m. 186-8.degree.; HCl salt m. 284-5.degree.); 3-(R)acetanilide [HCl salt m. 278.degree. (MeOH)]; 1-(R)-4-thiocyanatobenzene [HCl salt m. 215-18.degree. (EtOH)]; 2-(R)-5-thiocyanatobenzophenone (HCl salt m. 164.degree.); 5-thiocyanato-2'-trifluoromethyl-2-(R)benzophenone (free base m. 123.5-5.degree.); 4-(R)benzenesulfonamide (free base m. 221-3.degree.); 1,4,5,8-tetra-(R)anthraquinone (tetra-HCl salt); 1-(R)-4-hydroxyanthraquinone [HCl salt m. 226.degree. (decompn.)]; tosylate m. 241.degree.]. Similarly were prepd. N,N'-bis(dimethylaminomethylene)-4,4'-o-dianisidine [di-HCl salt m. 268.degree. (decompn.) (alc.)]; 6-methoxy-8-(dimethylaminomethylenamino)quinoline, m. 158.degree. (MeCN) [di-HCl salt m. 210-12.degree. (90% alc.)]. These compds. are useful in combatting bacterial, protozoal, viral, or helminthic pathogens.

L27 ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2002 ACS

AN 1964:425087 HCAPLUS

DN 61:25087

OREF 61:4248f-h

TI Some reactions of 2-aminophenyl benzenesulfonates

AU Wojtkiewicz, Wincenty; Jankowski, Zdzislaw

CS Politechnika, Lodz, Pol.

SO Zeszyty Nauk. Politech. Lodz, Chem. (1963), 13, 39-45

DT Journal

LA Unavailable

CC 35 (Noncondensed Aromatic Compounds)

GI For diagram(s), see printed CA Issue.

AB 4,2-Cl(ClN2)C6H3OSO2Ph (I) (and its derivs.) hydrolyze in aq. soln. or in H2O-miscible org. solvents, e.g. H2O-Me2NCHO, to give Ia and PhSO2Cl. 4-Chloro-2-aminophenol (57.4 g.) was agitated with 700 g. H2O and 4 g. emulsifier and treated with 105 g. 30% NaOH and the resulting mixt. treated dropwise under stirring within 15 min. simultaneously with 86 g.

PhSO₂Cl and 3.5 g. Na₂S₂O₄ (temp. of the mixt. increased to 35.degree.) and stirred 20 min. to yield 83.6% 4,2-Cl(H₂N)C₆H₃OSO₂Ph (II), m. 119-20.degree.. Similarly was prepd. 4,2,5-Cl(H₂N)(O₂N)C₆H₂OSO₂Ph (III), m. 155-6.degree., in 90.2% yield. II (14.17 g.) was dissolved in a mixt. of 90 ml. dioxane and 25 ml. H₂O with 23 g. 30% HCl, treated under stirring with 12.5 ml. 4N NaNO₂, and stirred 45 min. at 18-20.degree. to give PhSO₂Cl, which was converted into the anilide. Diazotization of III similarly gave PhSO₂Cl. The sulfate salt analogs of I (and derivs.) gave Ia and PhSO₃H on hydrolysis.